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LOGINID:SSSPTAAJP1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS  
NEWS 19 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 20 MAY 23 REGISTRY has been enhanced with source information from CHEMCATS  
NEWS 21 MAY 26 STN User Update to be held June 6 and June 7 at the SLA 2005 Annual Conference  
NEWS 22 JUN 06 STN Patent Forums to be held in June 2005  
NEWS 23 JUN 06 The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 20:19:42 ON 10 JUN 2005

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 20:20:11 ON 10 JUN 2005

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STRUCTURE FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

DICTIONARY FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

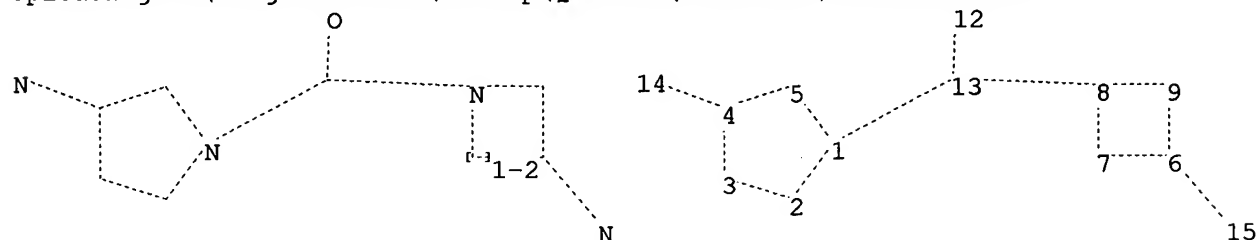
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10797487\10797487d.str



```

chain nodes :
12 13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-13 4-14 6-15 8-13 12-13
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-5 1-13 2-3 3-4 4-5 4-14 6-7 6-9 6-15 7-8 8-9 8-13 12-13

```

Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS 14:CLASS 15:CLASS

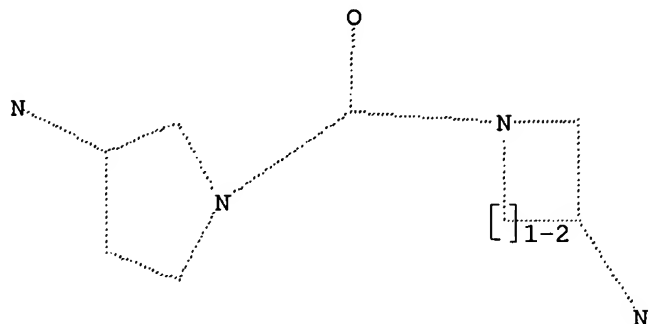
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 20:20:34 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 137 TO ITERATE

100.0% PROCESSED 137 ITERATIONS  
SEARCH TIME: 00.00.01

19 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2038 TO 3442  
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 20:20:48 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 2612 TO ITERATE

100.0% PROCESSED 2612 ITERATIONS  
SEARCH TIME: 00.00.01

429 ANSWERS

L3 429 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 20:20:52 ON 10 JUN 2005

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FILE COVERS 1907 - 10 Jun 2005 VOL 142 ISS 25

FILE LAST UPDATED: 9 Jun 2005 (20050609/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3

L4 2 L3

=> d ibib abs 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:780502 CAPLUS

DOCUMENT NUMBER: 141:295848

TITLE: Preparation of bis(3-aminopyrrolidin-1-yl)methanones as melanin-concentrating hormone receptor antagonists for treatment of obesity and other disorders

INVENTOR(S): Goodfellow, Val; Rowbottom, Martin; Dyck, Brian P.; Tamiya, Junko; Zhang, Mingzhu; Grey, Jonathan; Vickers, Troy; Kiankarimi, Mehrak; Wade, Warren; Hudson, Sarah Clough

PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

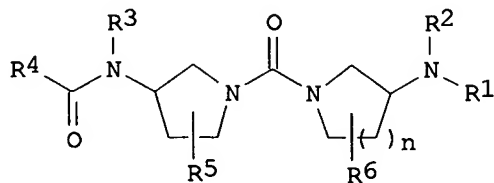
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080411	A2	20040923	WO 2004-US7260	20040308
WO 2004080411	A3	20041216		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

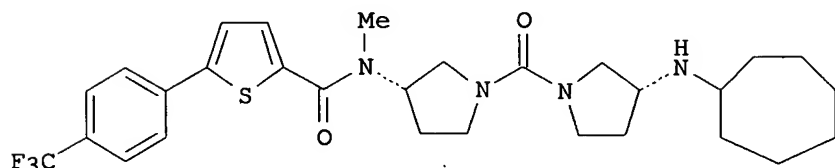


TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

US 2004259931 A1 20041223 US 2004-797487 20040308  
 PRIORITY APPLN. INFO.: US 2003-452709P P 20030307  
 OTHER SOURCE(S): MARPAT 141:295848  
 GI



I



II

AB Title pyrrolidinamines I [wherein n = 0, 1; R1 = H, (un)substituted (aryl)alkyl, heterocyclyl(alkyl); R2 = H, (un)substituted alkyl, COR7, SO2R8; or NR1R2 = (un)substituted heterocyclyl; R3, R5, R6, R8 = independently H, (un)substituted alkyl; R4 = (un)substituted alkyl, (hetero)aryl, heterocyclyl; R7 = independently H, OH, alkoxy, (un)substituted alkyl, aryl, heterocyclyl; R9 = OH, alkoxy, (un)substituted alkyl, aryl; and stereoisomers, prodrugs, or pharmaceutically acceptable salts thereof] were prepared as melanin-concentrating hormone (MCH) receptor antagonists. For example, a 6-step synthesis starting from (R)-3-amino-1-benzylpyrrolidine, 4-nitrophenyl (S)-3-[(tert-butoxycarbonyl) (methyl)amino]pyrrolidine-1-carboxylate, 4-trifluoromethyl-5-phenylthiophene-2-carboxylic acid, and cycloheptanone gave II. Over half of the exemplified invention compds., including II, exhibited the ability to bind to the human [125I]-MCH receptor with Ki values <1  $\mu$ M. Thus, I and their pharmaceutical compns. are useful for the treatment of MCH receptor-based disorders, such as obesity, anxiety, depression, digestive disorders, fertility, sexual function disorders, and urinary disorders (no data).

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:726586 CAPLUS

DOCUMENT NUMBER: 135:280591

TITLE: Photothermographic material using binder hardened with specific hardener and its development

INVENTOR(S): Hanyu, Takeshi; Usakawa, Yasushi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

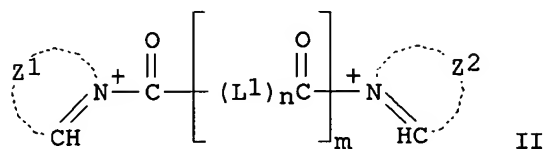
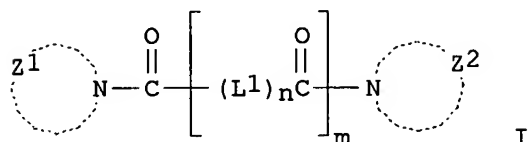
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001272751	A2	20011005	JP 2000-88777	20000328
PRIORITY APPLN. INFO.:			JP 2000-88777	20000328
OTHER SOURCE(S):	MARPAT 135:280591			
GI				



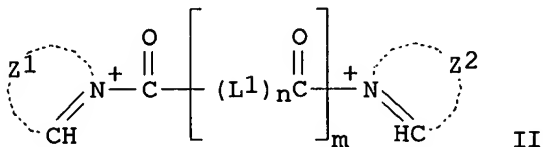
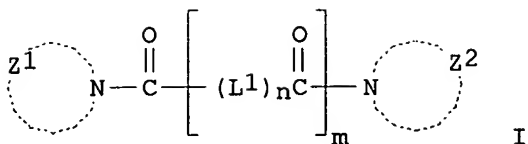
AB The material comprises a support having thereon (A) a photosensitive layer containing a photosensitive Ag halide, a reducing agent, and a binder and (B) a protective layer containing a fluorine compound, a matting agent, and a binder, in which the binder of the photosensitive or the protective layer is hardened with the hardener I or II [Z1, Z2 = atoms required to form a (substituted) 5- or 6-membered ring; L1 = bivalent linkage to link Z1 to Z2; m = 0, 1; upon m = 1, n = 0, 1]. It is developed at 80-120° by a heated drum or roller on which silicone rubber surface containing an iron oxide having 20-90 hardness (defined by A hardness measured by a durometer) and unevenness with 0.5-8 μm depth and 10-1000 number per/mm.. It shows improved abrasion resistance and improved printout and dirt prevention.

=> d ibib abs hitstr 2

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:726586 CAPLUS  
DOCUMENT NUMBER: 135:280591  
TITLE: Photothermographic material using binder hardened with specific hardener and its development  
INVENTOR(S): Hanyu, Takeshi; Usakawa, Yasushi  
PATENT ASSIGNEE(S): Konica Co., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRIORITY APPLN. INFO.:			JP 2000-88777	20000328
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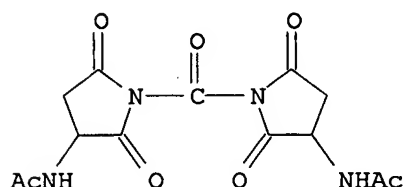
IT **363179-74-2**

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(hardener for binder; photothermog. material using hardened binder)

RN 363179-74-2 CAPLUS

CN Acetamide, N,N'-[carbonylbis(2,5-dioxo-1,3-pyrrolidinediyl)]bis- (9CI)  
(CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
12.04	173.58

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-2.19	-2.19

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 20:23:33 ON 10 JUN 2005

Connecting via Winsock to STN

6/10/05 10/797,497

Welcome to STN International! Enter x:x

LOGINID:SSSPTAAJP1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

*routine search*

*1) 0 - N - - - - - C - - - - - N - - - - - N*

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NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
fields  
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FILE 'HOME' ENTERED AT 18:32:33 ON 10 JUN 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:32:47 ON 10 JUN 2005

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DICTIONARY FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

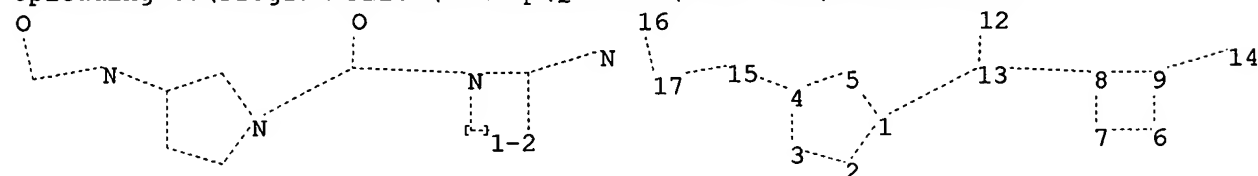
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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10797487\10797487a.str



chain nodes :

12 13 14 15 16 17  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 1-13 4-15 8-13 9-14 12-13 15-17 16-17  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-9  
 exact/norm bonds :  
 1-2 1-5 1-13 2-3 3-4 4-5 4-15 6-7 6-9 7-8 8-9 8-13 9-14 12-13 15-17  
 16-17

Match level :

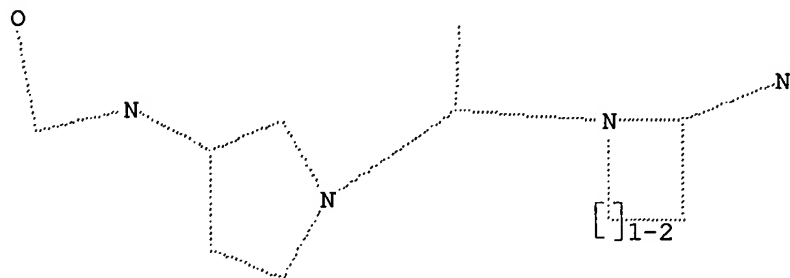
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS  
 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 18:33:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 18:33:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

162.19

162.40

FILE 'REGISTRY' ENTERED AT 18:34:35 ON 10 JUN 2005

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STRUCTURE FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

DICTIONARY FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

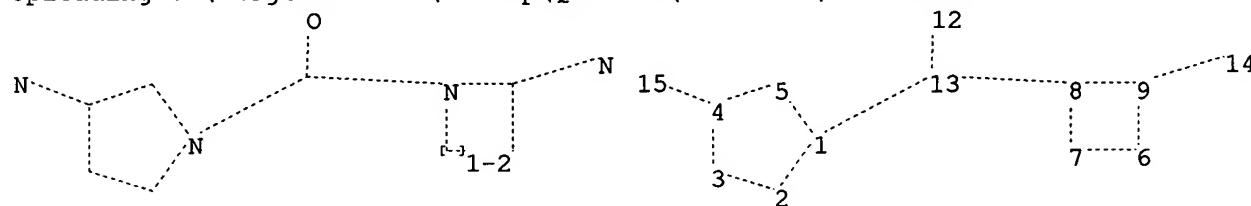
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

*Broken search*

=>

Uploading C:\Program Files\Stnexp\Queries\10797487\10797487b.str



chain nodes :

12 13 14 15

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-13 4-15 8-13 9-14 12-13

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-9

exact/norm bonds :

1-2 1-5 1-13 2-3 3-4 4-5 4-15 6-7 6-9 7-8 8-9 8-13 9-14 12-13

Match level :

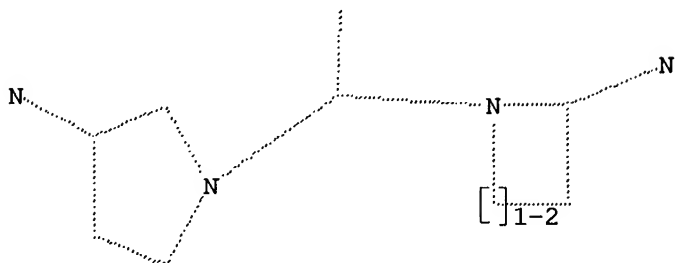
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS  
13:CLASS 14:CLASS 15:CLASS

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L4

SAMPLE SEARCH INITIATED 18:34:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 6 TO 266  
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s L4 full

FULL SEARCH INITIATED 18:35:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 191 TO ITERATE

100.0% PROCESSED 191 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

L6 0 SEA SSS FUL L4

=> fil casreact

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

323.73

FILE 'CASREACT' ENTERED AT 18:35:26 ON 10 JUN 2005  
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26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 5 Jun 2005 VOL 142 ISS 23

New CAS Information Use Policies, enter HELP USAGETERMS for details.

```
*****
*
*      CASREACT now has more than 9.2 million reactions      *
*
*****
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L1 full

FULL SEARCH INITIATED 18:35:33 FILE 'CASREACT'

SCREENING COMPLETE - 248 REACTIONS TO VERIFY FROM 4 DOCUMENTS

100.0% DONE 248 VERIFIED 0 HIT RXNS 0 DOCS  
SEARCH TIME: 00.00.01

L7 0 SEA SSS FUL L1 ( 0 REACTIONS)

=> s L4 full

FULL SEARCH INITIATED 18:35:50 FILE 'CASREACT'

SCREENING COMPLETE - 65 REACTIONS TO VERIFY FROM 3 DOCUMENTS

100.0% DONE 65 VERIFIED 0 HIT RXNS 0 DOCS  
SEARCH TIME: 00.00.01

L8 0 SEA SSS FUL L4 ( 0 REACTIONS)

=> fil beilstein

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

212.63

536.36

FILE 'BEILSTEIN' ENTERED AT 18:35:56 ON 10 JUN 2005

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licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE RELOADED ON OCTOBER 20, 2002

FILE LAST UPDATED ON APRIL 21, 2005

FILE COVERS 1771 TO 2004.

\*\*\* FILE CONTAINS 9,218,366 SUBSTANCES \*\*\*

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
\* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. \*  
\* FOR PRICE INFORMATION SEE HELP COST \*  
\*\*\*\*\*

**NEW**

\* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE  
SEARCHED, SELECTED AND TRANSFERRED.  
\* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,  
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A  
COMPOUND AT A GLANCE.

=> s L1 full

FULL SEARCH INITIATED 18:36:08 FILE 'BEILSTEIN'  
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.04

L9 0 SEA SSS FUL L1

=> s L4 full

FULL SEARCH INITIATED 18:36:19 FILE 'BEILSTEIN'  
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.02

L10 0 SEA SSS FUL L4

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	536.42

STN INTERNATIONAL LOGOFF AT 18:36:26 ON 10 JUN 2005

6/10/05 10/797,497

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FILE 'HOME' ENTERED AT 18:58:33 ON 10 JUN 2005

=> fil reg  
COST IN U.S. DOLLARS

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:58:39 ON 10 JUN 2005  
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*1/structure search* *broadscope*  
SINCE FILE ENTRY 0.21  
TOTAL SESSION 0.21

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7  
DICTIONARY FILE UPDATES: 9 JUN 2005 HIGHEST RN 852020-24-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

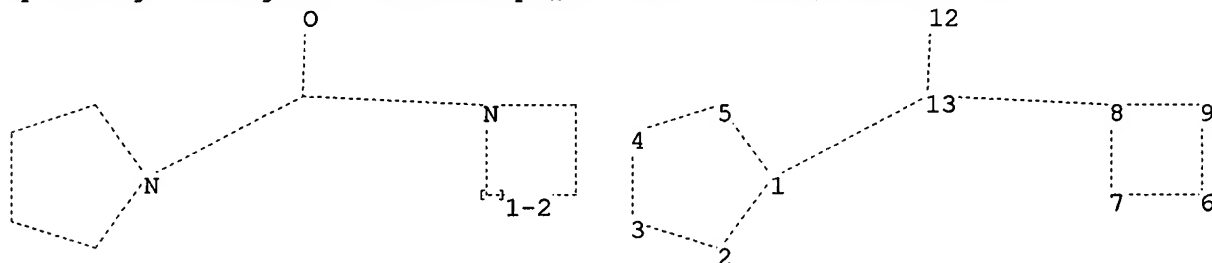
Please note that search-term pricing does apply when conducting \$martSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10797487\10797487c.str



chain nodes :

```

12 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-13 8-13 12-13
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-5 1-13 2-3 3-4 4-5 6-7 6-9 7-8 8-9 8-13 12-13

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:CLASS
13:CLASS

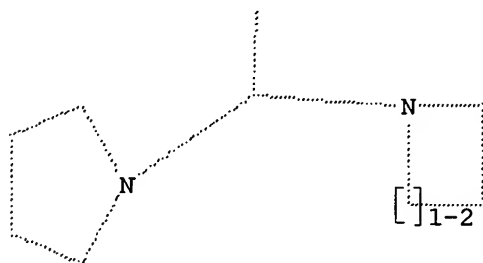
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 18:59:03 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 2832 TO ITERATE

35.3% PROCESSED 1000 ITERATIONS 13 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 53449 TO 59831  
PROJECTED ANSWERS: 372 TO 1100

L2 13 SEA SSS SAM L1

=> s L1 full

FULL SEARCH INITIATED 18:59:08 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 56498 TO ITERATE

100.0% PROCESSED 56498 ITERATIONS 694 ANSWERS  
SEARCH TIME: 00.00.01

L3 694 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 18:59:12 ON 10 JUN 2005

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FILE COVERS 1907 - 10 Jun 2005 VOL 142 ISS 25

FILE LAST UPDATED: 9 Jun 2005 (20050609/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3

L4 167 L3

=> d L4 1-167 ibib abs hitstr

L4 ANSWER 1 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:409546 CAPLUS

TITLE: New coupling agents for peptide synthesis

INVENTOR(S): Carpino, Louis A.; Xia, Jusong; Zhang, Chongwu; Sferdean, Calin Dan

PATENT ASSIGNEE(S): The University of Massachusetts, USA

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

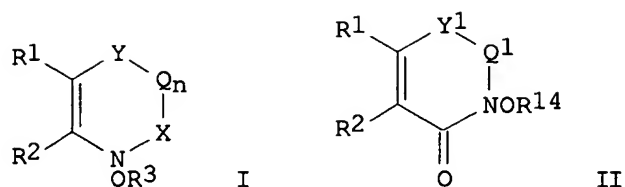
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042562	A2	20050512	WO 2004-US36428	20041101
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

US 2003-516167P

P 20031030

GI



AB The invention is directed to compds. I [R1, R2 taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring; R3 is a phosphoryl group; Y is O, NR4 or CR4R5, where R4, R5 are H or alkyl; X is CR6R7 or NR6, where R6, R7 are independently H or alkyl or together form an oxo group; Q is CR8R9 or NR8, where R8, R9 are independently H or alkyl or CR7R8 is an aryl ring; or R8 together with R4 or R6 forms a bond; n is 0 or 1] and II [R1, R2 taken together with the carbon atoms to which they are attached form a heteroaryl ring; R14 is a phosphoryl group, H or pos.-charged electron-withdrawing group; Y1 is N or CR15 and Q1 is N or CR16, where R15 and R16 are independently is H or alkyl] and their salts or N-oxides for use as peptide coupling reagents. Thus, diethoxyphosphoryloxy-7-azabenzotriazole (DEPOAt) was prepared by esterification of HOAt with di-Et chlorophosphate and examined for efficiency in solution- and solid-phase peptide coupling reactions.

IT **655244-98-7P**, HDAPyU

RL: RGT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(new coupling agents for peptide synthesis)

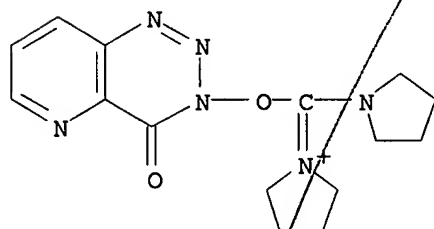
RN 655244-98-7 CAPLUS

CN Pyrrolidinium, 1-[[ (4-oxopyrido[3,2-d]-1,2,3-triazin-3(4H)-yl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 655244-97-6

CMF C15 H19 N6 O2

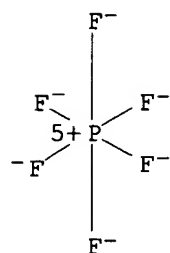


CM 2

CRN 16919-18-9

CMF F6 F

CCI CCS



L4 ANSWER 2 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:241091 CAPLUS

DOCUMENT NUMBER: 142:463849

TITLE: Diaminocarbene- and Fischer-carbene complexes of palladium and nickel by oxidative insertion: preparation, structure, and catalytic activity  
 AUTHOR(S): Kremzow, Doris; Seidel, Guenter; Lehmann, Christian W.; Fuerstner, Alois

CORPORATE SOURCE: Max-Planck-Institut fuer Kohlenforschung, Muelheim/Ruhr, 45470, Germany

SOURCE: Chemistry--A European Journal (2005), 11(6), 1833-1853  
 CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Oxidative insertion of [Pd(PPh<sub>3</sub>)<sub>4</sub>] or [Ni(cod)<sub>2</sub>]/PPh<sub>3</sub> into the C-Cl bond of various 2-chloroimidazolinium and other -amidinium salts affords metal-diaminocarbene complexes in good to excellent yields. This procedure is complementary to existing methodol. in which the central metal does not change its oxidation state, and therefore permits incorporation of carbene fragments that are difficult to access otherwise. The preparation of a variety of achiral as well as enantiomerically pure, chiral metal-NHC complexes (NHC = N-heterocyclic carbene) and metal complexes with acyclic diaminocarbene ligands illustrates this aspect. Oxidative insertion also paves the way to prototype Fischer carbenes of PdII. Since the required starting materials are readily available from urea or thiourea derivs., this novel approach allows for substantial structural variations of the ligand backbone. The catalytic performance of the resulting library of Ni- and Pd-carbene complexes was evaluated by applications to prototype Suzuki, Heck, and Kumada-Corriu cross-coupling reactions as well as Buchwald-Hartwig aminations. Even Fischer carbenes show appreciable catalytic activity. Also, representative examples of all types of neutral and cationic metal-carbene complexes formed in this study were characterized by x-ray crystallog.

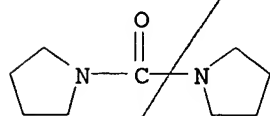
IT 81759-25-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diaminocarbene and Fischer carbene complexes of palladium and nickel by oxidative insertion, their structures and activity as coupling and amination catalysts)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

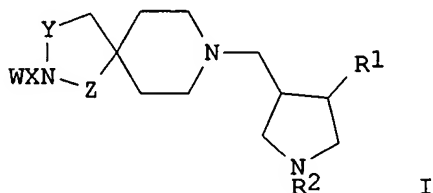
182 THERE ARE 182 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 3 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2005:238987 CAPLUS  
DOCUMENT NUMBER: 142:316819  
TITLE: Preparation of pyrrolidinylmethyldiazaspirodecanones  
and related compounds as modulators of CCR5 chemokine  
receptor activity.  
INVENTOR(S): Kong, Laval Chan Chun; Zhang, Ming-Qiang; Moinet,  
Christophe; Courchesne, Marc; Reddy, Thumkunta  
Jagadeeswar  
PATENT ASSIGNEE(S): Virochem Pharma Inc., Can.  
SOURCE: PCT Int. Appl., 173 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023809	A1	20050317	WO 2004-CA1656	20040909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005070563	A1	20050331	US 2004-937880	20040910
PRIORITY APPLN. INFO.:			US 2003-501407P	P 20030910
OTHER SOURCE(S):	MARPAT 142:316819			

GI



AB Title compds. [I; X, Y, Z = CH<sub>2</sub>, CO, CR<sub>3</sub>R<sub>4</sub>; W = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaralkyl; R<sub>1</sub> = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaralkyl; R<sub>2</sub> = COR<sub>5</sub>, CONR<sub>5</sub>R<sub>6</sub>, CO<sub>2</sub>R<sub>5</sub>, SO<sub>2</sub>R<sub>5</sub>, CR<sub>5</sub>R<sub>6</sub>R<sub>7</sub>; R<sub>3</sub>, R<sub>4</sub> = H, (substituted) alkyl, alkenyl, alkynyl, aryl; R<sub>5</sub>-R<sub>7</sub> = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaralkyl; R<sub>5</sub>R<sub>6</sub> = atoms to form (substituted) heterocyclyl], were prepared Thus, tert-Bu 3-(RS)-formyl-4-(SR)-phenylpyrrolidine-1-carboxylate (preparation given), 2-(4-bromobenzyl)-2,8-diazaspiro[4.5]decan-1-one hydrochloride (preparation given), and Et<sub>3</sub>N were stirred together for 10 min. in dichloroethane; triacetoxyborohydride was added followed by overnight agitation to give 89.3% tert-Bu 3-(RS)-[2-(4-bromobenzyl)-1-oxo-2,8-diazaspiro[4.5]dec-8-ylmethyl]-4-(SR)-phenylpyrrolidine-1-carboxylate. I show CCR5 chemokine



modulator activity generally with IC50 values of <25 µM.

IT 848305-84-0P 848305-85-1P 848305-90-8P

848305-91-9P

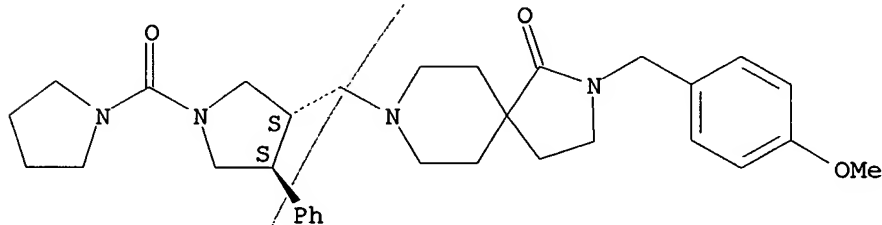
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyrrolidinylmethyldiazaspirodecanones and related compds. as modulators of chemokine receptor activity)

RN 848305-84-0 CAPLUS

CN Pyrrolidine, 3-[[2-[(4-methoxyphenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl)methyl]-4-phenyl-1-(1-pyrrolidinylcarbonyl)-, (3S,4S)- (9CI) (CA INDEX NAME)

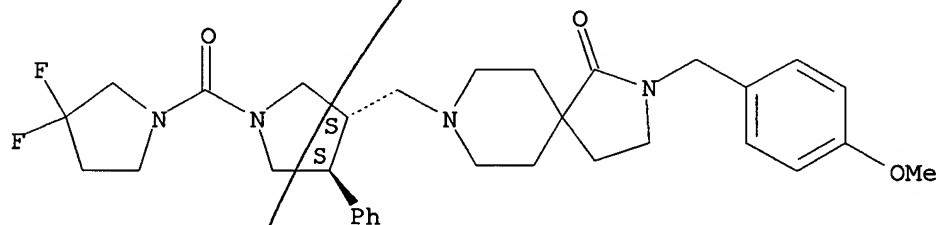
Absolute stereochemistry.



RN 848305-85-1 CAPLUS

CN Pyrrolidine, 1-[(3,3-difluoro-1-pyrrolidinyl)carbonyl]-3-[[2-[(4-methoxyphenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl)methyl]-4-phenyl-, (3S,4S)- (9CI) (CA INDEX NAME)

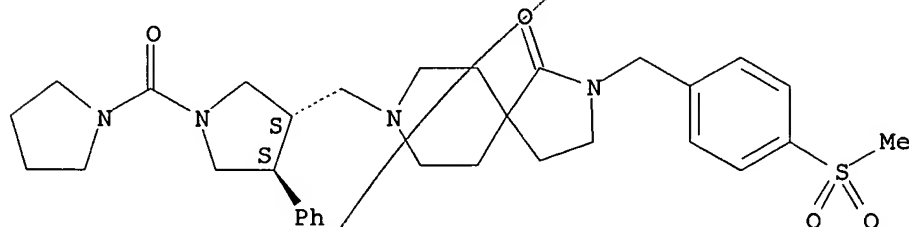
Absolute stereochemistry.



RN 848305-90-8 CAPLUS

CN Pyrrolidine, 3-[[2-[[4-(methylsulfonyl)phenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl)methyl]-4-phenyl-1-(1-pyrrolidinylcarbonyl)-, (3S,4S)- (9CI) (CA INDEX NAME)

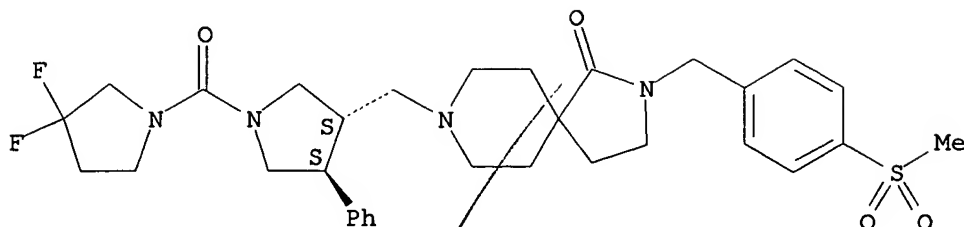
Absolute stereochemistry.



RN 848305-91-9 CAPLUS

CN Pyrrolidine, 1-[(3,3-difluoro-1-pyrrolidinyl)carbonyl]-3-[[2-[[4-(methylsulfonyl)phenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl)methyl]-4-phenyl-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 848307-75-5P 848307-76-6P 848307-81-3P  
848307-82-4P

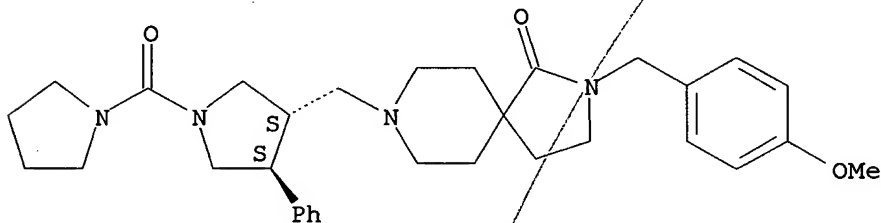
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of pyrrolidinylmethyldiazaspirodecanones and related compds. as  
modulators of chemokine receptor activity)

RN 848307-75-5 CAPLUS

CN Pyrrolidine, 3-[[2-[(4-methoxyphenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-  
8-yl]methyl]-4-phenyl-1-(1-pyrrolidinylcarbonyl)-, monohydrochloride,  
(3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

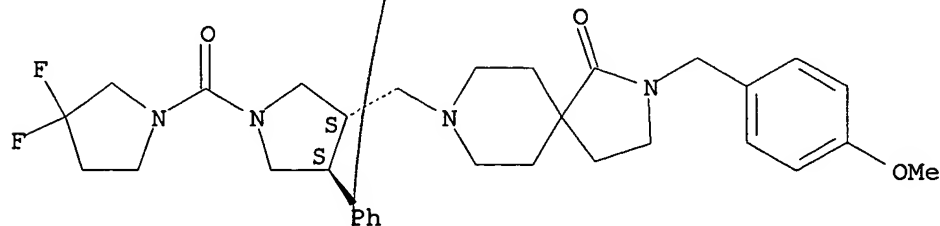


● HCl

RN 848307-76-6 CAPLUS

CN Pyrrolidine, 1-[(3,3-difluoro-1-pyrrolidinyl)carbonyl]-3-[[2-[(4-  
methoxyphenyl)methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl]methyl]-4-phenyl-,  
monohydrochloride, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

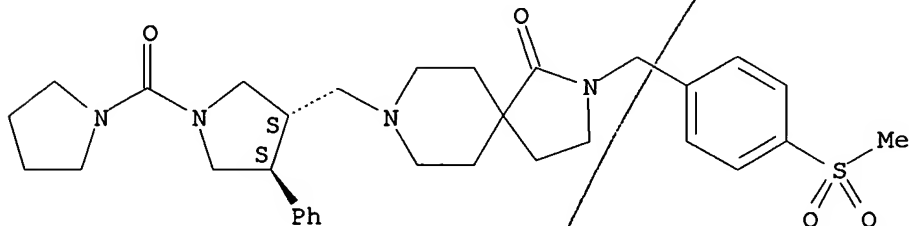


● HCl

RN 848307-81-3 CAPLUS

CN Pyrrolidine, 3-[[2-[[4-(methylsulfonyl)phenyl]methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl]methyl]-4-phenyl-1-(1-pyrrolidinylcarbonyl)-, monohydrochloride, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

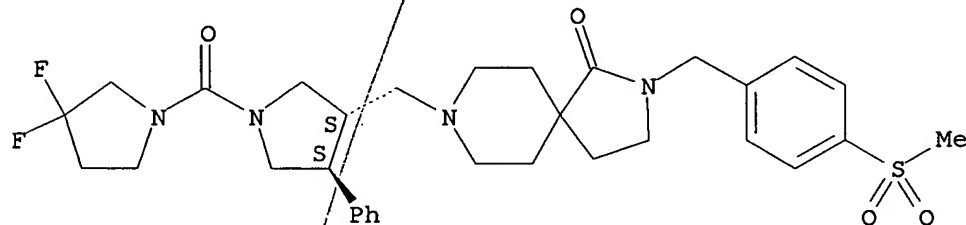


● HCl

RN 848307-82-4 CAPLUS

CN Pyrrolidine, 1-[(3,3-difluoro-1-pyrrolidinyl)carbonyl]-3-[[2-[[4-(methylsulfonyl)phenyl]methyl]-1-oxo-2,8-diazaspiro[4.5]dec-8-yl]methyl]-4-phenyl-, monohydrochloride, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:74105 CAPLUS

DOCUMENT NUMBER: 142:176874

TITLE: A preparation of 3-hydroxy-4-oxo-1,2,3-triazine derivatives, useful for amide and ester bond formation

INVENTOR(S): Shpernat, Yaacov; Mizhiritskii, Michael

PATENT ASSIGNEE(S): Frutarom Ltd., Israel

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007634	A1	20050127	WO 2004-IL652	20040718
WO 2005007634	C1	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRIORITY APPLN. INFO.:

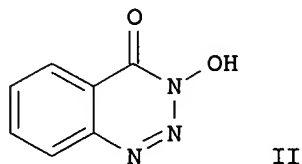
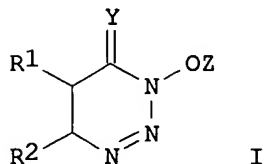
US 2003-487962P

P 20030718

OTHER SOURCE(S):

CASREACT 142:176874; MARPAT 142:176874

GI



AB The invention relates to the use of triazine derivs. of formula I [wherein: Y is O, S, NH, or N(alkyl), etc.; R1 and R2 are independently selected from H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; Z is H, P(O)(OH)2, P(O)(O-alkyl)2, or C(O)CH(NHR3)(R4), etc.; R3 is N-protecting group; R4 is aminoacid residue] as a coupling reagent in forming amide or ester bonds from a reaction between a carboxylic acid and an amine or an alc. The compds. of formula I are especially useful as coupling reagents in the preparation of peptide bonds during peptide synthesis. In particular, the compds. of formula I are useful in promoting the formation of reactive reaction intermediates, inhibiting side reactions and in suppression of racemization. For instance, triazine derivative II was prepared via hydroxyamidation of Me anthranilate by NH2OH•HCl, diazotization of the obtained H2N-o-C6H4-C(O)NHOH, and subsequent intramol. heterocyclization (yields: hydroxyamidation - 65%, diazotization/heterocyclization - 75-82%).

IT **655244-96-5P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 3-hydroxy-4-oxo-1,2,3-triazine derivs. useful for amide and ester bond formation)

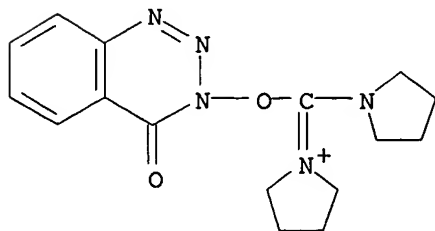
RN 655244-96-5 CAPLUS

CN Pyrrolidinium, 1-[[ (4-oxo-1,2,3-benzotriazin-3(4H)-yl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 655244-95-4

CMF C16 H20 N5 O2

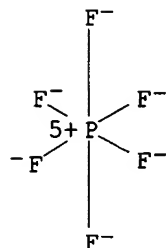


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:1127331 CAPLUS  
DOCUMENT NUMBER: 142:93683  
TITLE: Preparation of pyrrolidines and piperidines as NK1 antagonist  
INVENTOR(S): Wager, Travis T.; Welch, Willard Mckowan, Jr.; O'Neill, Brian Thomas  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 119 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110996	A1	20041223	WO 2004-IB1910	20040607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005043354	A1	20050224	US 2004-868919	20040615
PRIORITY APPLN. INFO.:			US 2003-479901P	P 20030619
OTHER SOURCE(S):		MARPAT 142:93683		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Tilte compds. I [wherein A (CH<sub>2</sub>)<sub>a</sub>; B = (CH<sub>2</sub>)<sub>m</sub>; D = (NR<sub>3</sub>CR<sub>4</sub>R<sub>5</sub>)<sub>n</sub>; E =

(CH<sub>2</sub>)<sub>p</sub>; m, n = independently 0-1; p, a = independently 0-3; R<sub>1</sub>, R<sub>2</sub> = independently alkyl, alkoxy, CF<sub>3</sub>, OCF<sub>3</sub>, halo; R<sub>3</sub> = halo, alkyl; R<sub>4</sub> = H, alk(en)yl, cycloalkyl, or R<sub>3</sub>NCR<sub>4</sub> = 5-6-membered heterocyclic ring; R<sub>5</sub> = H, alkyl, or R<sub>4</sub>CR<sub>5</sub> = cycloalkyl; R<sub>6</sub>, R<sub>7</sub> = independently H, halo, alkyl; R<sub>9</sub>, R<sub>10</sub> = independently H, alkyl, or when m = 1, then R<sub>10</sub> and R<sub>8</sub> together with R<sub>9</sub> and the C atoms to which they are resp. attached may form a 8-14-membered heterobicyclic ring; R<sub>11</sub> = H, R<sub>11</sub>CCR<sub>9</sub> = cycloalkyl, or when m = 0 and R<sub>10</sub> = H, R<sub>9</sub>CCR<sub>11</sub> = 5-7-membered heterocyclic ring; R<sub>8</sub> = H, acyl, alkyl, (un)substituted piperazin-1-yl, etc.; and their pharmaceutically acceptable salts and solvates, including their (R)/(S) enantiomers and cis/trans isomers] were prepared as neurokinin inhibitors, in particular NK1 antagonists. For example, reductive amination of 2-benzyl-3-formylpiperidine-1-carboxylic acid tert-Bu ester (preparation given) with 1-(piperazin-1-yl)ethanone, BOC-deprotection, coupling with 3,5-bis(trifluoromethyl)benzoyl chloride, and chiral chromatog. afforded the individual enantiomers of II. In an assay of NK1 binding, I displayed K<sub>i</sub> of about 1 μM or less. I are useful for treating neurokinin-mediated conditions.

IT 815629-44-8P 815629-46-0P 815629-48-2P  
815629-50-6P 815629-81-3P 815629-83-5P  
815629-85-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(NK1 antagonist; preparation of pyrrolidines and piperidines as NK1 antagonist)

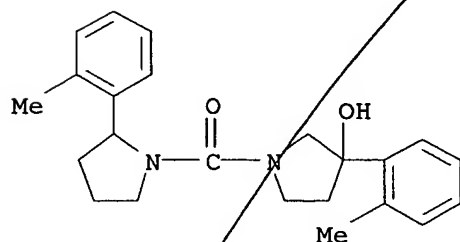
RN 815629-44-8 CAPLUS

CN 3-Pyrrolidinol, 3-(2-methylphenyl)-1-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 815629-43-7

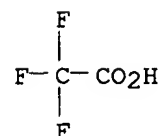
CMF C23 H28 N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



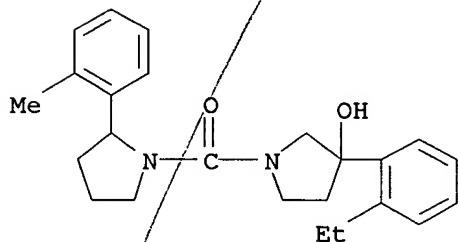
RN 815629-46-0 CAPLUS

CN 3-Pyrrolidinol, 3-(2-ethylphenyl)-1-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 815629-45-9

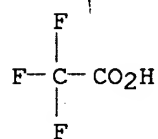
CMF C24 H30 N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



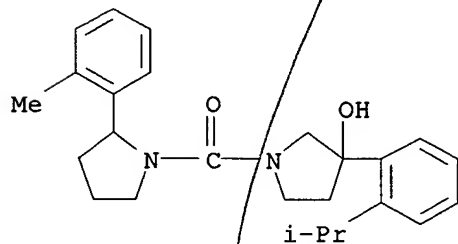
RN 815629-48-2 CAPLUS

CN 3-Pyrrolidinol, 3-[2-(1-methylethyl)phenyl]-1-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 815629-47-1

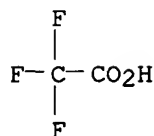
CMF C25 H32 N2 O2



CM 2

CRN 76-05-1

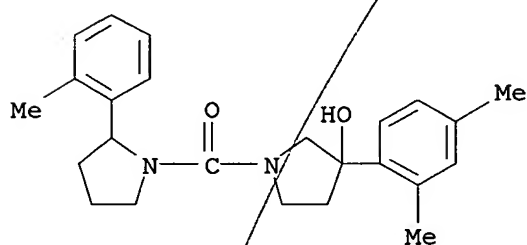
CMF C2 H F3 O2



RN 815629-50-6 CAPLUS  
 CN 3-Pyrrolidinol, 3-(2,4-dimethylphenyl)-1-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

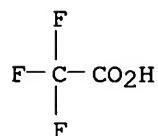
CM 1

CRN 815629-49-3  
 CMF C24 H30 N2 O2



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



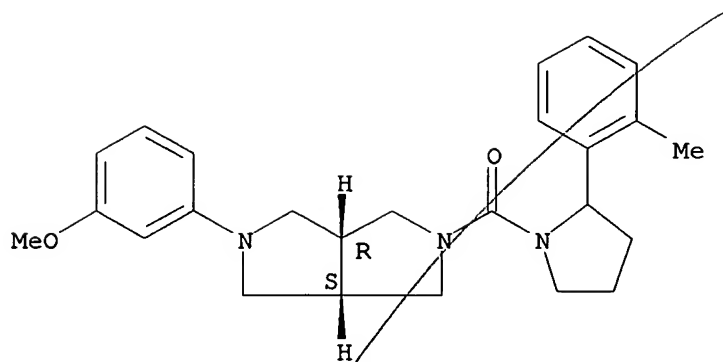
RN 815629-81-3 CAPLUS  
 CN Pyrrolo[3,4-c]pyrrole, octahydro-2-(3-methoxyphenyl)-5-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, (3aR,6aS)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 815629-80-2  
 CMF C25 H31 N3 O2

Relative stereochemistry.

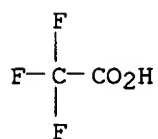




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 815629-83-5 CAPLUS

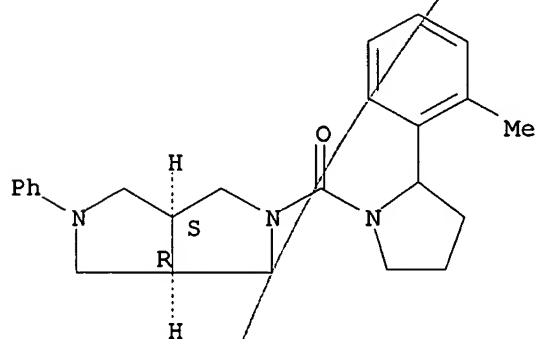
CN Pyrrolo[3,4-c]pyrrole, octahydro-2-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-5-phenyl-, (3aR, 6aS)-rel-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

CRN 815629-82-4

CMF C24 H29 N3 O

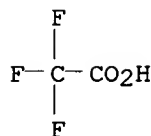
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 815629-85-7 CAPLUS

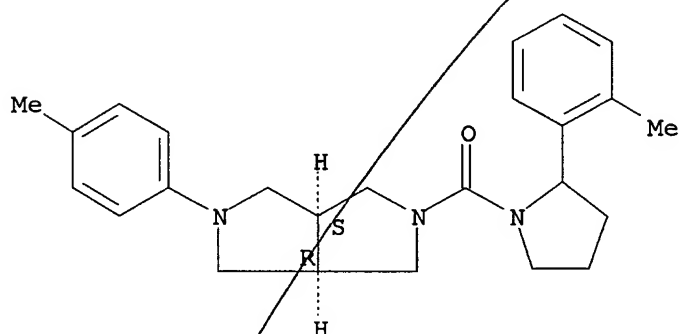
CN Pyrrolo[3,4-c]pyrrole, octahydro-2-(4-methylphenyl)-5-[[2-(2-methylphenyl)-1-pyrrolidinyl]carbonyl]-, (3aR,6aS)-rel-, mono(trifluoroacetate) (9CI)  
(CA INDEX NAME)

CM 1

CRN 815629-84-6

CMF C25 H31 N3 O

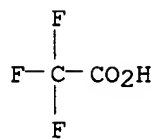
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:965242 CAPLUS

DOCUMENT NUMBER: 141:410806

TITLE: Preparation of azetidinecarboxamide derivatives and analogs for the treatment of CB1 receptor-mediated disorders

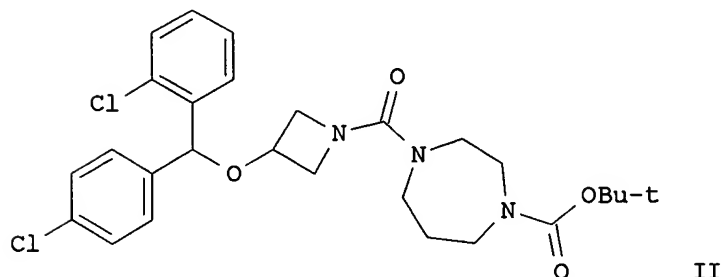
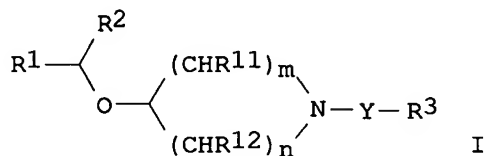
INVENTOR(S): Davidson, James Edward Paul; Bentley, Jonathan Mark; Dawson, Claire Elizabeth; Harrison, Kerry; Mansell, Howard Langham; Pither, Alan Leslie; Pratt, Robert Mark; Roffey, Jonathan Richard Anthony; Ruston, Victoria Jane

PATENT ASSIGNEE(S): Vernalis Research Limited, UK

SOURCE: PCT Int. Appl., 82 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096794	A1	20041111	WO 2004-GB1884	20040429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2003-10052 A 20030501  
 OTHER SOURCE(S): MARPAT 141:410806  
 GI



AB Title compds. I [wherein R1 = (hetero)aryl; R2 = alkyl or (hetero)aryl; R3 = alkyl, (hetero)aryl, substituted amino, alkoxy or amide; R11, R12 = H or alkyl; Y = C(O), C(S), SO2, or alkylene; m = 1 or 2; n = 1 or 2; with some limitations, and pharmaceutically acceptable salts or prodrugs thereof], useful for the treatment of CB1 receptor-mediated disorders, such as obesity, were prepared. Compds. I were tested in several biol. assays, and six compds. were reported to have binding constant Ki values from 0.8 to 27.7 nM against recombinant human CB1 (hCB1) receptor. For example, azetidinecarboxamide II was synthesized in several steps, and had Ki value of 0.8 nM against hCB1 receptor.

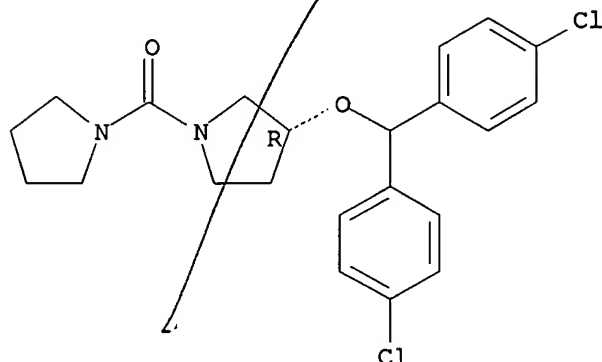
IT **791119-40-9P**, (R)-1-(1-Pyrrolidinecarbonyl)-3-(4,4'-dichlorobenzhydryloxy)pyrrolidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azetidinecarboxamide derivs. and analogs for

treatment of CB1 receptor mediated disorders)  
RN 791119-40-9 CAPLUS  
CN Pyrrolidine, 3-[bis(4-chlorophenyl)methoxy]-1-(1-pyrrolidinylcarbonyl)-,  
(3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:802720 CAPLUS

DOCUMENT NUMBER: 141:314159

TITLE: Preparation of lactam-containing cyclic diamines and derivatives as factor Xa inhibitors for treating thromboembolic disorders

INVENTOR(S): Qiao, Jennifer X.; Wang, Tammy C.; Wang, Gren Z.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 260 pp.

CODEN: PIXXD2

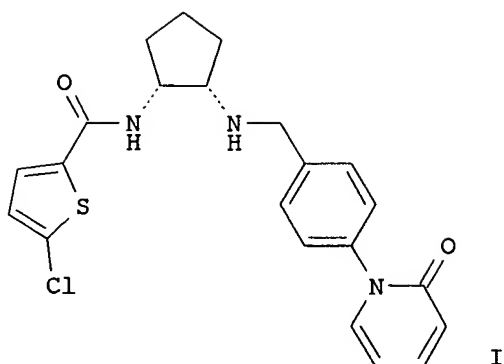
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

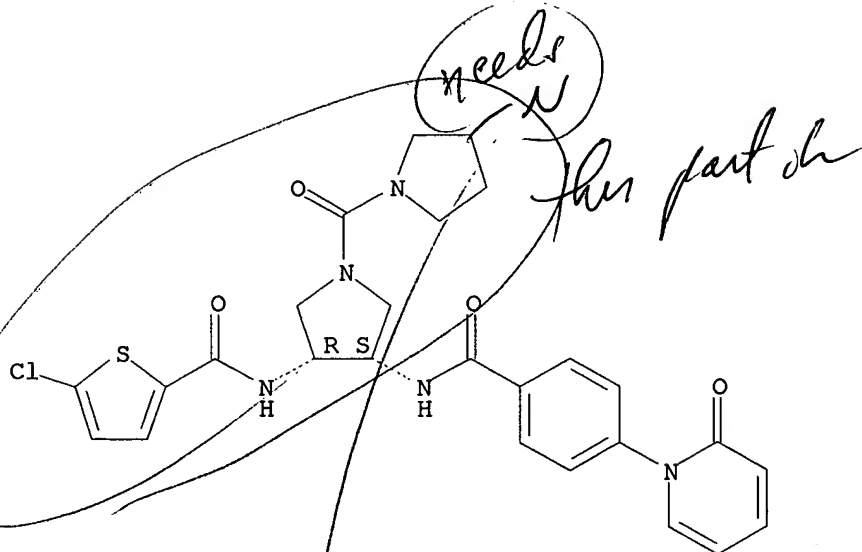
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082687	A1	20040930	WO 2004-US8088	20040317
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004204454	A1	20041014	US 2004-801469	20040316
PRIORITY APPLN. INFO.:			US 2003-455733P	P 20030318
			US 2003-508232P	P 20031002
			US 2004-801469	A 20040316
OTHER SOURCE(S):	MARPAT 141:314159			
GI				



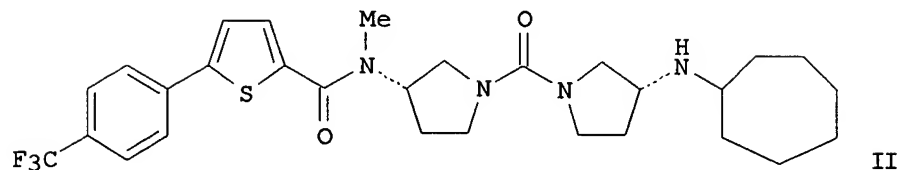
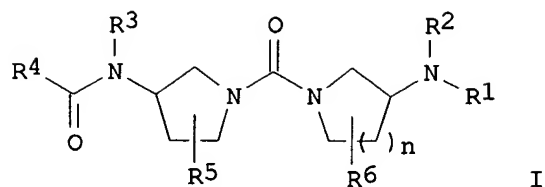
- AB Title compds. of formula G-G1-M-Z-A-B [wherein M = central ring selected from (un)substituted optionally fused cyclopentane, or cyclohexane, (un)substituted tetrahydropyran, piperidine, piperidin-2-one, pyrrolidine, etc.; G = benzofused ring; G1 = (CH<sub>2</sub>)<sub>1-5</sub> and derivs., (un)substituted CH<sub>2</sub>:CH<sub>2</sub>, C(:O), NH, NHCO SO<sub>2</sub>NH, SO<sub>2</sub>NHCO, all of the above optionally substituted on one or both ends with alkylene groups, etc., with provisos; Z = NHCO, CONH, Z = (CH<sub>2</sub>)<sub>1-5</sub> and derivs., (un)substituted NHCO, CONH, CO, NHC(:S)NH, S, SO, SO<sub>2</sub>, SONH, SO<sub>2</sub>NH, all of the above optionally substituted on one or both ends with alkylene groups, etc.; A = (un)substituted carbo- or heterocycle; B = lactam or sulfam bound to A ring through an optional linking group attached to the N, pharmaceutically acceptable salts] were prepared as inhibitors of trypsin-like serine proteases, specifically factor Xa, for treating thromboembolic disorders. For example, I was prepared by reductive amination of 4-(2-oxo-2H-pyridin-1-yl)benzaldehyde (preparation given) with (1R,2S)-5-Chlorothiophene-2-carboxylic acid (2-aminocyclopentyl)amide in CH<sub>2</sub>Cl<sub>2</sub> in the presence of NaBH(OAc)<sub>3</sub>/AcOH. Selected invention compds. displayed  $K_i \leq 10$   $\mu$ M in a spectrophotometrical assay using purified human factor Xa.
- IT **766553-31-5P**, 5-Chlorothiophene-2-carboxylic acid  
 N-[(3R,4S)-4-[4-(2-oxo-2H-pyridin-1-yl)benzoylamino]-1-[(pyrrolidin-1-yl)carbonyl]pyrrolidin-3-yl]amide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (factor Xa inhibitor; preparation of lactam-containing cyclic diamines and derivs. as factor Xa inhibitors for treating thromboembolic disorders)
- RN 766553-31-5 CAPLUS
- CN 2-Thiophenecarboxamide, 5-chloro-N-[(3R,4S)-4-[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-1-(1-pyrrolidinylcarbonyl)-3-pyrrolidinyl]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:780502 CAPLUS  
 DOCUMENT NUMBER: 141:295848  
 TITLE: Preparation of bis(3-aminopyrrolidin-1-yl)methanones as melanin-concentrating hormone receptor antagonists for treatment of obesity and other disorders  
 INVENTOR(S): Goodfellow, Val; Rowbottom, Martin; Dyck, Brian P.; Tamiya, Junko; Zhang, Mingzhu; Grey, Jonathan; Vickers, Troy; Kiankarimi, Mehrak; Wade, Warren; Hudson, Sarah Clough  
 PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080411	A2	20040923	WO 2004-US7260	20040308
WO 2004080411	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004259931 A1 20041223 US 2004-797487 20040308 PRIORITY APPLN. INFO.: US 2003-452709P P 20030307 OTHER SOURCE(S): MARPAT 141:295848 GI				



AB Title pyrrolidinamines I [wherein n = 0, 1; R1 = H, (un)substituted (aryl)alkyl, heterocyclyl(alkyl); R2 = H, (un)substituted alkyl, COR7, SO2R8; or NR1R2 = (un)substituted heterocyclyl; R3, R5, R6, R8 = independently H, (un)substituted alkyl; R4 = (un)substituted alkyl, (hetero)aryl, heterocyclyl; R7 = independently H, OH, alkoxy, (un)substituted alkyl, aryl, heterocyclyl; R9 = OH, alkoxy, (un)substituted alkyl, aryl; and stereoisomers, prodrugs, or pharmaceutically acceptable salts thereof] were prepared as melanin-concentrating

hormone (MCH) receptor antagonists. For example, a 6-step synthesis starting from (R)-3-amino-1-benzylpyrrolidine, 4-nitrophenyl (S)-3-[(tert-butoxycarbonyl)(methyl)amino]pyrrolidine-1-carboxylate, 4-trifluoromethyl-5-phenylthiophene-2-carboxylic acid, and cycloheptanone gave II. Over half of the exemplified invention compds., including II, exhibited the ability to bind to the human [125I]-MCH receptor with Ki values <1  $\mu$ M. Thus, I and their pharmaceutical compns. are useful for the treatment of MCH receptor-based disorders, such as obesity, anxiety, depression, digestive disorders, fertility, sexual function disorders, and urinary disorders (no data).

IT 764718-84-5P 764721-04-2P 764721-71-3P

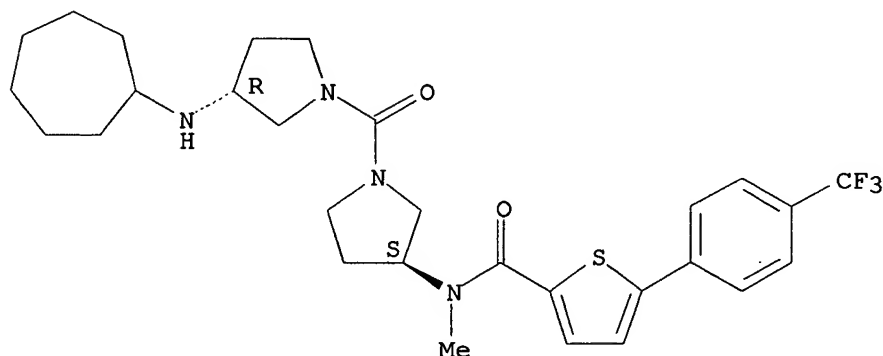
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(MCH receptor antagonist; preparation of pyrrolidinamines as MCH receptor antagonists for treatment of obesity and other disorders)

RN 764718-84-5 CAPLUS

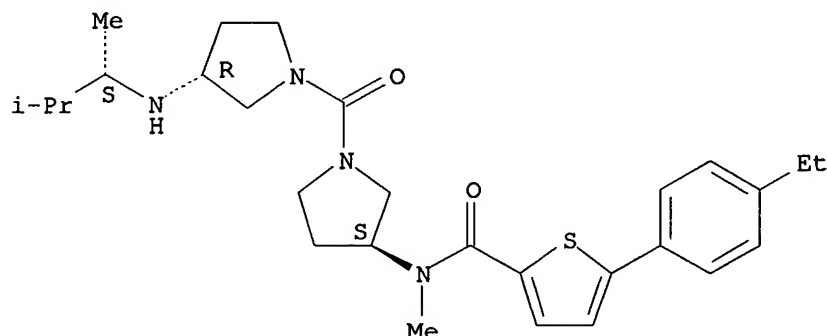
CN 2-Thiophenecarboxamide, N-[(3S)-1-[[ (3R)-3-(cycloheptylamino)-1-pyrrolidinyl]carbonyl]-3-pyrrolidinyl]-N-methyl-5-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



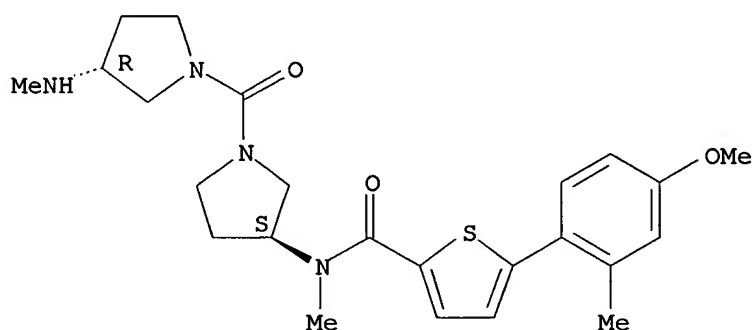
RN 764721-04-2 CAPLUS  
 CN 2-Thiophenecarboxamide, N-[(3S)-1-[[[(3R)-3-[[[(1S)-1,2-dimethylpropyl]amino]-1-pyrrolidinyl]carbonyl]-3-pyrrolidinyl]-5-(4-ethylphenyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 764721-71-3 CAPLUS  
 CN 2-Thiophenecarboxamide, 5-(4-methoxy-2-methylphenyl)-N-methyl-N-[(3S)-1-[[[(3R)-3-(methylamino)-1-pyrrolidinyl]carbonyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 764718-25-4P 764718-27-6P 764718-29-8P  
 764718-31-2P 764718-33-4P 764718-35-6P  
 764718-37-8P 764718-39-0P 764718-41-4P  
 764718-43-6P 764718-45-8P 764718-47-0P  
 764718-49-2P 764718-51-6P 764718-53-8P  
 764718-55-0P 764718-57-2P 764718-59-4P  
 764718-61-8P 764718-63-0P 764718-65-2P  
 764718-67-4P 764718-69-6P 764718-71-0P  
 764718-73-2P 764718-75-4P 764718-77-6P  
 764718-79-8P 764718-81-2P 764718-83-4P  
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 764720-87-8P 764720-88-9P 764720-89-0P  
 764720-90-3P 764720-92-5P 764720-94-7P  
 764720-96-9P 764720-97-0P 764720-98-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

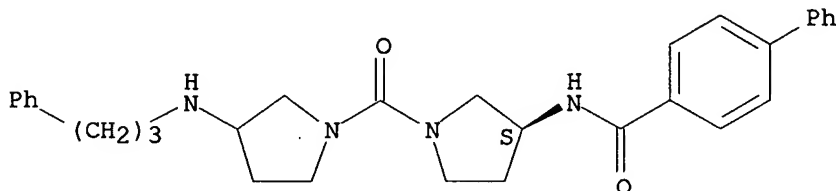
(MCH receptor antagonist; preparation of pyrrolidinamines as MCH receptor  
 antagonists for treatment of obesity and other disorders)

RN 764718-25-4 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxamide, N-[(3S)-1-[[3-[(3-phenylpropyl)amino]-1-pyrrolidinyl]carbonyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

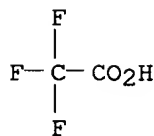
CRN 764718-24-3  
CMF C31 H36 N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

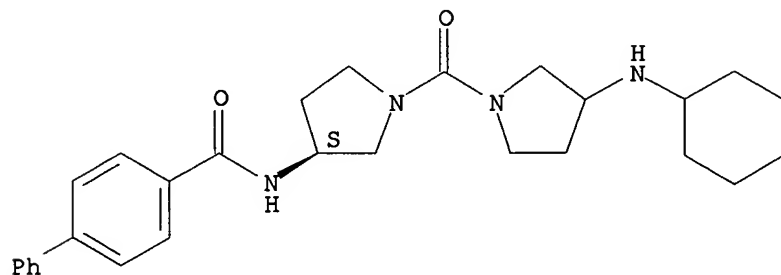


RN 764718-27-6 CAPLUS  
CN [1,1'-Biphenyl]-4-carboxamide, N-[(3S)-1-[[3-(cyclohexylamino)-1-pyrrolidinyl]carbonyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 764718-26-5  
CMF C28 H36 N4 O2

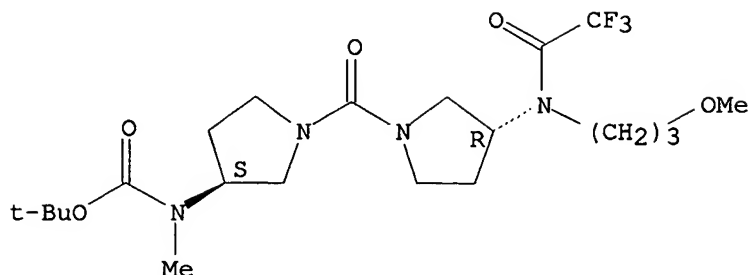
Absolute stereochemistry.



CM 2

CRN 76-05-1

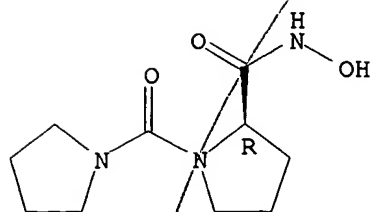
Absolute stereochemistry.



L4 ANSWER 9 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:718284 CAPLUS  
DOCUMENT NUMBER: 141:236618  
TITLE: Inhibitors of hepatitis C virus, compositions and treatments using the same  
INVENTOR(S): Duggal, Rohit; Patick, Amy Karen; Zhao, Weidong; Herlihy, KOLEEN JILL; Sha, Eiann; Liu, Wei  
PATENT ASSIGNEE(S): Pfizer Inc., USA  
SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004073599	A2	20040902	WO 2004-IB403	20040206
WO 2004073599	A3	20041223		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004229817	A1	20041118	US 2004-782679	20040218
PRIORITY APPLN. INFO.:			US 2003-448253P	P 20030218
OTHER SOURCE(S): MARPAT 141:236618				
AB The invention relates to methods of inhibiting HCV viral replication activity comprising contacting an HCV polymerase with a therapeutically effective amount of a hydroxamate MMP inhibitor, and composition comprising the same.				
IT 256646-45-4				
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(inhibitors of hepatitis C virus)				
RN 256646-45-4 CAPLUS				
CN 2-Pyrrolidinecarboxamide, N-hydroxy-1-(1-pyrrolidinylcarbonyl)-, (2R)-(9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L4 ANSWER 10 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:432027 CAPLUS

DOCUMENT NUMBER: 141:190649

TITLE: Catalytic Asymmetric 1,4-Addition Reactions Using  $\alpha,\beta$ -Unsaturated N-Acylpyrroles as Highly Reactive Monodentate  $\alpha,\beta$ -Unsaturated Ester Surrogates

AUTHOR(S): Matsunaga, Shigeki; Kinoshita, Tomofumi; Okada, Shigemitsu; Harada, Shinji; Shibasaki, Masakatsu

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, The University of Tokyo, Bunkyo-ku Tokyo, 113-0033, Japan

SOURCE: Journal of the American Chemical Society (2004), 126(24), 7559-7570

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:190649

AB Synthesis and application of  $\alpha,\beta$ -unsatd. N-acylpyrroles as highly reactive, monodentate ester surrogates in the catalytic asym. epoxidn. and Michael reactions are described.  $\alpha,\beta$ -Unsatd. N-acylpyrroles with various functional groups were synthesized by the Wittig reaction using ylide  $\text{Ph}_3\text{P}:\text{CHCOR}$  ( $\text{R} = 1\text{-pyrrolyl}$ ). A  $\text{Sm}(\text{O}-i\text{-Pr})_3/\text{H}_8\text{-BINOL}$  complex was the most effective catalyst for the epoxidn. to afford pyrrolyl epoxides in up to 100% yield and >99% ee. Catalyst loading was successfully reduced to as little as 0.02 mol % (substrate/catalyst = 5000). The high turnover frequency and high volumetric productivity of the present reaction are also noteworthy. In addition, a sequential Wittig olefination-catalytic asym. epoxidn. reaction was developed, providing efficient one-pot access to optically active epoxides from various aldehydes in high yield and ee (96-99%). In a direct catalytic asym. Michael reaction of hydroxy ketones promoted by the  $\text{Et}_2\text{Zn}/\text{linked-BINOL}$  complex, Michael adducts were obtained in good yield (74-97%), dr (69/31-95/5), and ee (73-95%). This represents the first direct catalytic asym. Michael reaction of unmodified ketone to an  $\alpha,\beta$ -unsatd. carboxylic acid derivative. The properties of  $\alpha,\beta$ -unsatd. N-acylpyrrole are also discussed. Finally, the utility of the N-acylpyrrole unit for further transformations is demonstrated.

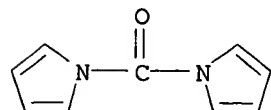
IT 54582-33-1, 1,1'-Carbonyl dipyrrole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and catalytic asym. epoxidn., sequential Wittig olefination-catalytic asym. epoxidn., and direct catalytic asym. Michael reaction of  $\alpha,\beta$ -unsatd. N-acylpyrroles)

RN 54582-33-1 CAPLUS

CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:405664 CAPLUS

DOCUMENT NUMBER: 140:375492

TITLE: Method for synthesis of (2S,3aS,7aS)-1-[(S)-alanyl]octahydro-1H-indole-2-carboxylic acid derivatives and use in the synthesis of perindopril

INVENTOR(S): Dubuffet, Thierry; Lecouve, Jean-Pierre

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1420030	A2	20040519	EP 2003-293085	20031210
EP 1420030	A3	20040526		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: EP 2003-293085 20031210

OTHER SOURCE(S): MARPAT 140:375492

AB A method for the synthesis of the title perindopril intermediate involves coupling of (2S)-indoline-2-carboxylic acid benzyl ester or (2S,3aS,7aS)-octahydroindole-2-carboxylic acid benzyl ester or their salts with N-protected L-alanine in the presence of a coupling agent [e.g., O-(benzotriazol-1-yl)-1,1,3,3-bis(tetramethylene)uronium hexafluorophosphate], followed by hydrogenation over Pd.

IT 105832-36-8 177966-55-1

RL: RGT (Reagent); RACT (Reactant or reagent)  
(coupling agent; preparation of alanyloctahydroindolecarboxylic acid derivs. in synthesis of perindopril)

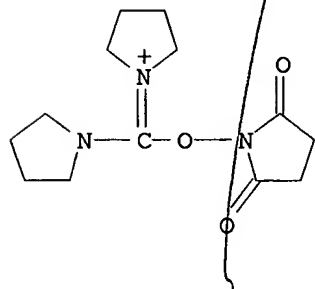
RN 105832-36-8 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 105832-35-7

CMF C13 H20 N3 O3

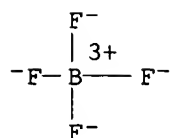


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS



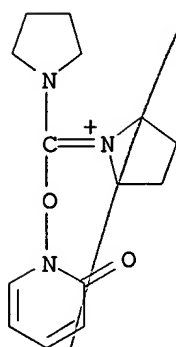
RN 177966-55-1 CAPLUS

CN Pyrrolidinium, 1-[[ (2-oxo-1(2H)-pyridinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 140164-35-8

CMF C14 H20 N3 O2

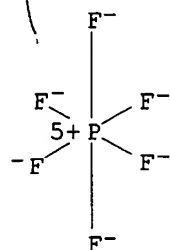


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



L4 ANSWER 12 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:405663 CAPLUS

DOCUMENT NUMBER: 140:375491

TITLE: Method for the synthesis of perindopril and its pharmaceutically-acceptable salts

INVENTOR(S): Dubuffet, Thierry; Lecouve, Jean-Pierre

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 6 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1420029	A2	20040519	EP 2003-293084	20031210
EP 1420029	A3	20040526		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: EP 2003-293084 20031210

AB A method for the synthesis of perindopril involves coupling of (2S)-indoline-2-carboxylic acid benzyl ester or (2S,3aS,7aS)-octahydroindole-2-carboxylic acid benzyl ester with N-[(S)-1-carbethoxybutyl]-L-alanine in the presence of a coupling agent [e.g., O-(benzotriazol-1-yl)-1,1,3,3-bis(tetramethylene)uronium hexafluorophosphate], followed by hydrogenation over Pd. Perindopril was converted into its tert-butylamine salt.

IT 105832-36-8 177966-55-1

RL: RGT (Reagent); RACT (Reactant or reagent)  
(coupling agent; for reaction of octahydroindolecarboxylate with (carbethoxybutyl)alanine in synthesis of perindopril)

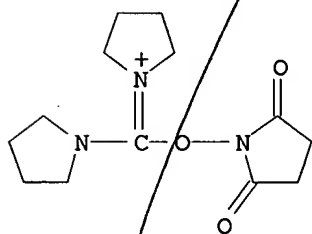
RN 105832-36-8 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 105832-35-7

CMF C13 H20 N3 O3

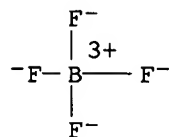


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS

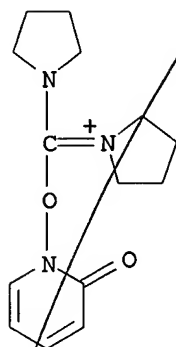


RN 177966-55-1 CAPLUS

CN Pyrrolidinium, 1-[[[(2-oxo-1(2H)-pyridinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

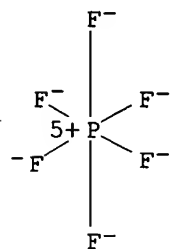
CM 1

CRN 140164-35-8  
CMF C14 H20 N3 O2



CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



L4 ANSWER 13 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:392531 CAPLUS  
DOCUMENT NUMBER: 140:408234  
TITLE: Chiral indole intermediates and their fluorescent  
cyanine dyes containing functional groups for  
application to biomolecules  
INVENTOR(S): Mujumdar, Ratnaker B.; West, Richard Martin  
PATENT ASSIGNEE(S): Carnegie Mellon University, USA; Amersham Biosciences  
UK Limited  
SOURCE: PCT Int. Appl., 72 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2004039894	A2	20040513	WO 2003-US14632	20030509
WO 2004039894	A3	20050303		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,				



TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2484218 AA 20040513 CA 2003-2484218 20030509  
 EP 1525265 A2 20050427 EP 2003-808367 20030509  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 PRIORITY APPLN. INFO.: US 2002-379107P P 20020510  
 WO 2003-US14632 W 20030509

OTHER SOURCE(S): MARPAT 140:408234

AB This invention relates to the functionalized cyanine dyes and more particularly, to the synthesis of chiral 3-substituted 2,3'-dimethyl-3H-indole and its derivs. as intermediates for preparation of cyanine dyes, to methods of preparing these dyes and the dyes so prepared, which are suitable as fluorescent labels for use with biomols. In an example, an indolium sulfonate dye was prepared from EtI and 6-(2,3-dimethyl-5-sulfo-3-hydroindol-3-yl)hexanoic acid followed by tri-Et orthoformate.

IT 207683-26-9

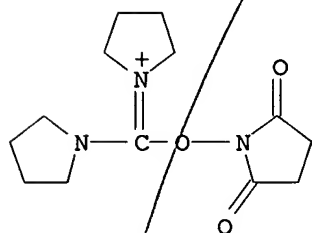
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; production of chiral indole intermediates and their fluorescent cyanine dyes containing functional groups for application to biomols.)

RN 207683-26-9 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

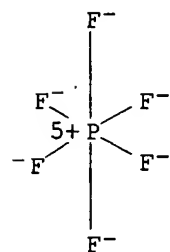
CM 1

CRN 105832-35-7  
 CMF C13 H20 N3 O3



CM 2

CRN 16919-18-9  
 CMF F6 P  
 CCI CCS



L4 ANSWER 14 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:370915 CAPLUS  
DOCUMENT NUMBER: 140:391296  
TITLE: Preparation of aryloxyalkylamine derivatives as H3  
receptor ligands  
INVENTOR(S): Best, Desmond John; Bruton, Gordon; Heightman, Thomas  
Daniel; Orlek, Barry Sidney  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037800	A1	20040506	WO 2003-EP11649	20031020
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2002-24558	A 20021022
			GB 2002-24677	A 20021023
			GB 2002-24678	A 20021023
			GB 2002-24679	A 20021023
			GB 2002-24783	A 20021024
			GB 2003-3467	A 20030214
OTHER SOURCE(S):	MARPAT 140:391296			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

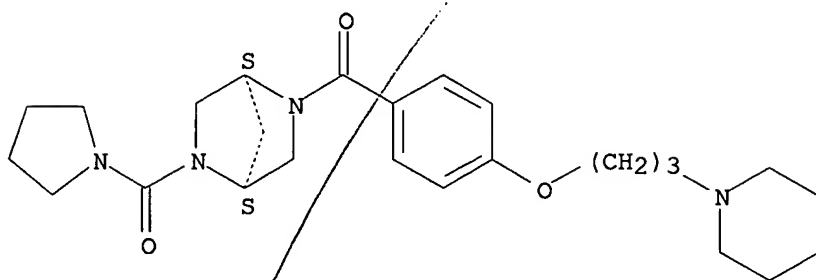
AB The title novel benzyloxy compds. [I; R1 = II (wherein R4a = alkyl, oxo, (hetero)aryl, heterocyclyl; R5a = halo, OH, CN, etc.; m = 1-2; p = 0-3; when p = 2, said R4a groups may instead form a bridging group consisting of 1-2 methylene groups), substituted SO2NH2, III (R4b = alkyl, OH, aryl, heterocyclyl; r = 0-2), etc.; R2 = halo, alkyl, alkoxy, CN, NH2, CF3; n = 0-2; R3 = (CH2)qNR11R12, IV (q = 2-4; R11, R12 = alkyl; NR11R12 = heterocyclyl; R13 = alkyl, cycloalkyl, alkylcycloalkyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2; h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1-yl)propoxy]benzoic acid hydrochloride with 4-phenylpiperazine afforded V which exhibited pKb of >8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

IT 685871-85-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryloxyalkylamine derivs. as H3 receptor ligands)

RN 685871-85-6 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[4-[3-(1-piperidinyl)propoxy]benzoyl]-5-(1-pyrrolidinylcarbonyl)-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:368866 CAPLUS

DOCUMENT NUMBER: 140:391193

TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases

INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

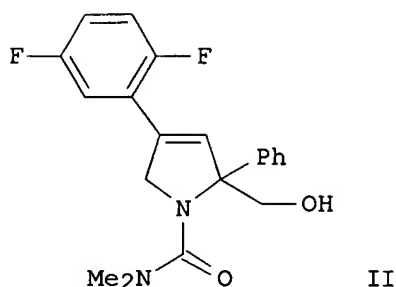
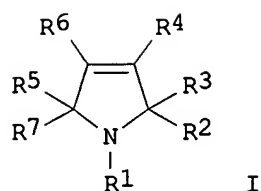
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037171	A2	20040506	WO 2003-US32405	20031014
WO 2004037171	A3	20040708		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-419570P P 20021018  
US 2003-479712P P 20030619

OTHER SOURCE(S): MARPAT 140:391193

GI



AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.; R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepared for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compds. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step preparation given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol-3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with  $IC_{50} \leq 50 \mu M$ .

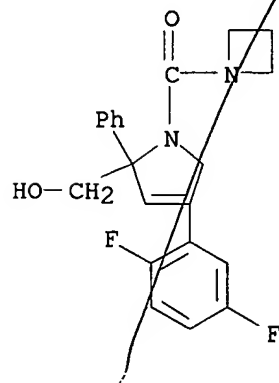
IT **686320-52-5P**, [4-(2,5-Difluorophenyl)-2-phenyl-1-[(azetidin-1-yl)carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]methanol

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(KSP inhibitor; preparation of dihydropyrroles as KSP inhibitors for treating proliferative diseases)

RN 686320-52-5 CAPLUS

CN 1H-Pyrrole-2-methanol, 1-(1-azetidiny carbonyl)-4-(2,5-difluorophenyl)-2,5-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252550 CAPLUS

DOCUMENT NUMBER: 140:254076

TITLE: Polymerization catalyst for  $\alpha$ -olefins and process for production of  $\alpha$ -olefin polymers therewith

INVENTOR(S): Sugano, Toshihiko; Nakayama, Kouji; Matsunami, Shigeyuki

PATENT ASSIGNEE(S): Japan Polychem Corporation, Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024783	A1	20040325	WO 2003-JP11498	20030909
W: CN, SG, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
JP 2004124090	A2	20040422	JP 2003-317597	20030909
PRIORITY APPLN. INFO.:			JP 2002-264955	A 20020911

OTHER SOURCE(S): MARPAT 140:254076

AB Developed are a catalyst which has a high catalytic activity and permits the production of  $\alpha$ -olefin polymers improved in stereoregularity with decrease in the amorphous component, and a process for the production of such  $\alpha$ -olefin polymers, i.e., a polymerization catalyst for  $\alpha$ -olefins which is obtained by combining (A) a solid catalyst component comprising magnesium, titanium, and halogen as the essential components and containing at need a silicon compound, an organoaluminum compound and/or an electron donor, (B) an organoaluminum compound, (C) a compound having a C(:O)N linkage such as amide or urea, and, if necessary, (D) a silicon compound or a diether compound, and a process of polymerizing  $\alpha$ -olefins by using the catalyst.

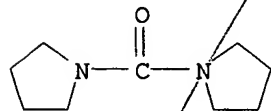
IT 81759-25-3, Bis(tetramethylene)urea

RL: CAT (Catalyst use); USES (Uses)

(polymerization catalyst for  $\alpha$ -olefins and process for production of  $\alpha$ -olefin polymer therewith)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203828 CAPLUS

DOCUMENT NUMBER: 140:253450

TITLE: Preparation of azaarene derivatives as neovascularization inhibitors

INVENTOR(S): Tsuruoka, Akihiko; Matsushima, Tomohiro; Matsukura, Masayuki; Miyazaki, Kazuki; Takahashi, Keiko; Kamata, Junichi; Fukuda, Yoshio

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 347 pp.

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

CODEN: PIXXD2

Patent

Japanese

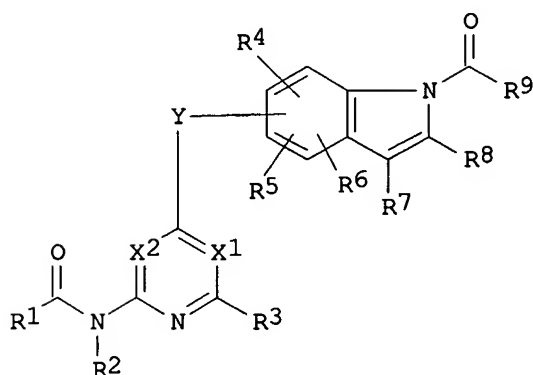
1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020434	A1	20040311	WO 2003-JP10964	20030828
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2488739	AA	20040311	CA 2003-2488739	20030828
EP 1522540	A1	20050413	EP 2003-791389	20030828
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			JP 2002-253123	A 20020830
			US 2003-464690P	P 20030422
			WO 2003-JP10964	W 20030828

OTHER SOURCE(S):

MARPAT 140:253450

GI



I

AB The title compds. I [X1 is nitrogen or a group represented by the general formula CR10 ; X2 is nitrogen or a group represented by the general formula CR11 ; Y is oxygen or the like; R1 is C1-6 alkoxy, optionally substituted C6-10 aryloxy, a group represented by the general formula NR12aR12b, or the like; R2 is hydrogen, optionally substituted C1-6 alkyl, or the like; R3 - R8, R10, and R11 are each independently hydrogen, halogeno, optionally substituted C1-6 alkyl, or the like; R9 is a group represented by the general formula NR16aR16b, or the like; and R12a, R12b, R16a, and R16b are each independently hydrogen, optionally substituted C1-6 alkyl, or the like] are prepared. Compds. of this invention showed IC50 values of 3 nM to 40 nM against VEGFR2 kinase.

IT 670251-61-3P 670251-62-4P 670251-77-1P

670251-78-2P

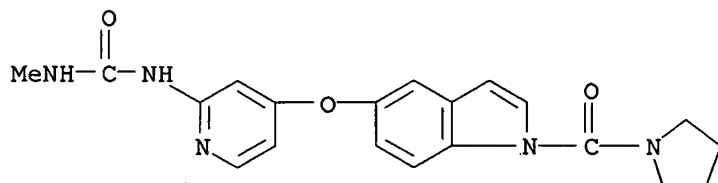
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of azaarene derivs. as neovascularization inhibitors)

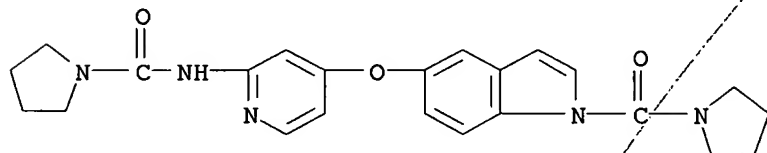
RN 670251-61-3 CAPLUS

CN 1H-Indole, 5-[[2-[[ (methylamino) carbonyl] amino]-4-pyridinyl]oxy]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



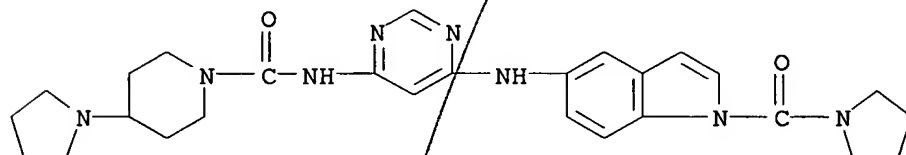
RN 670251-62-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[4-[[1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]oxy]-2-pyridinyl]- (9CI) (CA INDEX NAME)



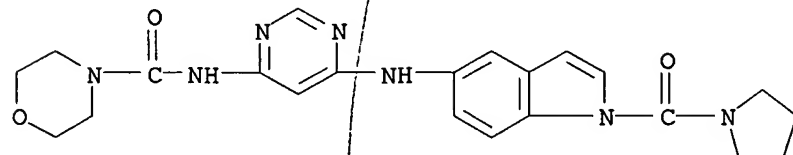
RN 670251-77-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1-pyrrolidinyl)-N-[6-[[1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 670251-78-2 CAPLUS

CN 4-Morpholinecarboxamide, N-[6-[[1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



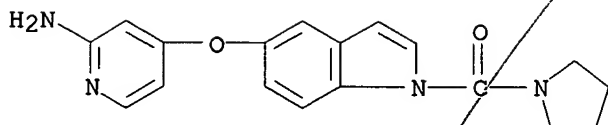
IT 670252-88-7P 670252-95-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaarene derivs. as neovascularization inhibitors)

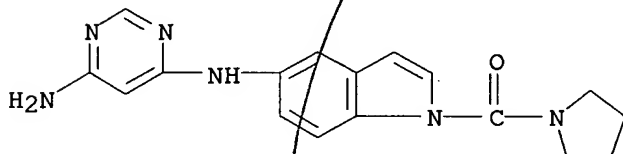
RN 670252-88-7 CAPLUS

CN 1H-Indole, 5-[(2-amino-4-pyridinyl)oxy]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 670252-95-6 CAPLUS

CN 1H-Indol-5-amine, N-(6-amino-4-pyrimidinyl)-1-(1-pyrrolidinylcarbonyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:60513 CAPLUS

DOCUMENT NUMBER: 140:128681

TITLE: Preparation of pyrrolo[3,2-b]pyrrolyl amino acid derivatives as cysteine protease inhibitors

INVENTOR(S): Quibell, Martin; Ray, Peter Christopher; Watts, John Paul

PATENT ASSIGNEE(S): Amura Therapeutics Limited, UK

SOURCE: PCT Int. Appl., 711 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

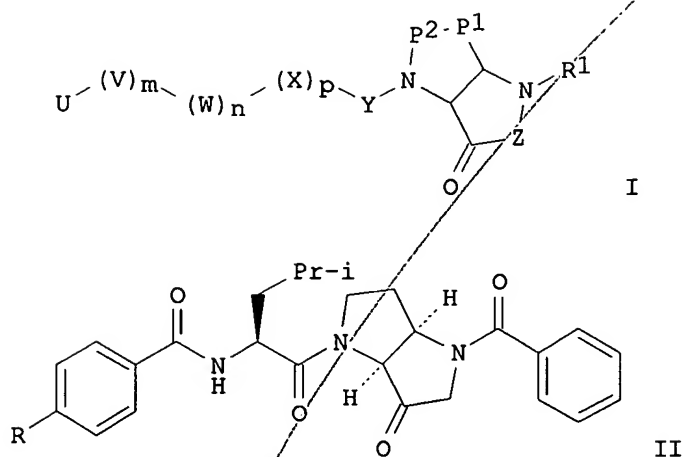
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007501	A1	20040122	WO 2003-GB2957	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2003012662	A	20050503	BR 2003-12662	20030715
PRIORITY APPLN. INFO.:				
			GB 2002-16525	A 20020716
			GB 2002-17239	A 20020725
			US 2002-418524P	P 20021015
			WO 2003-GB2957	W 20030715

OTHER SOURCE(S): MARPAT 140:128681

GI





AB Title compds. I [wherein Z = CR<sup>3</sup>R<sup>4</sup>; P<sup>1</sup> = CR<sup>5</sup>R<sup>6</sup>; P<sup>2</sup> = O, CR<sup>7</sup>R<sup>8</sup>, NR<sup>9</sup>; Y = CR<sup>10</sup>R<sup>11</sup>CO, CR<sup>10</sup>R<sup>11</sup>CS, CR<sup>10</sup>R<sup>11</sup>SO, CR<sup>10</sup>R<sup>11</sup>SO<sub>2</sub>, etc.; X = CR<sup>16</sup>R<sup>17</sup>; W = O, S, CO, SO, SO<sub>2</sub>, NR<sup>18</sup>; V = CO, CS, SO, SO<sub>2</sub>, SO<sub>2</sub>NH, OCO, NHCO, NHSO, NHSO<sub>2</sub>, OCONH, CONH, CR<sup>19</sup>R<sup>20</sup>, C=NCO<sub>2</sub>R<sup>19</sup>, C=NCONHR<sup>19</sup>; U = (un)saturated monocyclic or bicyclic ring which includes 0-4 heteroatoms; R<sup>3</sup>, R<sup>4</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup> = independently H, (cyclo)alkyl, aralkyl; R<sup>5</sup> and R<sup>6</sup> = independently H, OH, SH, NH<sub>2</sub>, (cyclo)alkyl(oxy), aryl(alkyl), aryl(alkyl)oxy, (cyclo)alkylthio, aryl(alkyl)thio, (cyclo)alkylamino, aryl(alkyl)amino, etc.; m = 0-3; n = 0-1; p = 0-3; and their salts, hydrates, solvates, complexes, and prodrugs] were prepared via solid phase and solution phase synthetic methods as inhibitors of cathepsin K and other cysteine proteases. For example, (3aS,6aR)-3-oxohexahydropyrrolo[3,2-b]pyrrole-1,4-dicarboxylic acid 1-tert-Bu ester 4-(9H-fluoren-9-ylmethyl) ester (several alternate multi-step solution phase preps. given) was converted to the building block-linker construct and loaded to the solid phase. Reaction with Fmoc-Leu-OH (HBTU, HOBT, NMM in DMF), followed by standard Fmoc deprotection, sequential rounds of coupling with 4-tert-butylbenzoic acid (HBTU, HOBT, NMM in DMF) and benzoic anhydride (NMM in DMF), and washing with appropriate reagents provided II (R = Bu-t). The related compound II (R = 2-thienyl) inhibited human cathepsin K, cruzipain, bovine cathepsin S, human cathepsin L, and cysteine protease B peptidase activity with K<sub>i</sub> values of <0.01 μM, >0.3 μM, >1 μM, >3 μM, and >0.2 μM, resp. Selected compds. of the invention suppressed bone resorption stimulated by human peripheral blood monocytes by >70% at a concentration of 100 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of osteoporosis, Paget's disease, gingival diseases, such as gingivitis and periodontitis, hypercalcemia of malignancy, metabolic bone disease, diseases involving matrix or cartilage degradation, in particular osteoarthritis and rheumatoid arthritis, and neoplastic diseases (no data). The compds. are also useful for validating therapeutic target compds. (no data).

IT 648933-67-9P 648948-19-0P 648949-09-1P  
648950-06-5P 648951-02-4P 648951-97-7P  
648952-95-8P 648953-90-6P 648954-80-7P  
648955-75-3P 648956-88-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

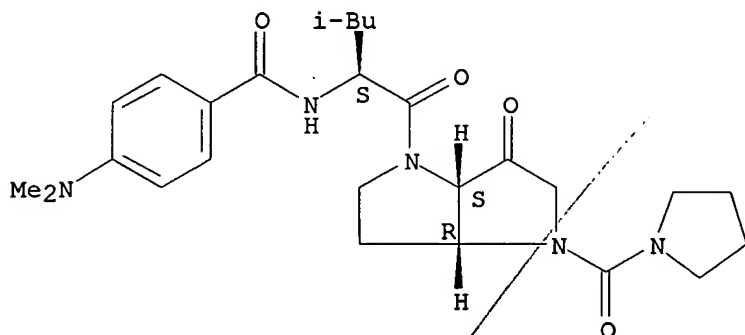
(cysteine protease inhibitor; preparation of pyrrolo[3,2-b]pyrrolyl amino acid derivs. as cysteine protease inhibitors for treatment of bone diseases, arthritis, and other disorders)

RN 648933-67-9 CAPLUS

CN Benzamide, 4-(dimethylamino)-N-[(1S)-1-[[ (3aR,6aS)-hexahydro-6-oxo-4-(1-

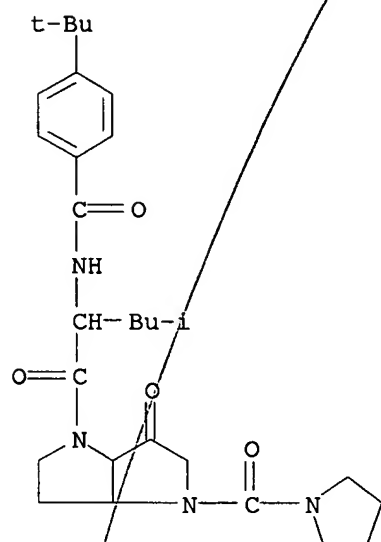
pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



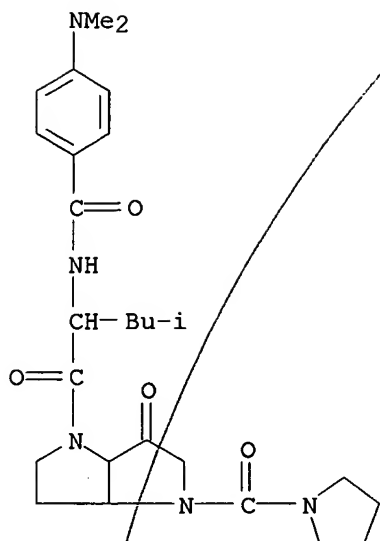
RN 648948-19-0 CAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



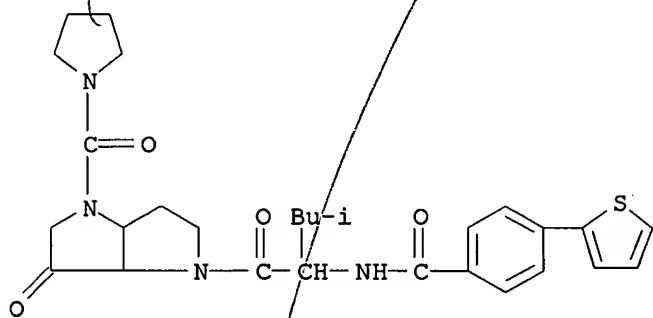
RN 648949-09-1 CAPLUS

CN Benzamide, 4-(dimethylamino)-N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



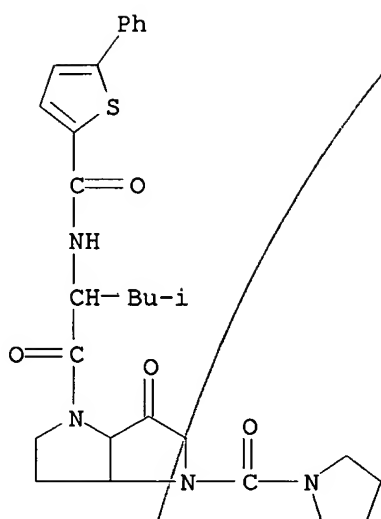
RN 648950-06-5 CAPLUS

CN Benzamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



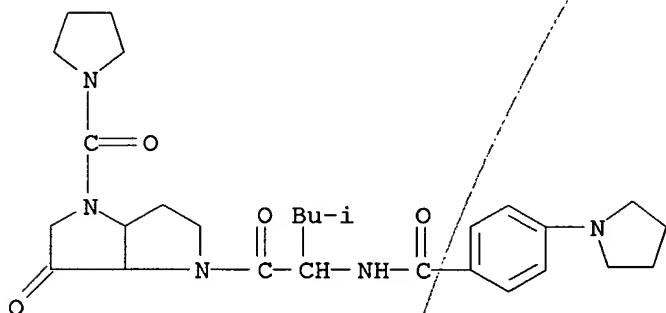
RN 648951-02-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-5-phenyl- (9CI) (CA INDEX NAME)



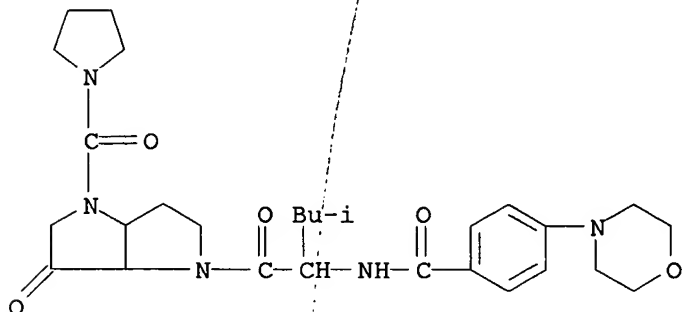
RN 648951-97-7 CAPLUS

CN Benzamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



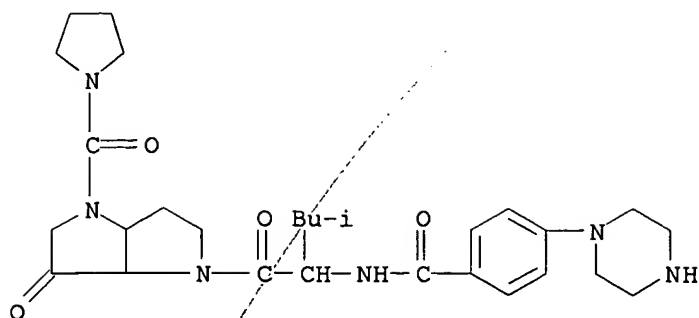
RN 648952-95-8 CAPLUS

CN Benzamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)



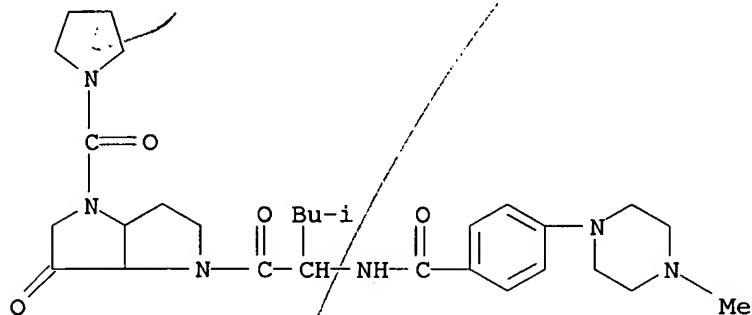
RN 648953-90-6 CAPLUS

CN Benzamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-4-(1-piperazinyl)- (9CI) (CA INDEX NAME)



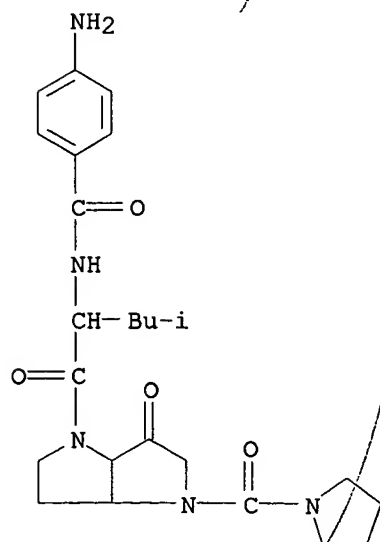
RN 648954-80-7 CAPLUS

CN Benzamide, N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



RN 648955-75-3 CAPLUS

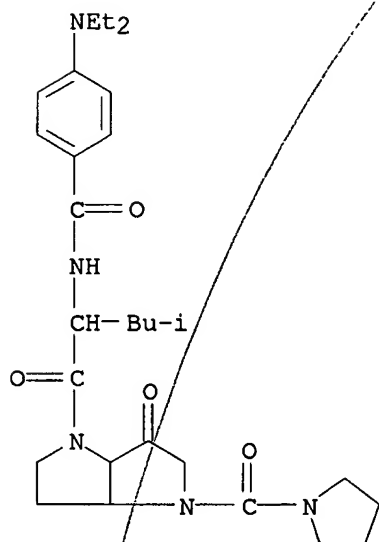
CN Benzamide, 4-amino-N-[1-[[hexahydro-6-oxo-4-(1-pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]-(9CI) (CA INDEX NAME)



RN 648956-88-1 CAPLUS

CN Benzamide, 4-(diethylamino)-N-[1-[[hexahydro-6-oxo-4-(1-

pyrrolidinylcarbonyl)pyrrolo[3,2-b]pyrrol-1(2H)-yl]carbonyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:38719 CAPLUS

DOCUMENT NUMBER: 140:287752

TITLE: 2-[(1-imidazolyl)formyloxy]ethyl methacrylate as selective methacryloylating agent: Kinetics of reaction with model alcohols and amines

AUTHOR(S): Ranucci, Elisabetta; Grigolini, Michela; Ferruti, Paolo

CORPORATE SOURCE: Dipartimento di Chimica Organica e Industriale, Milan, 20124, Italy

SOURCE: Macromolecular Bioscience (2003), 3(12), 742-748  
CODEN: MBAIBU; ISSN: 1616-5187

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

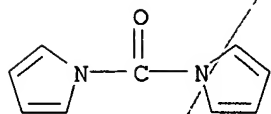
AB The kinetics of the coupling reactions of 2-[(1-imidazolyl)formyloxy]ethyl methacrylate (HEMA-Im) with model primary and secondary alcs. and amines have been investigated both in the absence and in the presence of imidazole and triethylamine as catalysts. In the absence of added catalysts, alcs. either reacted very sluggishly or did not react at all with HEMA-Im, but amines reacted completely in relatively short times. In the presence of catalysts, both primary and secondary alcs. underwent coupling reactions with HEMA-Im, the former about ten times faster than the latter. Imidazole was a more effective catalyst than triethylamine. HEMA-Im's ability to discriminate between amino and hydroxyl groups was tested with 4-amino-1-butanol: the <sup>1</sup>H NMR anal. of the reaction product confirmed 100% selectivity towards the amino group. It was therefore concluded that HEMA-Im is a highly selective methacryloylating agent, with a synthetic potential for preparing multifunctional monomers and polymers.

IT 54582-33-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(imidazolylformyloxyethyl methacrylate as selective methacryloylating agent)

RN 54582-33-1 CAPLUS

CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:968819 CAPLUS

DOCUMENT NUMBER: 140:164216

TITLE: 3-Hydroxy-4-oxo-3,4-dihydro-5-azabenzotriazene

AUTHOR(S): Carpino, Louis A.; Xia, Jusong; El-Faham, Ayman

CORPORATE SOURCE: Department of Chemistry, University of Massachusetts, Amherst, MA, 01003-4510, USA

SOURCE: Journal of Organic Chemistry (2004), 69(1), 54-61

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The known but long-neglected compound HODhat (3-hydroxy-4-oxo-3,4-dihydro-5-azabenzotriazene) was shown to be in certain situations a useful peptide coupling additive. Uronium and phosphonium salts with HODhat built into the system were also useful stand-alone coupling reagents. Comparisons with related additives and coupling reagents showed that the new systems were sometimes more and sometimes less effective than previously described systems in the case of stepwise and segment couplings. Applications to assembly of the model decapeptide ACP showed that HDATU was far more effective than HDTU and more effective than HATU under some conditions.

IT 655244-96-5P, HDPyU 655244-98-7P, HDAPyU

RL: RGT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and evaluation of benzotriazene-based uronium and phosphonium salts as peptide coupling reagents)

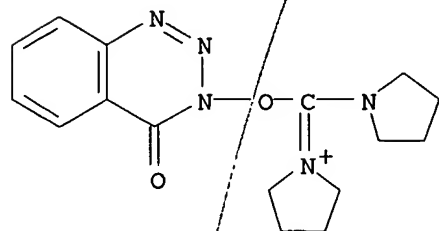
RN 655244-96-5 CAPLUS

CN Pyrrolidinium, 1-[[4-oxo-1,2,3-benzotriazin-3(4H)-yl]oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 655244-95-4

CMF C16 H20 N5 O2

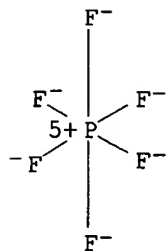


CM 2

CRN 16919-18-9

CMF F6 P

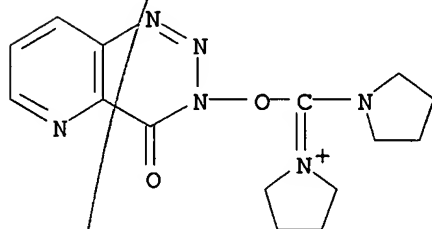
CCI CCS



RN 655244-98-7 CAPLUS  
CN Pyrrolidinium, 1-[[ (4-oxopyrido[3,2-d]-1,2,3-triazin-3(4H)-yl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

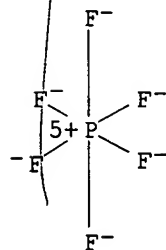
CM 1

CRN 655244-97-6  
CMF C15 H19 N6 O2



CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



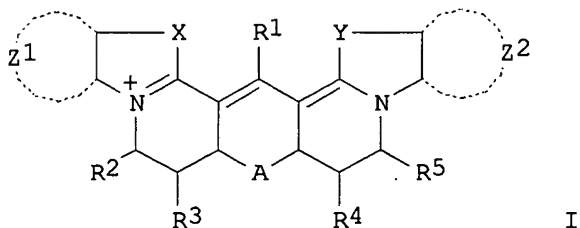
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:950614 CAPLUS  
DOCUMENT NUMBER: 140:17595  
TITLE: Rigidized trimethine cyanine dyes and their use as fluorescent markers for biomolecules  
INVENTOR(S): Waggoner, Alan S.; Mujumdar, Ratnakar B.  
PATENT ASSIGNEE(S): Carnegie Mellon University, USA



SOURCE: U.S. Pat. Appl. Publ., 31 pp., Division of U.S. Ser.  
 No. 639,941, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003224391	A1	20031204	US 2003-351369	20030127
PRIORITY APPLN. INFO.:			US 2000-639941	B3 20000817
OTHER SOURCE(S):	MARPAT 140:17595			
GI				



AB Disclosed are analogs of trimethine cyanine dyes (I; R1-R5 are substituents chosen to provide desired solubility, reactivity, and spectral properties; Z1, Z2 represent bonds or atoms needed to complete 1-3 fused 5- or 6-membered rings) which are useful for imparting fluorescent properties to target materials by covalent and non-covalent association. In an example, 5-(carboxymethyl)-2,3,3-trimethylindoline was treated with acrolein di-Et acetal and then tri-Et orthoformate to give pink 6,7,9,10-tetrahydro-2,14-bis(carboxymethyl)-16,16,18,18-tetramethyl-7aH,8aH-bisindolino[3,2-a;3',2-a']pyrano[3,2-c;5,6-c]dipyridin-5-ium, which could be activated as the N-hydroxysuccinimidyl ester.

IT **207683-26-9**

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; production of rigidized trimethine cyanine dyes for fluorescent markers for biomols.)

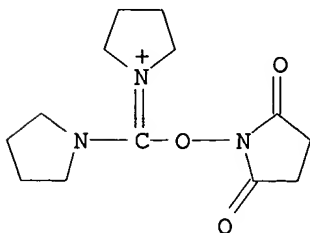
RN 207683-26-9 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

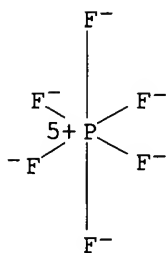
CRN 105832-35-7

CMF C13 H20 N3 O3



CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



L4 ANSWER 22 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:836840 CAPLUS  
DOCUMENT NUMBER: 139:338188  
TITLE: Preparation of 1-(oxoaminoacetyl)pentylcarbamate derivatives as cathepsin K inhibitors for the treatment of bone loss  
INVENTOR(S): Barrett, David Gene; Catalano, John G.; Deaton, David Norman; Miller, Aaron Bayne; Ray, John A.; Samano, Vicente  
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
SOURCE: PCT Int. Appl., 183 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086385	A1	20031023	WO 2003-US9893	20030401
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1494663	A1	20050112	EP 2003-746570	20030401
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-371524P	P 20020410
			WO 2003-US9893	W 20030401

OTHER SOURCE(S): MARPAT 139:338188

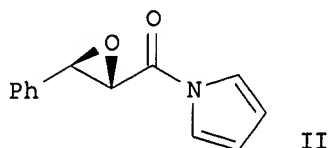
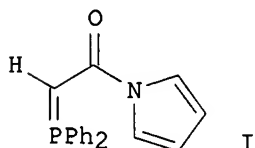
AB Heterocycle-substituted ketoamide derivs. A-D-CONHCH[(CH<sub>2</sub>)<sub>4</sub>R]COCONH-Z [A is a group Q<sub>4</sub>p-Q<sub>3</sub>n-Q<sub>2</sub>m-Q<sub>1</sub>-, where Q<sub>1</sub> is heterocyclyl or heterocyclylene, Q<sub>2</sub> is O<sub>2</sub>C, CO, NHCO, CONHCO, SO<sub>2</sub>NHCO, SO<sub>2</sub>, or NHSO<sub>2</sub>, Q<sub>3</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, aralkyl, aralkylene, aryl, arylene, heteroaryl, heteroarylene, heterocyclyl, or heterocyclylene, Q<sub>4</sub> is alkyl, haloalkyl, aryl, aryloxy, heteroaryl, halo, or cyano, m, n = 0 or 1 and p is 0-2; D is O or S; R is H or -NR<sub>1</sub>-R<sub>2</sub>-R<sub>3</sub>, where R<sub>1</sub>, R<sub>3</sub> are H or alkyl, R<sub>2</sub> is CO, CO<sub>2</sub>, CONH, SO<sub>2</sub>, or SO<sub>2</sub>NH; Z is a group -X<sub>m</sub>-X<sub>1</sub>, where X is CR'R'' (R', R'' are H or alkyl), m = 0-2 and X<sub>1</sub> is aryl, heteroaryl, or

IT 615555-64-1P

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(preparation of (oxoaminoacetyl)pentylcarbamate derivs. as cathepsin K
inhibitors for treatment of bone loss)
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CN Carbamic acid, [~~(1S)-1-[oxo[(1R)-1-phenylethyl]amino]acetyl]pentyl]-~~,  
(3S)-4,4-dimethyl-1-~~(1-pyrrolidinylcarbonyl)-3-pyrrolidinyl ester (9CI)~~  
(CA INDEX NAME)

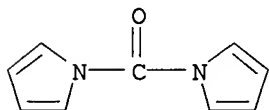
GI



AB A sequential Wittig olefination-catalytic asym. epoxidn. procedure is reported. Pyrrolylcarbonylmethylenetriphenylphosphorane (I) underwent Wittig olefination with aldehydes, followed by asym. epoxidn. to afford the epoxides, e.g, II. This reaction is carried out as a two-step process, where triphenylphosphine oxide was removed after the olefination step, and fresh triphenylphosphine oxide was added during the epoxidn., as well as a one-pot process without removing triphenylphosphine oxide. The yields were slightly better for the one-pot process while the enantiomeric purity was excellent in both cases.

IT **54582-33-1**, 1,1'-Carbonyl-dipyrrole  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (stereoselective preparation of epoxypyrrolylalkanones via substitution of carbonyldipyrrole with Me triphenylphosphonium bromide followed by Wittig olefination with aldehydes and asym. epoxidn. in the presence of triphenylphosphine oxide)

RN 54582-33-1 CAPLUS  
 CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:493459 CAPLUS  
 DOCUMENT NUMBER: 139:403135  
 TITLE: Assessment of additives for nitrogen, carbon, hydrogen and sulfur determination by organic elemental analysis  
 AUTHOR(S): Marco, Alejandro; Compano, Ramon; Rubio, Roser; Casals, Isidre  
 CORPORATE SOURCE: Departament de Química Analítica, Universitat de Barcelona, Barcelona, E-08028, Spain  
 SOURCE: Microchimica Acta (2003), 142(1-2), 13-19  
 CODEN: MIACAQ; ISSN: 0026-3672  
 PUBLISHER: Springer-Verlag Wien  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB A survey is reported on the use of additives in organic elemental anal. of compds. containing functional groups that may compromise the combustion process. Twenty-eight substances containing the following functional groups were selected: organic fluorine, hexafluorophosphate, tetrafluoroborate, tetraphenylborate, sulfonate, phosphine, nitrile, carbide, organometallic. Six additives (powdered silver, silver tungstate with magnesium oxide, silicon oxide, tungsten (VI) oxide with magnesium oxide, powdered tin, cerium(IV) oxide) in various sample:additive ratios were assayed. Silver tungstate with magnesium oxide (mixture 1:1, weight/weight) turned out to be most efficient for the anal. of nitrogen, carbon, hydrogen and sulfur for almost all the compds. assayed.

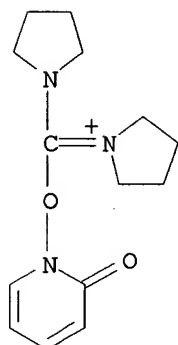
IT **177966-55-1**  
 RL: AMX (Analytical matrix); ANST (Analytical study)  
 (sample; assessment of additives for nitrogen, carbon, hydrogen and sulfur determination by organic elemental anal.)

RN 177966-55-1 CAPLUS  
 CN Pyrrolidinium, 1-[[2-oxo-1(2H)-pyridinyl]oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 140164-35-8

CMF C14 H20 N3 O2

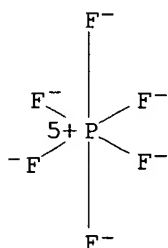


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:485373 CAPLUS

DOCUMENT NUMBER: 139:365579

TITLE: Phototransformations of chalcone moiety-containing polyimides and polyamidoimides in N,N-dimethylacetamide

AUTHOR(S): Nosova, G. I.; Rtishchev, N. I.; Solovskaya, N. A.; Luk'yashina, V. A.; Galaktionova, E. F.; Romashkova, K. A.; Smirnov, N. N.; Kudryavtsev, V. V.

CORPORATE SOURCE: Institute of Macromolecular Compounds, Russian Academy of Sciences, St. Petersburg, 199004, Russia

SOURCE: Vysokomolekulyarnye Soedineniya, Seriya A i Seriya B (2003), 45(5), 723-734

CODEN: VSSBEE; ISSN: 1023-3091

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB New polyimides and polyamidoimides, as well as copolymers (22 polymers altogether), containing chalcone (benzylideneacetophenone) chromophoric groups in the main and side chains were prepared The introduction of the chalcone

moiety into the polymer chains led to the appearance of photosensitivity; as a result, UV irradiation gave rise to isomerization or dimerization reactions in polymer solns. The quantum yield of phototransformation of chalcone-containing polymers in DMAA solns. ranged from  $1 \times 10^{-2}$  to  $2 \times 10^{-1}$ . The character and efficiency of photoinduced processes were affected by the structure of polymers and concentration of solns. The results were explained

in terms of the migration and transfer of electronic excitation energy, as well as by possible intra- and intermol. interactions.

IT **622011-04-5P 622011-05-6P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(phototransformations of chalcone-containing polyimides and  
polyamide-polyimides in N,N-dimethylacetamide)

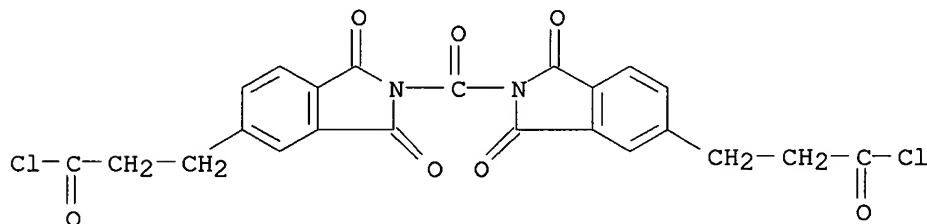
RN 622011-04-5 CAPLUS

CN 1H-Isoindole-5-propanoyl chloride, 2,2'-carbonylbis[2,3-dihydro-1,3-dioxo-, polymer with 3,3'-(1,4-phenylene)bis[1-(3-aminophenyl)-2-propen-1-one] (9CI) (CA INDEX NAME)

CM 1

CRN 622011-03-4

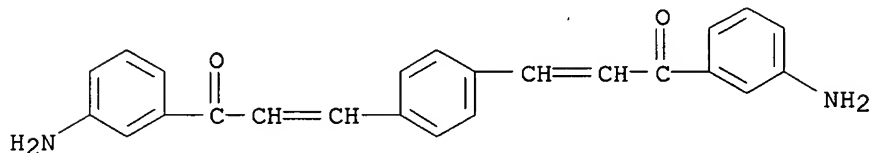
CMF C23 H14 Cl2 N2 O7



CM 2

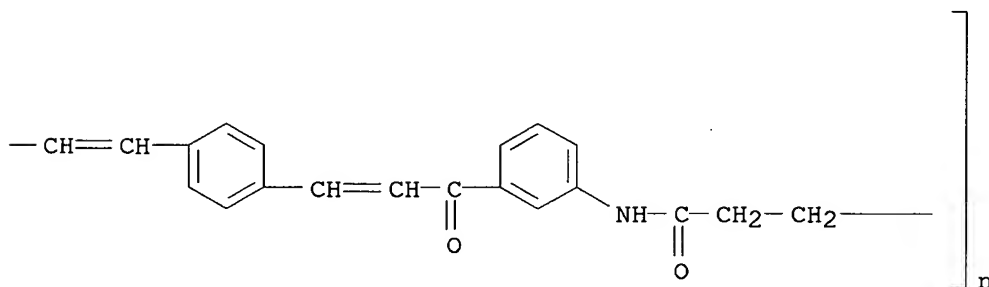
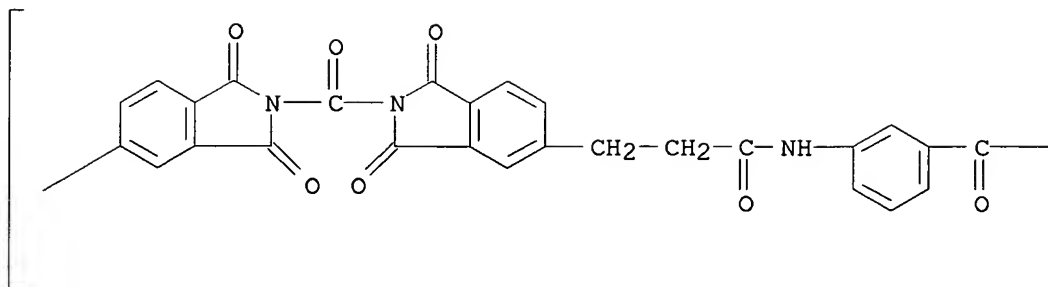
CRN 70943-30-5

CMF C24 H20 N2 O2



RN 622011-05-6 CAPLUS

CN Poly[(1,3-dihydro-1,3-dioxo-2H-isoindole-5,2-diyl)carbonyl(1,3-dihydro-1,3-dioxo-2H-isoindole-2,5-diyl)(3-oxo-1,3-propanediyl)imino-1,3-phenylene(1-oxo-2-propene-1,3-diyl)-1,4-phenylene(3-oxo-1-propene-1,3-diyl)-1,3-phenyleneimino(1-oxo-1,3-propanediyl)] (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:376127 CAPLUS

DOCUMENT NUMBER: 138:390904

TITLE: Water stabilized medicinal aerosol formulation

INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U. S. Ser. No. 619,183, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

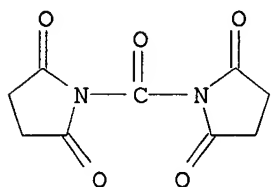
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003091512	A1	20030515	US 2002-234825	20020903
US 6261539	B1	20010717	US 1998-209228	19981210
WO 2004022035	A1	20040318	WO 2003-US27245	20030903
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 1998-209228	A2 19981210
			US 2000-619183	B2 20000719
			US 2002-234825	A 20020903



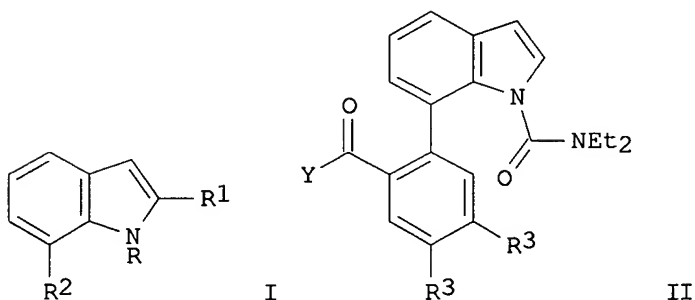


CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:331318 CAPLUS  
DOCUMENT NUMBER: 139:69398  
TITLE: Directed ortho Metalation Approach to C-7-Substituted Indoles. Suzuki-Miyaura Cross Coupling and the Synthesis of Pyrrolophenanthridone Alkaloids  
AUTHOR(S): Hartung, Christian G.; Fecher, Anja; Chapell, Brian; Snieckus, Victor  
CORPORATE SOURCE: Department of Chemistry, Queen's University, Kingston, ON, K7L 3N6, Can.  
SOURCE: Organic Letters (2003), 5(11), 1899-1902  
CODEN: ORLEF7; ISSN: 1523-7060  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 139:69398  
GI

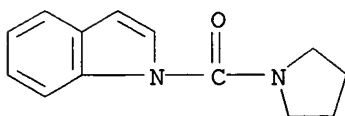


AB Although the indole N-phosphinoyl derivative I [R = P(O)(CMe<sub>3</sub>)<sub>2</sub>, R<sub>1</sub> = R<sub>2</sub> = H] undergoes n-BuLi deprotonation/electrophile quench to afford C-7-substituted products, its deprotection requires harsh conditions. On the other hand, the N-amide I (R = CONEt<sub>2</sub>, R<sub>1</sub> = R<sub>2</sub> = H), upon sequential or one-pot C-2 metalation, silylation, C-7 metalation, and electrophile treatment, furnishes indoles I (R<sub>1</sub> = SiMe<sub>3</sub>, R<sub>2</sub> = Me, Br, CH<sub>2</sub>CH:CMe<sub>2</sub>, etc.) in good overall yields. In combination with the Suzuki-Miyaura protocol, C-7 aryl (heteroaryl)-substituted indoles I (R = CONEt<sub>2</sub>, R<sub>1</sub> = SiMe<sub>3</sub>, H, R<sub>2</sub> = Ph, 2-FC<sub>6</sub>H<sub>4</sub>, 3-pyridyl, etc.) and II (R<sub>3</sub> = MeO, Y = NEt<sub>2</sub>; R<sub>32</sub> = OCH<sub>2</sub>O, Y = EtO; R<sub>3</sub> = H, Y = EtO) are obtained, including hippadine and pratosine, members of the pyrrolophenanthridone alkaloid family.

IT 548775-69-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of substituted indoles and pyrrolophenanthridone alkaloids via ortho-metalation and Suzuki-Miyaura cross coupling)

RN 548775-69-5 CAPLUS  
CN 1H-Indole, 1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:282563 CAPLUS

DOCUMENT NUMBER: 138:304285

TITLE: Preparation of spiro-hydantoin compounds useful as anti-inflammatory agents

INVENTOR(S): Dhar, T. G. Murali; Potin, Dominique; Maillet, Magaili Jeannine Blandine; Launay, Michele; Nicolai, Eric Antoine; Iwanowicz, Edwin J.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Cerep Sa

SOURCE: PCT Int. Appl., 202 pp.

CODEN: PIXXD2

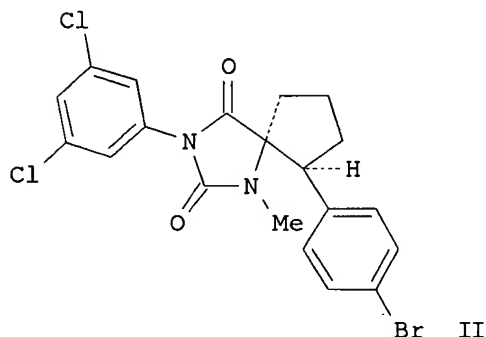
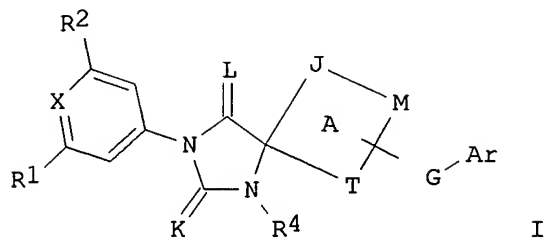
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029245	A1	20030410	WO 2002-US31283	20020930
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2462112	AA	20030410	CA 2002-2462112	20020930
EP 1432700	A1	20040630	EP 2002-800414	20020930
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK	
BR 2002013025	A	20041005	BR 2002-13025	20020930
JP 2005510476	T2	20050421	JP 2003-532494	20020930
US 2004009998	A1	20040115	US 2002-262182	20021001
US 2004248920	A1	20041209	US 2004-852576	20040524
US 2004259897	A1	20041223	US 2004-869289	20040616
US 2005004153	A1	20050106	US 2004-869292	20040616
PRIORITY APPLN. INFO.:			US 2001-326361P	P 20011001
			US 2002-354113P	P 20020204
			US 2002-400259P	P 20020801
			WO 2002-US31283	W 20020930
			US 2002-262182	A3 20021001
OTHER SOURCE(S):		MARPAT 138:304285		
GI				



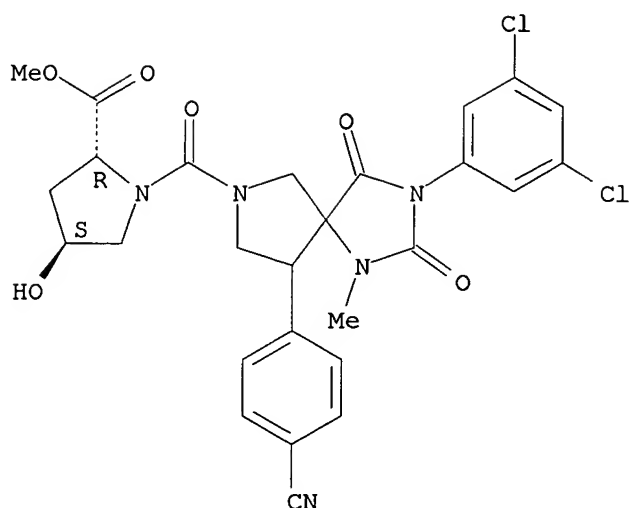
AB Title compds. I [L and K independently = O or S; X = N or CR<sub>3</sub>; Ar = aryl or heteroaryl; G is attached via T or M with provision when attached to C, G = bond, O, N, S, (un)substituted alkylene, bivalent alkoxy, etc., when G is attached to N, G = bond, (un)substituted alkylene, bivalent acyl or alkoxy carbonyl, and a bivalent alkoxy, alkylthio, aminoalkyl, sulfonyl, or sulfonamidyl wherein each of said G groups have at least one carbon atom attached to ring A; T = T<sub>1</sub> when G-Ar is attached to T, and T<sub>2</sub> when G-Ar is attached to M; M = M<sub>1</sub> when G-Ar is attached to M, and M<sub>2</sub> when G-Ar is attached to T; T<sub>1</sub> and M<sub>1</sub> = N, CR<sub>5</sub>; T<sub>2</sub> and M<sub>2</sub> = O, S, -N=, SO<sub>2</sub>, etc.; R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub> independently = H, halo, (un)substituted-alkyl, -alkenyl, NO<sub>2</sub>, etc.; R<sub>4</sub> = H, (un)substituted alkyl, OH, NH<sub>2</sub>, alkoxy, etc.; R<sub>5</sub> = H, (un)substituted alkyl, halo, CN, OH, etc.; J = O, S, -N=, SO<sub>2</sub>, substituted N, etc.; ], and pharmaceutically-acceptable salts, hydrates, enantiomers, and diastereomers, and prodrugs thereof, (I) are prepared and disclosed as inhibitors of LFA-1/ICAM and as anti-inflammatory agents. Thus, II was prepared by base catalyzed cyclization of 1-bromo-4-(1,4-dibromobutyl)benzene (preparation given) with 3-(3,5-dichlorophenyl)-1-methylimidazolidine-2,4-dione. Assays indicated I have a measurable level of activity as inhibitors of LFA-1 and/or ICAM (no data).

IT **509082-06-8P 509082-08-0P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of spirohydanotoins as antiinflammatory agents)

RN 509082-06-8 CAPLUS

CN D-Proline, 1-[[9-(4-cyanophenyl)-3-(3,5-dichlorophenyl)-1-methyl-2,4-dioxo-1,3,7-triazaspiro[4.4]non-7-yl]carbonyl]-4-hydroxy-, methyl ester, (4S)-(9CI) (CA INDEX NAME)

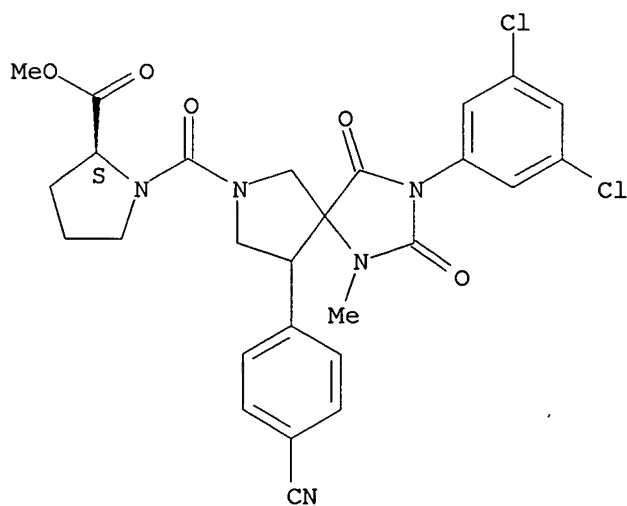
Absolute stereochemistry.



RN 509082-08-0 CAPLUS

CN L-Proline, 1-[[9-(4-cyanophenyl)-3-(3,5-dichlorophenyl)-1-methyl-2,4-dioxo-1,3,7-triazaspiro[4.4]non-7-yl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 509082-05-7P 509082-16-0P 509082-18-2P

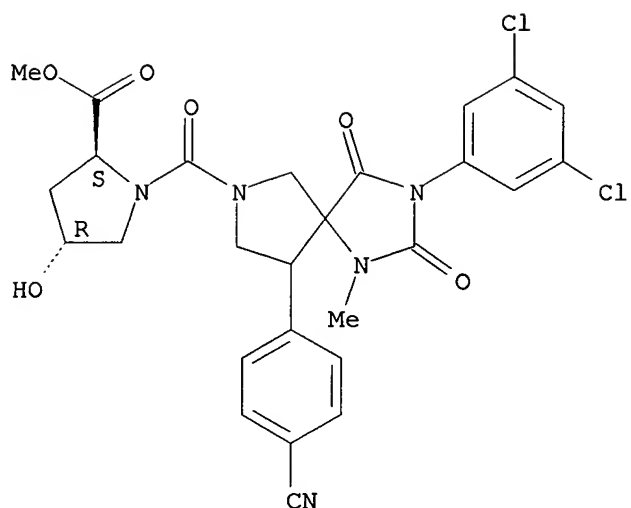
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of spirohydantoins as antiinflammatory agents)

RN 509082-05-7 CAPLUS

CN L-Proline, 1-[[9-(4-cyanophenyl)-3-(3,5-dichlorophenyl)-1-methyl-2,4-dioxo-1,3,7-triazaspiro[4.4]non-7-yl]carbonyl]-4-hydroxy-, methyl ester, (4R)-(9CI) (CA INDEX NAME)

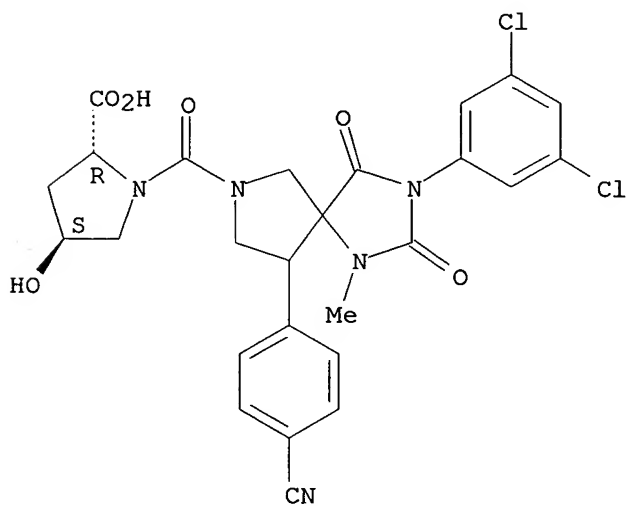
Absolute stereochemistry.



RN 509082-16-0 CAPLUS

CN D-Proline, 1-[[9-(4-cyanophenyl)-3-(3,5-dichlorophenyl)-1-methyl-2,4-dioxo-1,3,7-triazaspiro[4.4]non-7-yl]carbonyl]-4-hydroxy-, (4S)- (9CI) (CA INDEX NAME)

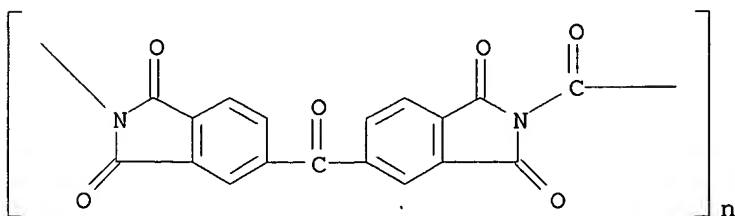
Absolute stereochemistry.



RN 509082-18-2 CAPLUS

CN L-Proline, 1-[[9-(4-cyanophenyl)-3-(3,5-dichlorophenyl)-1-methyl-2,4-dioxo-1,3,7-triazaspiro[4.4]non-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

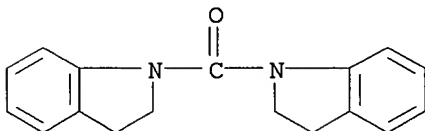


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:151074 CAPLUS  
DOCUMENT NUMBER: 138:303801  
TITLE: Radical Ring Closures of 4-Isocyanato Carbon-Centered Radicals  
AUTHOR(S): Minin, Patricia L.; Walton, John C.  
CORPORATE SOURCE: School of Chemistry, University of St. Andrews, St. Andrews Fife, KY16 9ST, UK  
SOURCE: Journal of Organic Chemistry (2003), 68(7), 2960-2963  
CODEN: JOCEAH; ISSN: 0022-3263  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 138:303801

AB The 2-(2-isocyanatophenyl)ethyl radical was generated from the corresponding bromide with tributyltin and tris(trimethylsilyl)silyl radicals and shown to ring close in the 6-endo-mode to afford 3,4-dihydro-1H-quinolin-2-one as the major product. Cyclization in the 5-exo-mode to produce 2,3-dihydroindole-1-carbaldehyde, after H abstraction, was a minor reaction. Rate consts. for the two processes were estimated and compared with reaction enthalpies computed by the DFT method.

IT 65610-70-0P  
RL: BYP (Byproduct); PREP (Preparation)  
(radical ring closures of 4-isocyanato carbon-centered radicals)  
RN 65610-70-0 CAPLUS  
CN 1H-Indole, 1,1'-carbonylbis[2,3-dihydro- (9CI) (CA INDEX NAME)

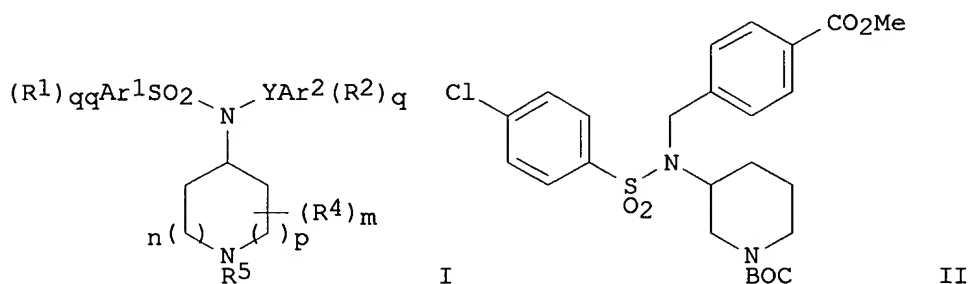


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:133040 CAPLUS  
DOCUMENT NUMBER: 138:170082  
TITLE: Preparation of piperidinylsulfonamides as  $\gamma$ -secretase inhibitors  
INVENTOR(S): Josien, Hubert B.; Clader, John W.; Asberom, Theodoros; Pissarnitski, Dmitri A.  
PATENT ASSIGNEE(S): Schering Corporation, USA  
SOURCE: PCT Int. Appl., 90 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013527	A1	20030220	WO 2002-US24293	20020801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,				

MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,  
 SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG  
 CA 2455861 AA 20030220 CA 2002-2455861 20020801  
 US 2003216380 A1 20031120 US 2002-210803 20020801  
 EP 1411944 A1 20040428 EP 2002-761207 20020801  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005504042 T2 20050210 JP 2003-518536 20020801  
 PRIORITY APPLN. INFO.: US 2001-310068P P 20010803  
 WO 2002-US24293 W 20020801  
 OTHER SOURCE(S): MARPAT 138:170082  
 GI



AB Title compds. [I; Ar1, Ar2 = aryl, heteroaryl; Y = bond, [C(R3)2]1-3; R1 = halo, CF3, OCF3, cyano, amino, alkyl, alkylaminocarbonyl, (substituted) aryl, heteroaryl, etc.; R2 = alkyl, halo, CF3, OCF3, cyano, NO2, amino, OH, alkoxycarbonyl, alkylaminocarbonyl, alkoxy, aryloxy, etc.; R3 = H, alkyl; R4 = alkyl, OH, alkoxy; R5 = H, alkyl, aryl, heteroaryl, alkoxyalkylene, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, alkylsulfonyl, alkylaminosulfonyl, etc.; m, n, p, q, qq = 0-3], were prepared. Thus, 3-amino-1-tert-butoxycarbonylpiperidine, Me 4-formylbenzoate, and 4Å mol. sieves were stirred together in MeOH overnight; NaBH4 was added followed by 3 h stirring to give 85% benzylpiperidinylamine derivative. This was stirred 2 days with 4-ClC6H4SO2Cl and Et3N in CH2Cl2 to give 77% title compound (II). I inhibited  $\gamma$ -secretase with IC50 = 0.028-69.550  $\mu$ M.

IT **497878-09-8P**

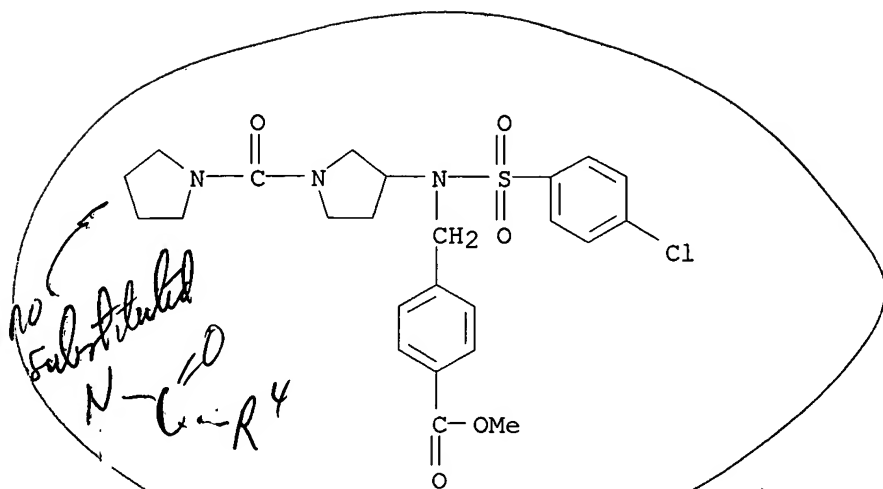
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinylsulfonamides as  $\gamma$ -secretase inhibitors)

RN 497878-09-8 CAPLUS

CN Benzoic acid, 4-[[[(4-chlorophenyl)sulfonyl][1-(1-pyrrolidinylcarbonyl)-3-pyrrolidinylamino]methyl]-, methyl ester (9CI) (CA INDEX NAME)





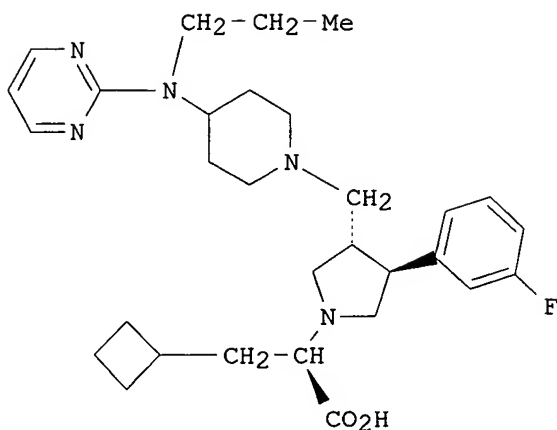
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REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:91256 CAPLUS  
 DOCUMENT NUMBER: 139:62593  
 TITLE: 1,3,4 trisubstituted pyrrolidine CCR5 receptor antagonists bearing 4-aminoheterocycle substituted piperidine side chains  
 AUTHOR(S): Willoughby, Christopher A.; Rosauer, Keith G.; Hale, Jeffery J.; Budhu, Richard J.; Mills, Sander G.; Chapman, Kevin T.; MacCoss, Malcolm; Malkowitz, Lorraine; Springer, Martin S.; Gould, Sandra L.; DeMartino, Julie A.; Siciliano, Salvatore J.; Cascieri, Margaret A.; Carella, Anthony; Carver, Gwen; Holmes, Karen; Schleif, William A.; Danzeisen, Renee; Hazuda, Daria; Kessler, Joseph; Lineberger, Janet; Miller, Michael; Emini, Emilio A.  
 CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(3), 427-431  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:62593  
 GI



I

AB A new class of 4-(aminoheterocycle)piperidine derived 1,3,4 trisubstituted pyrrolidine CCR5 antagonists is reported. Compound I is shown to have good binding affinity (1.8 nM) and antiviral activity in PBMC's (IC95=50 nM).

IT **550376-61-9P**

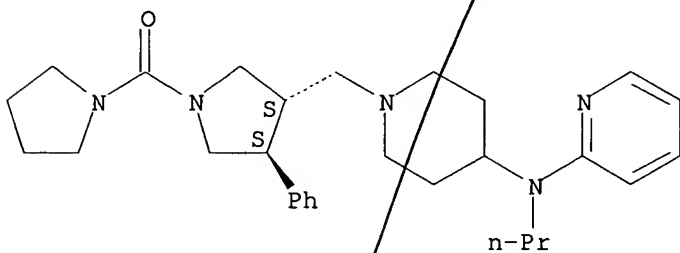
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(trisubstituted pyrrolidine CCR5 receptor antagonists with antiviral activity)

RN 550376-61-9 CAPLUS

CN Pyrrolidine, 3-phenyl-4-[[4-(propyl-2-pyridinylamino)-1-piperidinyl]methyl]-1-(1-pyrrolidinylcarbonyl)-, (3S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **709038-52-8**

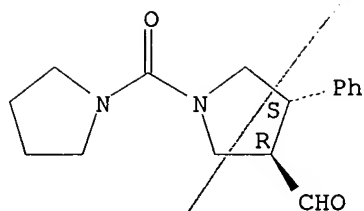
RL: RCT (Reactant); RACT (Reactant or reagent)

(trisubstituted pyrrolidine CCR5 receptor antagonists with antiviral activity)

RN 709038-52-8 CAPLUS

CN 3-Pyrrolidinecarboxaldehyde, 4-phenyl-1-(1-pyrrolidinylcarbonyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:927188 CAPLUS

DOCUMENT NUMBER: 138:14005

TITLE: Preparation of 5-arylalkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivatives as kinase inhibitors

INVENTOR(S): Cui, Jingrong; Ramphal, Yudhi; Liang, Congxin; Sun, Li; Wei, Chung Chen; Tang, Peng Cho

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 479 pp.

CODEN: PIXXD2

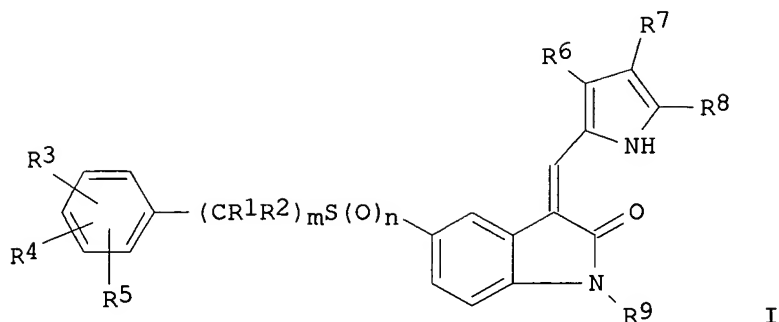
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096361	A2	20021205	WO 2002-US16841	20020530
WO 2002096361	A3	20030313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003125370	A1	20030703	US 2002-157007	20020530
US 6599902	B2	20030729		
PRIORITY APPLN. INFO.:			US 2001-294544P	P 20010530
			US 2001-328408P	P 20011010
OTHER SOURCE(S):	MARPAT 138:14005			
GI				



I

AB The present invention relates to certain 5-aralkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. (shown as I; see below for variable definitions; e.g. 2,4-dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-(3Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide) that inhibit kinases (no data), in particular met kinase. Pharmaceutical compns. comprising these compds., methods of treating diseases mediated by kinases using pharmaceutical compns. comprising these compds., and methods of preparing them are also disclosed. In I: n = 0-2; m = 1-3; R1 and R2 = H or alkyl; R3, R4, and R5 = H, halo, alkyl, cycloalkyl, haloalkyl, hydroxy, alkoxy, alkoxycarbonyl, haloalkoxy, cyano, carboxy, carboxyalkyl, nitro, aryl, aryloxy, heteroaryl, heteroaryloxy, -(alkylene)-CONR10R11, -CONR10R11, or -NR10R11 (R10 is H or alkyl, and R11 is aryl, heteroaryl, heterocycle, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, aralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy, or R10 and R11 together with the N atom to which they are attached combine to form saturated or unsatd. heterocycloamino). R6 is H, alkyl, cycloalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, carboxyalkyl, heterocyclylalkyl, aryl, heteroaryl, carboxy, alkoxycarbonyl, heterocyclylcarbonyl, aminoalkylcarbonyl, alkylaminoalkylcarbonyl, dialkylaminoalkylcarbonyl, -CONR10R11 or -(alkylene)-CONR10R11. R7 and R8 = H, alkyl, cycloalkyl, heterocyclylalkyl, -COR12, -(alkylene)-COR12 (R12 = alkoxy, hydroxy, or heterocycle, alkylamino, dialkylamino), -SO2R14, -CONR13R14, or -(alkylene)-CONR13R14 (R13 is H or alkyl, and R14 is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s), or when R13 and R14 are attached to a N atom R13 and R14 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino). R6 and R7 or R7 and R8 can combine to form a saturated or unsatd. 5 to 8 membered ring; and R9 is: H or alkyl; -PO(OR15)2 where each R15 = H or alkyl; -COR16 where R16 is H or alkyl; or -CHR17NR18R19 where R17 is H or alkyl, and R18 and R19 = H or alkyl or R18 and R19 together with the N atom to which they are attached form heterocycloamino. Although the methods of preparation are not claimed, 375 example preps. of I plus addnl. preps. of intermediates are included.

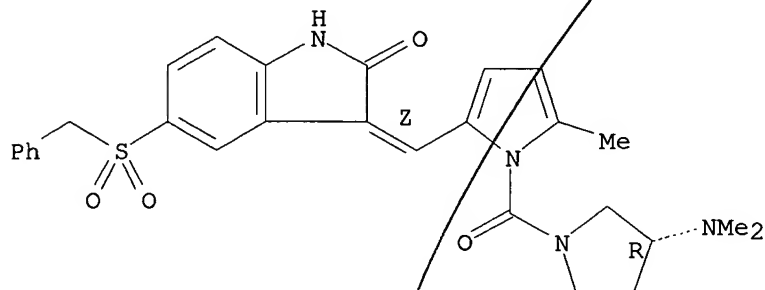
IT **477574-63-3P**, 3-[1-[(R)-[(3-Dimethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and

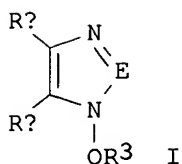
other disorders)  
 RN 477574-63-3 CAPLUS  
 CN 1H-Pyrrole, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-1-[[ (3R)-3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



L4 ANSWER 35 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:906217 CAPLUS  
 DOCUMENT NUMBER: 138:4820  
 TITLE: Preparation of uronium and immonium salts for peptide coupling  
 INVENTOR(S): Carpino, Louis A.; Imazumi, Hideko; El-faham, Ayman  
 PATENT ASSIGNEE(S): USA  
 SOURCE: PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094822	A1	20021128	WO 2002-US16045	20020521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448531	AA	20021128	CA 2002-2448531	20020521
US 2003125561	A1	20030703	US 2002-152299	20020521
US 6825347	B2	20041130		
EP 1395584	A1	20040310	EP 2002-737039	20020521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005500268	T2	20050106	JP 2002-591495	20020521
PRIORITY APPLN. INFO.:			US 2001-292375P	P 20010521
			WO 2002-US16045	W 20020521
OTHER SOURCE(S):			MARPAT 138:4820	
GI				



AB Salts in which the cationic portion has formula I [E = N or CR; R = H, alkyl; R3 = R10R11N+:CNR12R13 or R14R15N+:R16, where R10-R16 = H, (un)substituted alkyl or two of these may combine to form a heterocyclic ring; Ra, Rb = H, alkyl, an electron-withdrawing or electron-donating group or Ra and Rb may combine to form a ring] are claimed as peptide coupling reagents. Thus, the uronium (O-derivs.) forms of peptide coupling reagents HBTU and HATU have been synthesized by substituting the potassium salts KOBt and KOAt for HOBt (1-hydroxybenzotriazole) and HOAt (7-aza-1-hydroxybenzotriazole) in reactions with tetramethylchloroformamidinium hexafluorophosphate. Activation of Cbz-Aib-OH proceeded more rapidly using the uronium compared to using the immonium salts. The synthesis of N-(dimethylamino) (3H-1,2,3-triazolo[4,5-c]isoquinolin-3-yloxy)-N-methylmethanaminium (claimed compound) is also described.

IT **423763-37-5P**

RL: RGT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of uronium and immonium salts for peptide coupling)

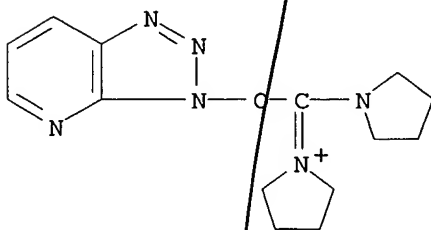
RN 423763-37-5 CAPLUS

CN Pyrrolidinium, 1-[1-pyrrolidinyl(3H-1,2,3-triazolo[4,5-b]pyridin-3-yloxy)methylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 423763-36-4

CMF C14 H19 N6 O

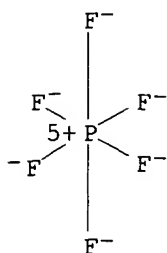


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:888736 CAPLUS

DOCUMENT NUMBER: 137:384835

TITLE: Preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors

INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

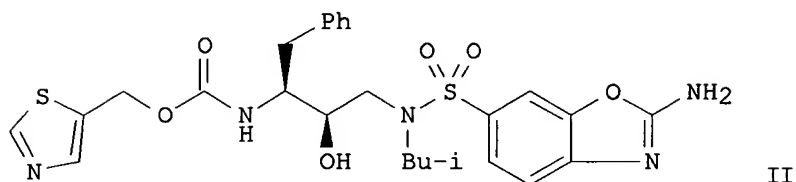
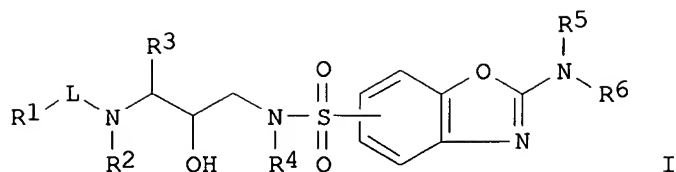
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092595	A1	20021121	WO 2002-EP5212	20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444895	AA	20021121	CA 2002-2444895	20020510
EP 1387842	A1	20040211	EP 2002-735354	20020510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300547	A	20040216	EE 2003-547	20020510
BR 2002009594	A	20040330	BR 2002-9594	20020510
JP 2004534757	T2	20041118	JP 2002-589479	20020510
US 2004106661	A1	20040603	US 2003-474485	20031009
BG 108309	A	20041230	BG 2003-108309	20031103
PRIORITY APPLN. INFO.:			EP 2001-201732	A 20010511
			WO 2002-EP5212	W 20020510

OTHER SOURCE(S): MARPAT 137:384835

GI



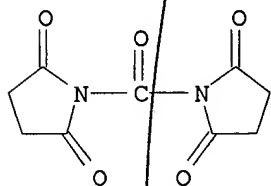
AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxy carbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared. For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH<sub>2</sub>Cl<sub>2</sub>, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC<sub>50</sub> = 8.18 against HIV-1 (Lai strain).

IT 158627-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:861062 CAPLUS

DOCUMENT NUMBER: 139:197300

TITLE: Product class 13: indole and its derivatives

AUTHOR(S): Joule, J. A.

CORPORATE SOURCE: Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK

SOURCE: Science of Synthesis (2001), 10, 361-652

CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review of preparation of indoles and its derivs. Covered reactions include



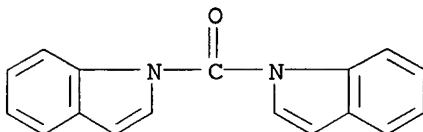
cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

IT 65610-66-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

RN 65610-66-4 CAPLUS

CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:793619 CAPLUS

DOCUMENT NUMBER: 137:294870

TITLE: Preparation of prodrugs of 3-(pyrrol-2-ylmethylidene)-2-indolinones and activity as modulators of protein kinases

INVENTOR(S): Sun, Connie Li; Wei, Chung Chen; Tang, Peng Cho; Koenig, Marcel; Zhou, Yong; Vojkovsky, Tomas; Nematalla, Asaad S.

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

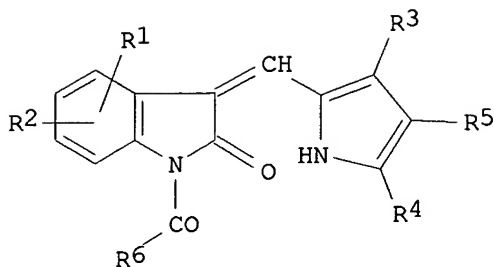
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081466	A1	20021017	WO 2002-US11001	20020409
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003100555	A1	20030529	US 2002-118321	20020409
US 6797725	B2	20040928		
US 2004186161	A1	20040923	US 2004-816957	20040405
PRIORITY APPLN. INFO.:			US 2001-282630P	P 20010409
			US 2002-118321	A3 20020409
OTHER SOURCE(S):	MARPAT 137:294870			
GI				



I

AB The present invention relates to pyrrole substituted 2-indolinone compds. (shown as I; e.g. 3-[1-(3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-2-oxo-2,3-dihydroindole-1-carbonyl chloride) and their pharmaceutically acceptable salts which modulate the activity of protein kinases and therefore are expected to be useful in the prevention and treatment of protein kinase related cellular disorders such as cancer (no data). In I, R1 and R2 are independently H, halo, alkyl, alkylthio, nitro, trihalomethyl, hydroxy, hydroxyalkyl, alkoxy, cyano, aryl, heteroaryl, -C(O)R7 (R7 is alkyl, amino, hydroxy, alkoxy, aryl, heteroaryl, aryloxy, heteroaryloxy, heterocycle, and aminoalkylamino), -NR8R9, -NR8C(O)R9, -SO2R8, and -S(O)2NR8R9 (R8 and R9 are independently H, alkyl, aryl and heteroaryl, or R8 and R9 together with the N to which they are attached form a saturated heterocycloamino). R3 is H, alkyl, hydroxyalkyl, aminoalkyl, -C(O)R7, aryl, and heteroaryl; R4 is H, alkyl, -C(O)R7 aryl, and heteroaryl. R5 is H and -COR10 where R10 is alkyl, alkoxy, hydroxy, aryl, aryloxy, heteroaryl, heterocycle, alkylamino, dialkylamino, or -NR11R12 where R11 is H or alkyl, and R12 is aminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heterocyclylalkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s); or R4 and R5 together form - (CH2)4- or -(CH2)mCO(CH2)n- wherein n is 0 to 3, provided that n+m is 3. R6 is: (c) -OR13 wherein R13 is alkyl, trifluoromethyl, carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, alkoxyalkyl, aryl, heteroaryl, heteroaralkyl, heterocyclyl, monosaccharides and heterocyclylalkyl wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in said alkyl chain are optionally replaced by O, -NR14- (R14 is H or alkyl), -S-, or -SO2-; or. (d) -NR15R16 where R15 and R16 are independently H, alkyl, carboxyalkyl, alkoxyalkyl, aminoalkyl, phosphonooxyalkyl, sulfooxyalkyl, hydroxyalkyl, aryl, heteroaryl, heteroaralkyl, and heterocyclylalkyl; wherein the alkyl chain in carboxyalkyl, aminoalkyl, phosphonooxyalkyl, heteroaralkyl, heterocyclylalkyl, hydroxyalkyl, or alkoxyalkyl is optionally substituted with one or two hydroxy group(s) and further wherein one or two C atoms in the alkyl chain are optionally replaced by O, -NR17- (R17 is H or alkyl), -S-, or -SO2-; or R15 and R16 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino;. Although the methods of preparation are not claimed, >80 example preps. are included, both of I and the unprotected version of I in which the C(O)R6 group has been replaced by H.

IT **468745-11-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

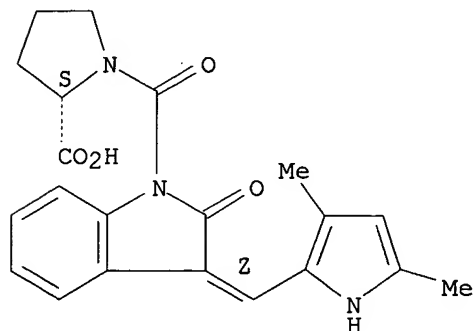
(protein kinase modulator prodrug; preparation of prodrugs of (pyrrolylmethylidene)indolinones and activity as modulators of protein kinases)

RN 468745-11-1 CAPLUS

CN L-Proline, 1-[[ (3Z)-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-2,3-dihydro-

2-oxo-1H-indol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:748704 CAPLUS

DOCUMENT NUMBER: 137:268437

TITLE: Amino acid stabilized pharmaceutical aerosol formulations

INVENTOR(S): Adjei, Akwete; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology Incorporated, USA

SOURCE: U.S., 7 pp., Cont.-in-part of U. S. 6,136,294.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6458338	B1	20021001	US 2000-617328	20000717
US 6136294	A	20001024	US 1998-158369	19980922
US 6136294	C1	20020924		
CA 2416403	AA	20020124	CA 2000-2416403	20001207
WO 2002005784	A1	20020124	WO 2000-US42624	20001207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1301173	A1	20030416	EP 2000-992655	20001207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004503581	T2	20040205	JP 2002-511717	20001207
PRIORITY APPLN. INFO.:			US 1998-158369	A2 19980922
			US 2000-617328	A 20000717
			WO 2000-US42624	W 20001207

AB This invention relates to a pharmaceutical aerosol formulation and more particularly, to a pharmaceutical aerosol formulation containing a particulate drug, a propellant and a stabilizing agent selected from an amino acid, an amino acid derivative and a mixture of the foregoing. A suitable medicament or

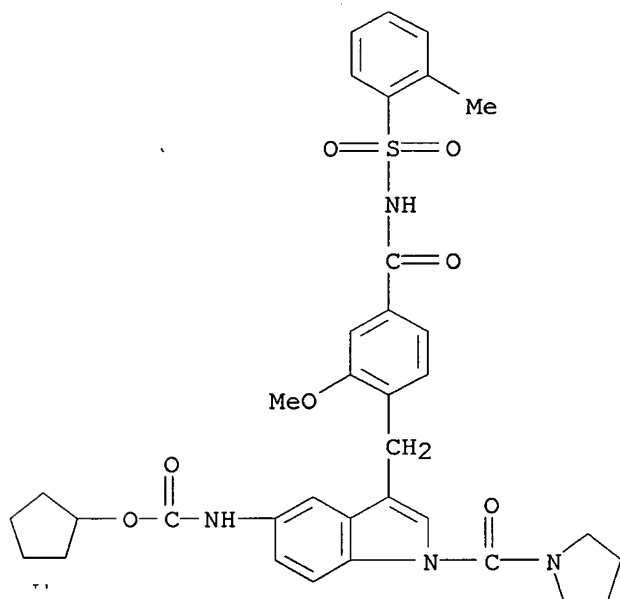
drug is one which is suitable for administration by inhalation, the inhalation being used for oral and nasal inhalation therapy. A combination of at least 2 different particulate drugs is selected from the group consisting of  $\beta$ -2 adrenergic agonists, corticosteroids, anticholinergics, histamine antagonists, non-steroidal antiinflammatory agents and leukotriene modulator.

IT **189807-46-3**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amino acid stabilized pharmaceutical aerosol formulations)

RN 189807-46-3 CAPLUS

CN Carbamic acid, [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]-, cyclopentyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:711788 CAPLUS

DOCUMENT NUMBER: 138:170049

TITLE: Remarkably stable tetrahedral intermediates: carbinols from nucleophilic additions to N-acylpyrroles

AUTHOR(S): Evans, David A.; Borg, George; Scheidt, Karl A.

CORPORATE SOURCE: Department of Chemistry and Chemical Biology, Harvard University, Cambridge, MA, 02138, USA

SOURCE: Angewandte Chemie, International Edition (2002), 41(17), 3188-3191

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

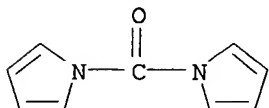
LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:170049

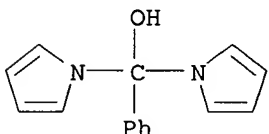
AB Sufficiently stable intermediates formed in the reaction of N-acylpyrroles with hydride and Grignard reagents can undergo further synthetic transformations and chromatog. purification to enable the generation of pyrrolecarbinols in 76-95% yields. The stability of the alkoxides generated by decomposition of the carbinols depended on the electronegativity of the counterion in the order Mg > Li > Na.

IT **54582-33-1**

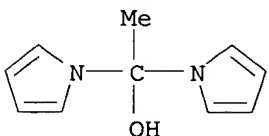
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (addition reaction of; preparation of pyrrolecarbinols via nucleophilic addition reactions of N-acylpyrroles in presence of hydride and Grignard reagents involving tetrahedral intermediates)  
 RN 54582-33-1 CAPLUS  
 CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



IT 497147-39-4P 497147-40-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (decomposition of; preparation of pyrrolecarbinols via nucleophilic addition reactions of N-acylpyrroles in presence of hydride and Grignard reagents involving tetrahedral intermediates)  
 RN 497147-39-4 CAPLUS  
 CN 1H-Pyrrole-1-methanol,  $\alpha$ -phenyl- $\alpha$ -1H-pyrrol-1-yl- (9CI) (CA INDEX NAME)



RN 497147-40-7 CAPLUS  
 CN 1H-Pyrrole-1-methanol,  $\alpha$ -methyl- $\alpha$ -1H-pyrrol-1-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:375651 CAPLUS  
 DOCUMENT NUMBER: 137:310437  
 TITLE: Parallel synthesis of tri- and tetrasubstituted ureas from carbamoyl imidazolium salts  
 AUTHOR(S): Batey, Robert A.; Shen, Ming; Santhakumar, V.; Yoshina-Ishii, Chiaki  
 CORPORATE SOURCE: Department of Chemistry, University of Toronto, Toronto, ON, M5S 3H6, Can.  
 SOURCE: Combinatorial Chemistry and High Throughput Screening (2002), 5(3), 219-232  
 CODEN: CCHSFU; ISSN: 1386-2073  
 PUBLISHER: Bentham Science Publishers  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:310437

AB A method for producing tri- and tetrasubstituted ureas from carbamoyl imidazolium salts is presented. Carbamoyl imidazolium salts are prepared from the reaction of N,N'-carbonyldiimidazole with secondary amines, followed by alkylation with iodomethane. These stable salts can be stored for extended periods and are effective electrophilic carbamoylation reagents. Compds. thus prepared included 1-methyl-3-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-1H-imidazolium iodide, 1-[(3,4-dihydro-1(2H)-quinolinyl)carbonyl]-3-methyl-1H-imidazolium iodide, 1-methyl-3-[[methyl(phenyl)amino]carbonyl]-1H-imidazolium iodide, 1-methyl-3-[[ (2S)-2-[(phenylmethoxy)carbonyl]-1-pyrrolidinyl]carbonyl]-1H-imidazolium iodide, 1-[(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)carbonyl]-3-methyl-1H-imidazolium iodide. Primary and secondary amines add to carbamoyl imidazolium salts at room temperature to give tri- and tetrasubstituted ureas in excellent yields. Compds. thus prepared included N-(cyanomethyl)-N,N'-dimethyl-N'-phenylurea, 3-(hydroxymethyl)-N-methyl-N-phenyl-1-piperidinecarboxamide, 1-[(methylphenylamino)carbonyl]-L-proline phenylmethyl ester, N-Methyl-N-phenyl-4-(phenylmethyl)-1-piperazinecarboxamide, N-(phenylmethyl)-1,4-dioxo-8-azaspiro[4.5]decane-8-carboxamide. This reaction was used to synthesize ureas using both liquid-liquid extraction and solid-phase extraction (cation exchange)

purification

techniques. Liquid-liquid extraction affords the product ureas more cleanly than

cationic exchange. A series of urea compds. were synthesized using parallel synthesis techniques in high yields and with suitable purity for routine in vitro biol. tests. These studies validate the utility of carbamoyl imidazolium salts as useful "building blocks" for combinatorial library synthesis.

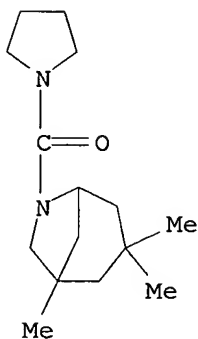
IT 470715-95-8P 470716-00-8P

RL: CPN (Combinatorial preparation); PUR (Purification or recovery); CMBI (Combinatorial study); PREP (Preparation)

(parallel synthesis of trisubstituted and tetrasubstituted ureas from carbamoyl imidazolium salts)

RN 470715-95-8 CAPLUS

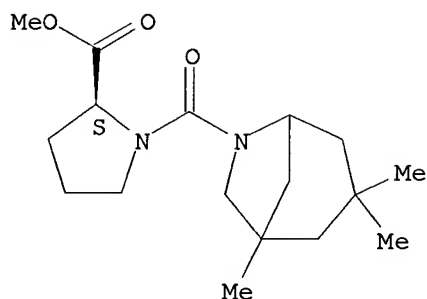
CN 6-Azabicyclo[3.2.1]octane, 1,3,3-trimethyl-6-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)



RN 470716-00-8 CAPLUS

CN L-Proline, 1-[(1,3,3-trimethyl-6-azabicyclo[3.2.1]oct-6-yl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

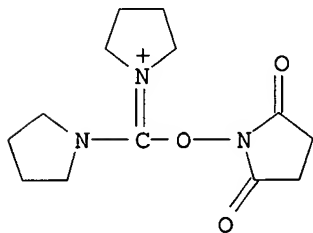
L4 ANSWER 42 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:276272 CAPLUS  
 DOCUMENT NUMBER: 136:306412  
 TITLE: Dye-labeled peptide and method  
 INVENTOR(S): Cook, Neil D.  
 PATENT ASSIGNEE(S): Amersham Pharmacia Biotech UK Ltd., UK  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002029407	A2	20020411	WO 2001-GB4462	20011003
WO 2002029407	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092118	A5	20020415	AU 2001-92118	20011003
EP 1322664	A2	20030702	EP 2001-972342	20011003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004018579	A1	20040129	US 2003-398438	20030731
PRIORITY APPLN. INFO.:			GB 2000-24351	A 20001004
			WO 2001-GB4462	W 20011003

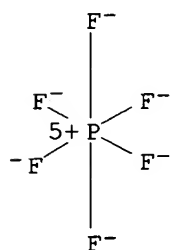
AB Disclosed is a peptide chain containing one or more dye mols. covalently bonded thereto, characterized in that at least one dye mol. is interposed in the amino sequence forming the peptide chain such that there is at least one amino acid covalently linked to and on each side of the said at least one dye mol. Also disclosed is an assay method employing the dye-labeled compds. of the invention. The fluorescence intensity of Cy5Q-Asp-Glu-Val-Asp-Arg-Ser-Gly-Ser-Gly-Ser-Cy3-Ala-Leu-Thr-OH (preparation given) was measured at intervals before and after addition of trypsin or endoproteinase AspN. Protease-catalyzed hydrolysis of the compound resulted in an increase in Cy3 signal as the quenching effect of Cy5Q was reduced.

IT **207683-26-9**, O-(N-Succinimidyl)-N,N,N',N'-bis(tetramethylene)uronium hexafluorophosphate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (dye-labeled peptide and method)

RN 207683-26-9 CAPLUS  
 CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-  
 , hexafluorophosphate(1-) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 105832-35-7  
 CMF C13 H20 N3 O3



CM 2  
 CRN 16919-18-9  
 CMF F6 P  
 CCI CCS



L4 ANSWER 43 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:131814 CAPLUS  
 DOCUMENT NUMBER: 136:369970  
 TITLE: The uronium/guanidinium peptide coupling reagents:  
 finally the true uronium salts  
 AUTHOR(S): Carpino, Louis A.; Imazumi, Hideko; El-Faham, Ayman;  
 Ferrer, Fernando J.; Zhang, Chongwu; Lee, Yunsub;  
 Foxman, Bruce M.; Henklein, Peter; Hanay, Christiane;  
 Mugge, Clemens; Wenschuh, Holger; Klose, Jana;  
 Beyermann, Michael; Bienert, Michael  
 CORPORATE SOURCE: Department of Chemistry, University of Massachusetts,  
 Amherst, MA, 01003-9336, USA  
 SOURCE: Angewandte Chemie, International Edition (2002),  
 41(3), 441-445  
 CODEN: ACIEF5; ISSN: 1433-7851  
 PUBLISHER: Wiley-VCH Verlag GmbH  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 136:369970  
 GI





AB The uronium (O-derivs.) forms of peptide coupling reagents, HBTU and HATU (I; X = CH for HBTU, X = N for HATU), have been synthesized by substituting the potassium salts KOBt and KOAt for HOBt (1-hydroxybenzotriazole) and HOAt (7-aza-1-hydroxybenzotriazole) and working up the reaction mixture quickly. Crystal structures of I were obtained. The IR spectra of the salts derived from tetramethylurea showed characteristic absorptions at 1709-1711 for I (O-derivs.) and at 1664-1675  $\text{cm}^{-1}$  for HBTU and HATU guanidinium (N-derivs.) II. Using Cbz-Aib-OH, it was observed that Aib activation proceeded more rapidly through I than through the isomeric II. Using both forms of HATU and HBTU for the coupling step between Cbz-Phe-Val-OH and H-Pro-NH<sub>2</sub>, the extent of epimerization at valine was determined. For example, the extent of epimerization for O- and N-HATU and O- and N-HBTU in the presence of TMP/DIEA (1:1; TMP = 2,4,6-trimethylpyridine; DIEA = N,N-diisopropylethylamine) was 3.4, 5.9, 10.3 and 20.6, resp. Furthermore, ACP decapeptide was obtained with a 51.8% yield with N-HBTU and 78.1% with O-HBTU.

IT **423763-37-5P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and phys. characterizations of the uronium form of peptide coupling reagent HAPyU)

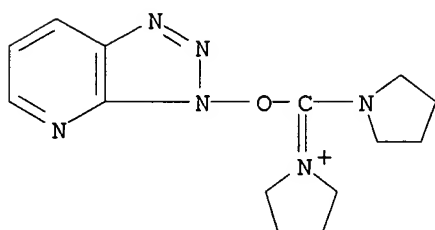
RN 423763-37-5 CAPLUS

CN Pyrrolidinium, 1-[1-pyrrolidinyl(3H-1,2,3-triazolo[4,5-b]pyridin-3-yloxy)methylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 423763-36-4

CMF C14 H19 N6 O

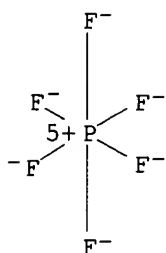


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS

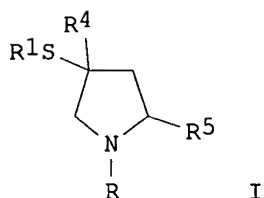


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:90005 CAPLUS  
 DOCUMENT NUMBER: 136:151068  
 TITLE: Preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors  
 INVENTOR(S): Aebi, Johannes; Bur, Daniel; Chucholowski, Alexander; Dehmlow, Henrietta; Kitas, Eric Argirios; Obst, Ulrike; Wessel, Hans Peter  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 160 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008185	A1	20020131	WO 2001-EP7951	20010710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2415740	AA	20020131	CA 2001-2415740	20010710
EP 1303486	A1	20030423	EP 2001-956523	20010710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012655	A	20030624	BR 2001-12655	20010710
JP 2004504379	T2	20040212	JP 2002-514092	20010710
US 2002040146	A1	20020404	US 2001-906980	20010717
ZA 2003000170	A	20040407	ZA 2003-170	20030107
US 2003199569	A1	20031023	US 2003-373622	20030225
US 6790860	B2	20040914		
US 2004242672	A1	20041202	US 2004-881427	20040630
PRIORITY APPLN. INFO.:			EP 2000-114949	A 20000719
			WO 2001-EP7951	W 20010710
			US 2001-906980	B3 20010717
			US 2003-373622	A3 20030225

OTHER SOURCE(S): MARPAT 136:151068  
 GI



AB Title compds. [e.g., I; R = Z1R3 or SO3H; R1 = H, alkanoyl, aroyl; R3 = alkyl, (hetero)aryl, heterocyclyl, etc.; R4 = H or alkyl; R5 = CH2Z2R2; R2 = aryl(alkyl), ar(o)ylamino, arylsulfonyl, etc.; Z1 = sulfonyl(amino), CONH, CO2, etc.; Z2 = CH2, O, S, (un)substituted NH] were prepared. Thus, e.g., (3R,5S)-1-naphthalene-2-sulfonyl-5-anilinomethylpyrrolidine-3-thiol was prepared. Data for biol. activity of title compds. were given.

IT **393790-48-2P**

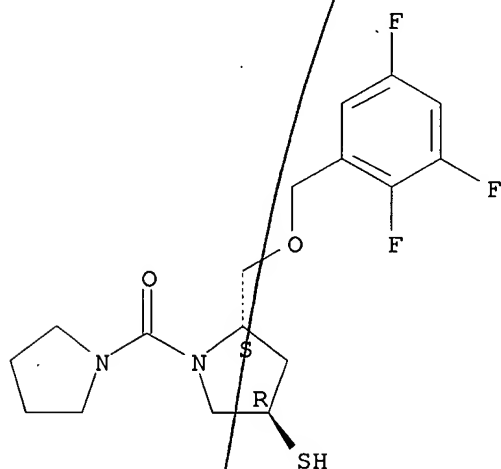
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

RN 393790-48-2 CAPLUS

CN 3-Pyrrolidinethiol, 1-(1-pyrrolidinylcarbonyl)-5-[[ (2,3,5-trifluorophenyl)methoxy]methyl]-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **393792-66-0P**

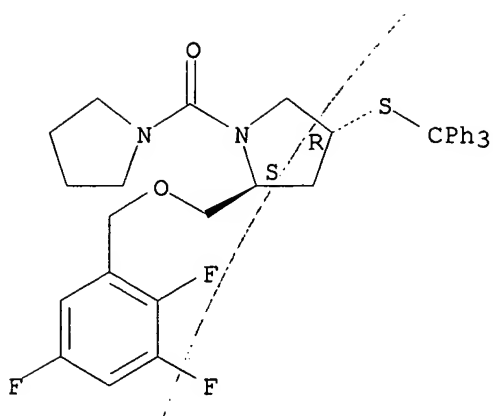
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolidinethiols and analogs as metalloprotease inhibitors)

RN 393792-66-0 CAPLUS

CN Pyrrolidine, 1-(1-pyrrolidinylcarbonyl)-2-[[ (2,3,5-trifluorophenyl)methoxy]methyl]-4-[(triphenylmethyl)thio]-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:89782 CAPLUS

DOCUMENT NUMBER: 136:139841

TITLE: A medicinal aerosol formulation containing a particulate drug

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007672	A2	20020131	WO 2000-US42625	20001207
WO 2002007672	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001047123	A5	20020205	AU 2001-47123	20001207
PRIORITY APPLN. INFO.:			US 2000-619183	A 20000719
			WO 2000-US42625	W 20001207

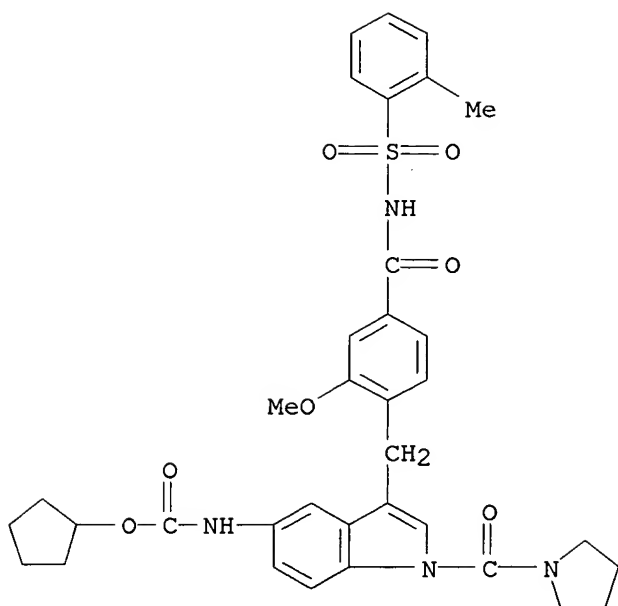
AB This invention relates to a medicinal aerosol formulation and more particularly, to a medicinal aerosol formulation containing a particulate drug, or combination of at least two particulate drugs a propellant and a stabilizing agent comprising a water addition (no data).

IT **189807-46-3**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(medicinal aerosol formulation containing particulate drug)

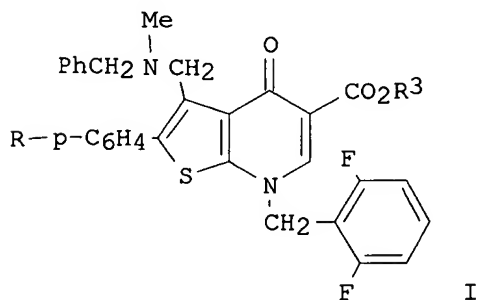
RN 189807-46-3 CAPLUS

CN Carbamic acid, [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]-, cyclopentyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2002:77476 CAPLUS  
 DOCUMENT NUMBER: 136:134744  
 TITLE: Preparation of thienopyridine-5-carboxylic acid esters, their use as gonadotropin releasing hormone antagonists, and their medical use  
 INVENTOR(S): Furuya, Shuichi; Suzuki, Nobuhiro; Kusaka, Masami; Konda, Takashi; Harada, Masataka  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002030087	A2	20020129	JP 2000-216030	20000717
PRIORITY APPLN. INFO.:			JP 2000-216030	20000717
OTHER SOURCE(S):		MARPAT 136:134744		
GI				



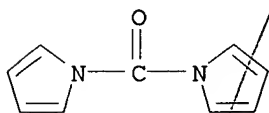
AB Title compds. I [R = R1R2NCO; R1 = H, C1-3 alkyl; R2 = H, OH, C1-3 alkoxy; R3 = (un)substituted C3-7 branched alkyl, (un)substituted C3-7 cycloalkyl] or their salts, useful for prophylactic or therapeutic treatment of prostatic cancer, endometriosis, precocious puberty, etc., are prepared by treatment of I (R = H, R3 = same as above) or their salts with N,N'-carbonyldiimidazole (II) or COCl2, followed by treatment with HNR1R2 (R1, R2 = same as above). The compds. do not cause transient stimulation of pituitary-gonad gland unlike peptides (no data). Thus, I (R = H, R3 = CHMe2) was treated with II and Et3N in CH2Cl2 at 0° and treated with MeNH2/THF at room temperature for 1 h to give 80% I (R = MeNHCO, R3 = CHMe2), which inhibited binding of 125I-leuporelin to human GnRH receptors with IC50 value of 0.00008 µM.

IT 54582-33-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of)

RN 54582-33-1 CAPLUS

CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 47 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:71844 CAPLUS

DOCUMENT NUMBER: 136:139824

TITLE: A medicinal aerosol formulation containing amino acid derivative stabilizers

INVENTOR(S): Adjei, Akwete L.; Cutie, Anthony J.

PATENT ASSIGNEE(S): Aeropharm Technology, Inc., USA

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005784	A1	20020124	WO 2000-US42624	20001207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6458338	B1	20021001	US 2000-617328	20000717
CA 2416403	AA	20020124	CA 2000-2416403	20001207
EP 1301173	A1	20030416	EP 2000-992655	20001207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004503581	T2	20040205	JP 2002-511717	20001207
PRIORITY APPLN. INFO.:			US 2000-617328	A 20000717
			US 1998-158369	A2 19980922
			WO 2000-US42624	W 20001207

AB This invention relates to a medicinal aerosol formulation and more

particularly, to a medicinal aerosol formulation containing a particulate drug, a propellant and a stabilizing agent selected from an amino acid, an amino acid derivative and a mixture of the foregoing.

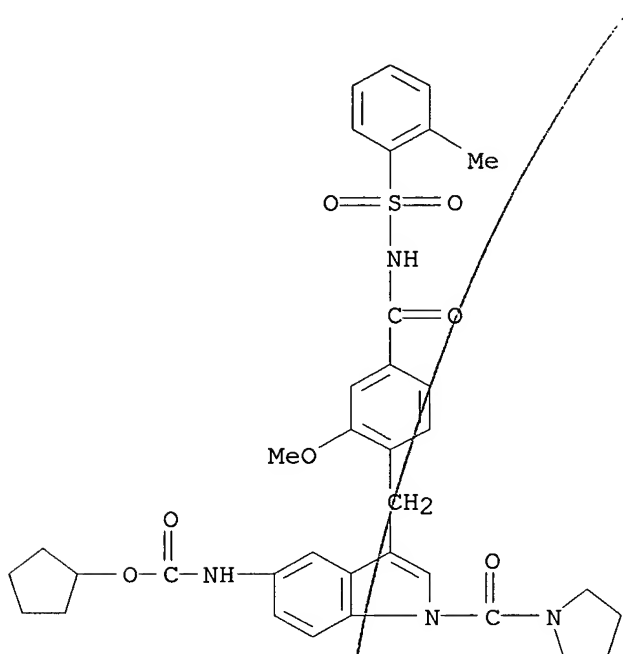
IT 189807-46-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(medicinal aerosol formulation containing amino acid derivative stabilizers)

RN 189807-46-3 CAPLUS

CN Carbamic acid, [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]-, cyclopentyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 167

CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:6377 CAPLUS

DOCUMENT NUMBER:

136:69695

TITLE:

Preparation of  $\beta$ -lactam compounds as inhibitors of tryptase

INVENTOR(S):

Bisacchi, Gregory S.; Slusarchyk, William A.; Treuner, Uwe; Sutton, James C.; Zahler, Robert; Seiler, Steven; Kronenthal, David R.; Randazzo, Michael E.; Schwinden, Mark D.; Xu, Zhongmin; Shi, Zhongping

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Co., USA

SOURCE:

U.S., 171 pp., Cont.-in-part of U. S. Ser. No. 336,253, abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

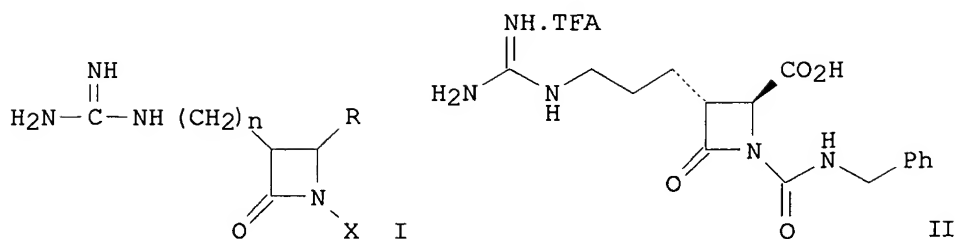
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6335324	B1	20020101	US 1999-458847	19991213
PRIORITY APPLN. INFO.:			US 1998-90636P	P 19980625
			US 1999-336253	B2 19990618

OTHER SOURCE(S):

MARPAT 136:69695

GI



AB Novel  $\beta$ -lactam compds., e.g. of formula I [R = CO<sub>2</sub>H, alkoxy carbonyl, acyl, CO-heterocyclyl, etc.; X = acyl, CO-heterocyclyl, SO<sub>2</sub>-alkyl, aminoalkylphenyl, etc.; n = 1-6], are prepared. These compds. inhibit tryptase as well as other enzyme systems or are selective tryptase inhibitors and are useful as antiinflammatory agents particularly in the treatment of chronic asthma (no data). Thus, II was prepared from (4S)-N-(tert-butyl dimethylsilyl)azetidin-2-one-4-carboxylic acid, 1-chloro-3-iodopropane, N,N'-bis(benzyloxycarbonyl)-1-guanylpurazole and benzyl isocyanate.

IT 253173-82-9P 253173-84-1P 253173-95-4P  
253174-04-8P

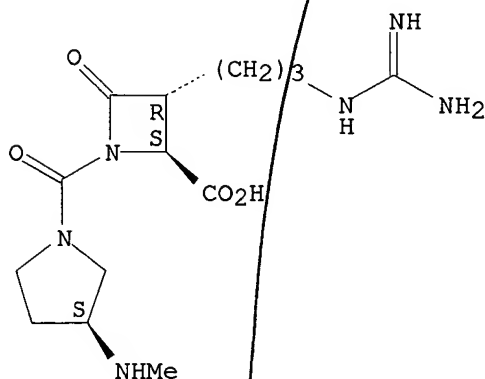
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of  $\beta$ -lactam compds. as inhibitors of tryptase)

RN 253173-82-9 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-(methylamino)-1-pyrrolidinyl]carbonyl]-4-oxo-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



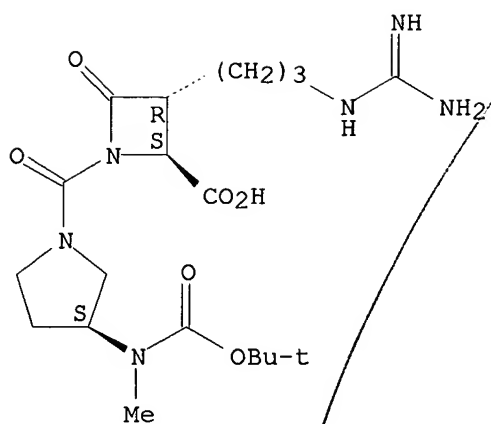
● HCl

RN 253173-84-1 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-[[ (1,1-dimethylethoxy) carbonyl]methylamino]-1-pyrrolidinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

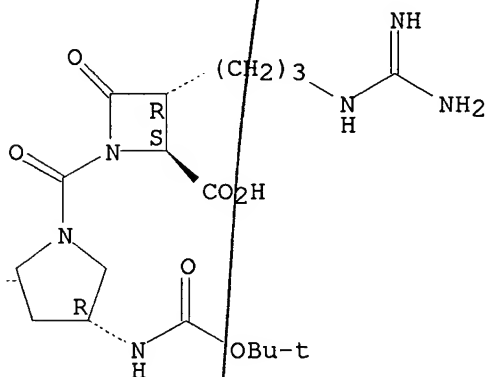




RN 253173-95-4 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3R)-3-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-pyrrolidinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

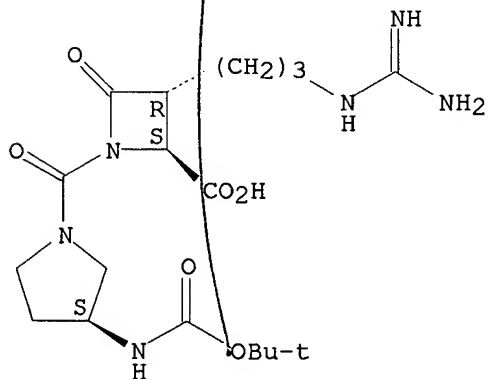
Absolute stereochemistry.



RN 253174-04-8 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-pyrrolidinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:878330 CAPLUS

DOCUMENT NUMBER: 136:160850

TITLE: Design and Synthesis of Pyrrolidine-5,5-trans-lactams (5-Oxohexahydropyrrolo[3,2-b]pyrroles) as Novel Mechanism-Based Inhibitors of Human Cytomegalovirus Protease. 2. Potency and Chirality

AUTHOR(S): Borthwick, Alan D.; Crame, Andrew J.; Ertl, Peter F.; Exall, Anne M.; Haley, Terry M.; Hart, Graham J.; Mason, Andrew M.; Pennell, Andrew M. K.; Singh, Onkar M. P.; Weingarten, Gordon G.; Woolven, James M.

CORPORATE SOURCE: Department of Medicinal Chemistry CVU UK, GlaxoSmithKline Research and Development Medicines Research Centre, Stevenage Herts, SG1 2NY, UK

SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 1-18  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:160850

AB The stereospecific synthesis of a series of  $\alpha$ -methylpyrrolidine-5,5-trans-lactam inhibitors of human cytomegalovirus (HCMV) protease is described. Examination of the SAR in this series has defined the size and chirality of the  $\alpha$ -substituent, optimized the acyl substituent on the lactam nitrogen, and defined the steric constraint of this functionality. The SAR of the functionality on the pyrrolidine nitrogen of the trans-lactam has been investigated, and this has led to the discovery of potent serine protease inhibitors that are highly selective for the viral enzyme over the mammalian enzymes elastase, thrombin, and acetylcholine esterase. The mechanism of action of the authors lead compds. has been established by mass spectrometry, and enzymic degradation of HCMV  $\delta$ Ala protease acylated with these inhibitors showed that Ser 132 is the active site nucleophile. The crystal structure of HCMV protease was obtained and used to model the conformationally restricted, chiral (S)-proline- $\alpha$ -methyl-5,5-trans-lactams into the active site groove of the enzyme, enabling the authors to direct and rationalize the SAR in this series. The activity against HCMV  $\delta$ Ala protease is the greatest with inhibitors based on the dansyl-(S)-proline  $\alpha$ -methyl-5,5-trans-lactam template, which have low nanomolar activity against the viral enzyme.

IT 396733-45-2P

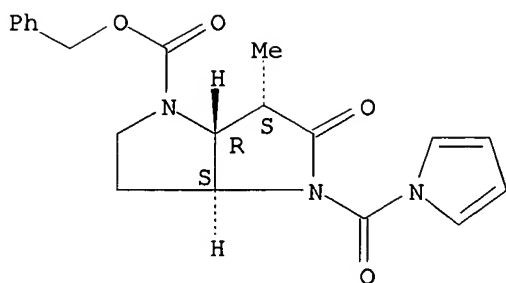
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design and synthesis of pyrrolidine trans-lactams (5-oxohydropyrrolo[b]pyrroles) as novel mechanism-based inhibitors of human Cytomegalovirus protease in relation to potency and chirality)

RN 396733-45-2 CAPLUS

CN Pyrrolo[3,2-b]pyrrole-1(2H)-carboxylic acid, hexahydro-6-methyl-5-oxo-4-(1H-pyrrol-1-ylcarbonyl)-, phenylmethyl ester, (3aR,6R,6aS)-rel- (9CI)  
(CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 50 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:726586 CAPLUS

DOCUMENT NUMBER: 135:280591

TITLE: Photothermographic material using binder hardened with specific hardener and its development

INVENTOR(S): Hanyu, Takeshi; Usakawa, Yasushi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

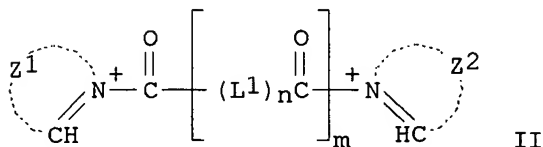
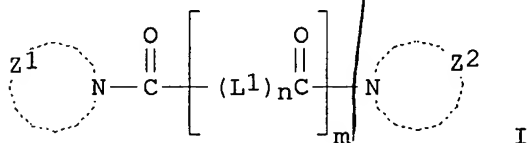
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001272751	A2	20011005	JP 2000-88777	20000328
PRIORITY APPLN. INFO.:			JP 2000-88777	20000328
OTHER SOURCE(S):	MARPAT	135:280591		

GI



AB The material comprises a support having thereon (A) a photosensitive layer containing a photosensitive Ag halide, a reducing agent, and a binder and (B) a protective layer containing a fluorine compound, a matting agent, and a binder, in which the binder of the photosensitive or the protective layer is hardened with the hardener I or II [Z1, Z2 = atoms required to form a (substituted) 5- or 6-membered ring; L1 = bivalent linkage to link Z1 to Z2; m = 0, 1; upon m = 1, n = 0, 1]. It is developed at 80-120° by a heated drum or roller on which silicone rubber surface containing an iron oxide having 20-90 hardness (defined by A hardness measured by a durometer) and unevenness with 0.5-8 μm depth and 10-1000 number per/mm.. It shows improved abrasion resistance and improved printout and dirt

prevention.

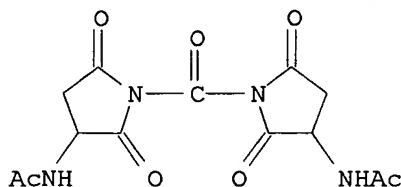
IT 363179-74-2

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

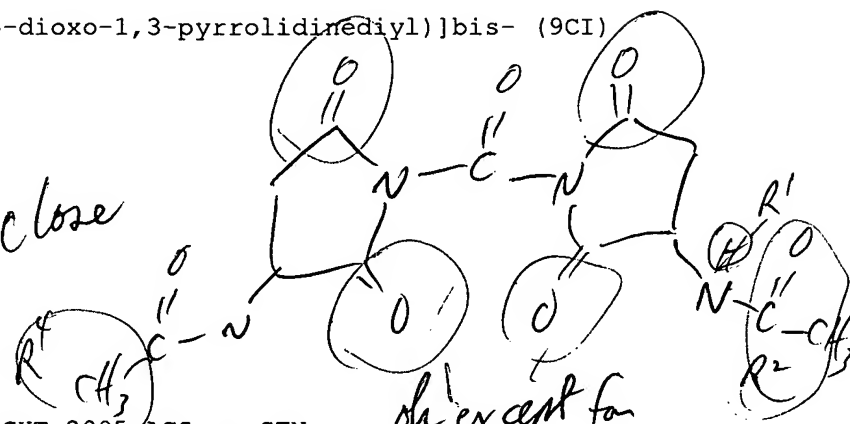
(hardener for binder; photothermog. material using hardened binder)

RN 363179-74-2 CAPLUS

CN Acetamide, N,N'-[carbonylbis(2,5-dioxo-1,3-pyrrolidinediyl)]bis- (9CI)  
(CA INDEX NAME)



close



L4 ANSWER 51 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:453053 CAPLUS

DOCUMENT NUMBER: 135:61230

TITLE: 1-(Aminophenyl)-2-pyrrolidones as integrin inhibitors  
Dominguez, Celia; Chen, Guoqing; Xi, Ning; Xu, Shimin; Han, Nianhe; Liu, Qingyian; Huang, Qi; Siegmund, Aaron; Handley, Michael; Liu, Longbin; Kiselyov, Alexander S.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

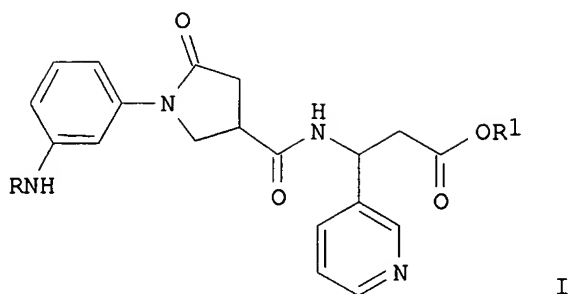
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044230	A1	20010621	WO 2000-US33515	20001211
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002019402	A1	20020214	US 2000-732546	20001208
US 6849639	B2	20050201		
CA 2393310	AA	20010611	CA 2000-2393310	20001211
AU 2001020835	A5	20010625	AU 2001-20835	20001211
AU 778374	B2	20041202		
EP 1240158	A1	20020918	EP 2000-984165	20001211
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003535036	T2	20031125	JP 2001-544720	20001211
PRIORITY APPLN. INFO.:			US 1999-170824P	P 19991214
			US 2000-732546	A 20001208
			WO 2000-US33515	W 20001211

OTHER SOURCE(S): MARPAT 135:61230

GI

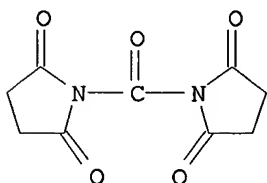


AB Title compds. are effective in the prophylaxis and treatment of diseases or conditions mediated by integrin receptors, such as  $\alpha v \beta 3$ ,  $\alpha v \beta 5$ ,  $\alpha v \beta 6$ ,  $\alpha 5 \beta 1$ . Thus, the pyrrolidinone I [R = PhNHCO, R1 = H] was prepared by treating I [R = H, R1 = Et] with PhNCO and ester hydrolysis.

IT **158627-30-6**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:419497 CAPLUS

DOCUMENT NUMBER: 135:226906

TITLE: Benzannulation reactions of Fischer carbene complexes for the synthesis of indolocarbazoles

AUTHOR(S): Merlic, C. A.; You, Y.; McInnes, D. M.; Zechman, A. L.; Miller, M. M.; Deng, Q.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of California, Los Angeles, CA, 90095-1569, USA

SOURCE: Tetrahedron (2001), 57(24), 5199-5212  
 CODEN: TETRAB; ISSN: 0040-4020

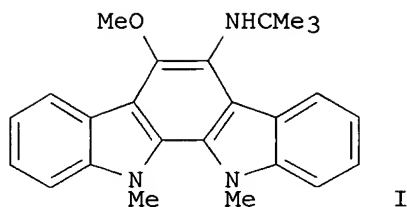
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:226906

GI



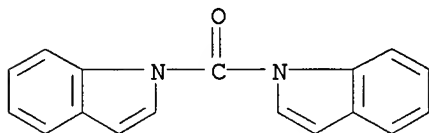
AB The synthesis of indolocarbazoles, e.g. I, was achieved via photochem. and thermal annulation reactions of chromium Fischer carbene complexes. This methodol. allows for facile incorporation of hydrogen bonding functionality which complements the pharmacophore contained within bioactive indolocarbazole natural products. Synthesis of indolocarbazoles by photochem. and thermal annulations of carbene complexes is reported.

IT **65610-66-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of chromium Fischer carbene complexes to indolocarbazoles)

RN 65610-66-4 CAPLUS

CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 153 THERE ARE 153 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 53 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:341946 CAPLUS

DOCUMENT NUMBER: 135:122370

TITLE: New synthesis of oxindole-1-carboxamides

AUTHOR(S): Porcs-Makkay, Marta; Simig, Gyula

CORPORATE SOURCE: Chemical Research Division, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.

SOURCE: Journal of Heterocyclic Chemistry (2001), 38(2), 451-455

CODEN: JHTCAD; ISSN: 0022-152X

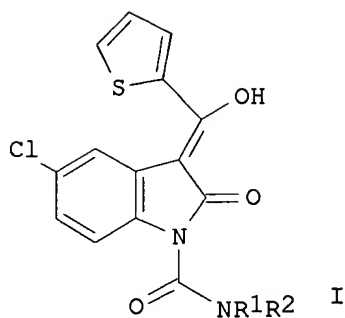
PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:122370

GI



AB A new synthesis of oxindole-1-carboxamides [I; R1 = H; R2 = Me, (CH2)2Me; R1R2 = heterocyclene, etc.] was elaborated by the reaction of oxindole-1-phenylcarboxylate with various amines. This method also permitted the preparation of N,N-disubstituted oxindole-1-carboxamides.

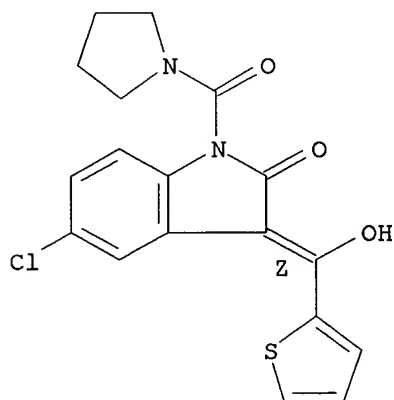
IT **351014-02-3P 351014-12-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of oxindole-1-carboxamides via reaction of substituted dihydroindole with amines)

RN 351014-02-3 CAPLUS

CN 2H-Indol-2-one, 5-chloro-1,3-dihydro-3-(hydroxy-2-thienylmethylene)-1-(1-pyrrolidinylcarbonyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

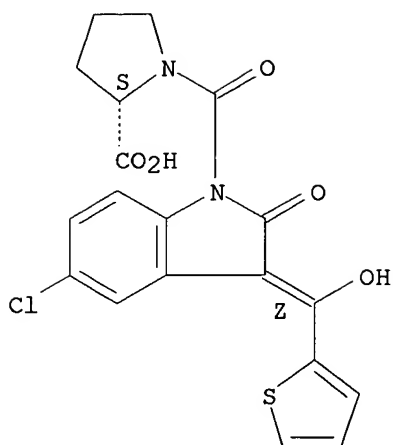


RN 351014-12-5 CAPLUS

CN L-Proline, 1-[[ (3Z)-5-chloro-2,3-dihydro-3-(hydroxy-2-thienylmethylene)-2-oxo-1H-indol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 54 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:283939 CAPLUS

DOCUMENT NUMBER: 134:311433

TITLE: Preparation of (hetero)arylmethylamines as tryptase inhibitors

INVENTOR(S): Lively, Sarah Elizabeth; Waszkowycz, Bohdan; Harrison, Martin James; Clase, Juha Andrew; Naylor, Neil Jason

PATENT ASSIGNEE(S): Protherics Molecular Design Limited, UK

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

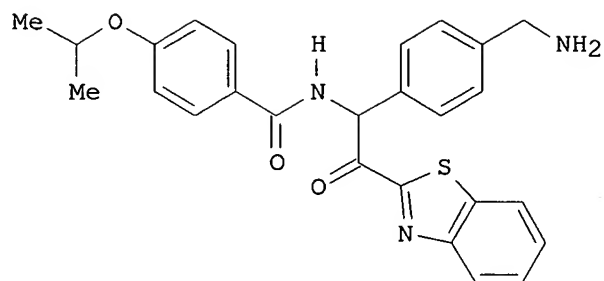
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027096	A1	20010419	WO 2000-GB3832	20001005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 1999-23710 A 19991008

OTHER SOURCE(S): MARPAT 134:311433

GI





I

AB R1CHR2(CH2)aZCH2NH2 [R1 = H, NH2, NHZ1(CH2)bR3; R2 = H when R1 = NHZ1(CH2)bR3 or COR4; R3 = alk(en)yl, heterocyclyl, aryl, etc.; R4 = COR5, CF2R6, 2-(benz)oxazolyl, 2-(benz)imidazolyl, etc.; R5 = (fluoro)alkyl, alkoxy, aryl, etc.; R6 = F, (fluoro)alkyl, aryl, etc.; Z = 1,4-phenylene, 5-membered heteroarylene, etc.; Z1 = bond, CO CO2, CONH, SO2; a = 0-2; b = 0-4] were prepared as tryptase inhibitors (no data). Thus, 4-BrC6H4CH2CO2H was converted in 7 steps to 4-(BocHNH2C)C6H4CH(NH2)CO2Me which was amidated by 4-(Me2HCO)C6H4CO2H and the product condensed with benzothiazole to give, after deprotection, title compound I.

IT **334989-21-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (hetero)arylmethylamines as tryptase inhibitors)

RN 334989-21-8 CAPLUS

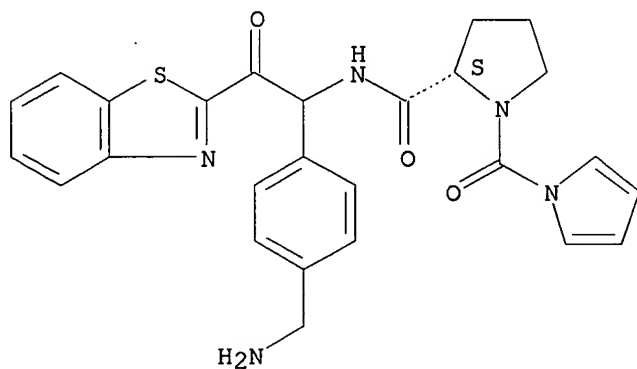
CN 2-Pyrrolidinecarboxamide, N-[1-[4-(aminomethyl)phenyl]-2-(2-benzothiazolyl)-2-oxoethyl]-1-(1H-pyrrol-1-ylcarbonyl)-, (2S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 334989-20-7

CMF C26 H25 N5 O3 S

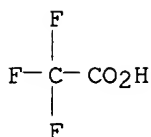
Absolute stereochemistry.



CM 2

CRN 76-05-1

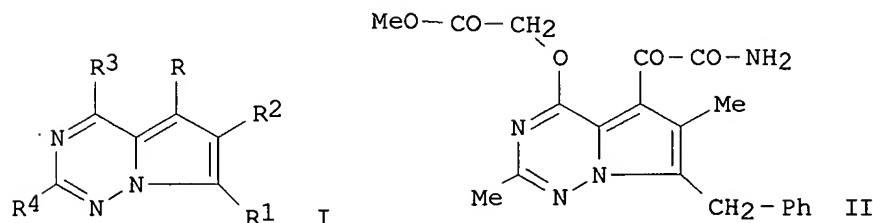
CMF C2 H F3 O2



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 55 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2001:152684 CAPLUS  
 DOCUMENT NUMBER: 134:193452  
 TITLE: Preparation of pyrrolotriazine derivatives as secretory phospholipase A2 (sPLA2) inhibitors  
 INVENTOR(S): Ohtani, Mitsuaki; Fuji, Masahiro; Ogawa, Tomoyuki  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014378	A1	20010301	WO 2000-JP5357	20000810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6787545	B1	20040907	US 2002-49912	20020220
PRIORITY APPLN. INFO.:			JP 1999-235957	A 19990823
			WO 2000-JP5357	W 20000810
OTHER SOURCE(S):			MARPAT 134:193452	
GI				



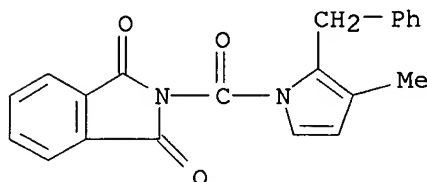
AB The title compds. I [R1 is C1-C20 alkyl, C2-C20 alkenyl, C2-C20 alkynyl, a carbocyclic group, a heterocyclic group, or the like; R2 is a group containing 1 to 4 hydrogen or nonhydrogen atoms; R is COCONH2 or the like; R3 is (L2)-(acidic group) (wherein L2 is a group connecting with an acidic group); and R4 is hydrogen or the like] are prepared The title compound II in vitro shows IC50 of 0.203  $\mu\text{M}$  against secretory phospholipase A2. Formulations are given.

IT 327976-05-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of pyrrolotriazine derivs. as secretory phospholipase A2  
(sPLA2) inhibitors)

RN 327976-05-6 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[3-methyl-2-(phenylmethyl)-1H-pyrrol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 56 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:73389 CAPLUS

DOCUMENT NUMBER: 134:131767

TITLE: Polymeric double prodrug transport system for amino-  
and hydroxyl-containing bioactive agents

INVENTOR(S): Greenwald, Richard B.; Pendri, Annapurna; Choe, Yun H.

PATENT ASSIGNEE(S): Enzon, Inc., USA

SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 992,435,  
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

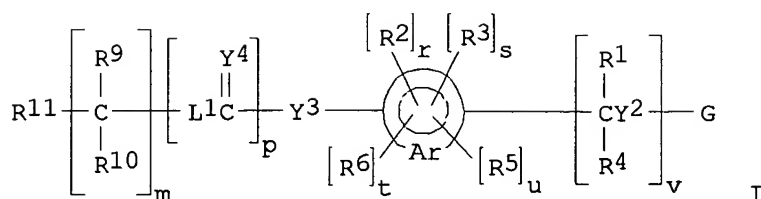
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6180095	B1	20010130	US 1998-183557	19981030
CA 2312975	AA	19990624	CA 1998-2312975	19981214
WO 9930727	A1	19990624	WO 1998-US26565	19981214
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9918252	A1	19990705	AU 1999-18252	19981214
EP 1037649	A1	20000927	EP 1998-963173	19981214
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002508400	T2	20020319	JP 2000-538706	19981214
US 2001031873	A1	20011018	US 2001-758993	20010112
US 6720306	B2	20040413		
PRIORITY APPLN. INFO.:			US 1997-992435	B2 19971217
			US 1998-183557	A 19981030
			WO 1998-US26565	W 19981214

OTHER SOURCE(S): MARPAT 134:131767

GI



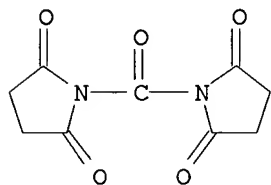
AB The title prodrugs [I; G = H, C:(Y1)B; B = H, leaving group, a residue of amine- or hydroxy-containing moiety; L1 = bifunctional link; Y1-Y4 = O, S, NR12; R1, R4, R9, R10, R12 = H, (un)substituted C1-6 alkyl, C3-12 branched alkyl, C3-8 cycloalkyl, (un)substituted aryl, etc.; R2, R3, R5, R6 = H, (un)substituted C1-6 alkyl, C1-6 alkoxy, phenoxy, C1-8 hetero-alkyl, etc.; R11 = non-antigenic polymer; Ar = moiety which forms a multi-substituted aryl or heterocyclyl; m, r, s, t, u, v = 0, 1; p = 0, pos. integer] were prepared. The first prodrug is generated when the polymeric portion of the double prodrug is cleaved and the parent mol. is generated rapidly thereafter in vivo, preferably as a result of a 1,6- or 1,4-benzyl elimination-reaction. Methods of preparing I and methods of treatment are also disclosed. For example, thiazolidine thione-activated polyethylene glycol (PEG) carbamate PEGOCOQ (Q = N-bound 1,3-thiazolidine-2-thione residue; PEG mol. weight 5000) was transesterified with 4-HOC6H4CH2OH in CH2Cl2 in the presence of 4-(dimethylamino)pyridine (DMAP) to give 87% carbonate PEGOCO2C6H4CH2OH-4. This was dried azeotropically with PhMe, esterified (70%) with ClCO2C6H4NO2-4 and the active carbonate trans-amidated by stirring for 18 h with daunorubicin·HCl in DMF in the presence of DMAP to give 80% of a title prodrug PEGOCO2C6H4(CH2OCONH-Daun)-4 (Daun = daunomycin residue). Biol. data supporting in vitro and in vivo antitumor activity of 5 daunorubicin prodrugs I are given.

IT 158627-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(polymeric double prodrug transport system for amino- and hydroxyl-containing bioactive agents)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

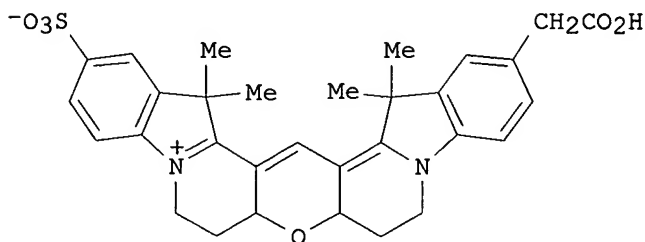


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:736282 CAPLUS  
DOCUMENT NUMBER: 133:310879  
TITLE: Rigidized trimethine cyanine dyes  
INVENTOR(S): Waggoner, Alan S.; Mujumdar, Ratnakar B.  
PATENT ASSIGNEE(S): Carnegie Mellon University, USA  
SOURCE: U.S., 27 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6133445	A	20001017	US 1998-212564	19981216
PRIORITY APPLN. INFO.:			US 1998-212564	19981216
OTHER SOURCE(S):	MARPAT 133:310879			
GI				



I

AB The dyes, useful for imparting fluorescent properties to target materials by covalent and noncovalent association, are 14-(carboxymethyl)-6,7,7a,8a,9,10,16,18-octahydro-16,16,18,18-tetramethyl-2-sulfonypyrano[3'',2'':3,4;5'',6'':3',4']dipyrido[1,2-a:1',2'-a']diindol-5-ium hydroxide inner salt (I) and its esters, especially the ester with N-hydroxysuccinimide. 4-H2NNHC6H4SO3H was cyclocondensed with MeCOCHMe2 in HOAc to give 2,3,3-trimethyl-3H-indole-5-sulfonic acid, which was alkylated with CH2:CHCH(OEt)2; the product was condensed with the reaction product of Ph2NCHO and Et 1-(3,3-diethoxypropyl)-2,3,3-trimethyl-3H-indole-5-carboxylate to give the unsym. 1,1'-bis(3,3-diethoxypropyl)indocarbocyanine derivative, which was cyclized with hydrolysis in CHCl3 containing H2SO4 to give I,  $\lambda_{\max}$  563 nm in MeOH. Synthesis of several related dyes is also described.

IT 207683-26-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of rigidized trimethine cyanine dyes as fluorescent markers for biomols.)

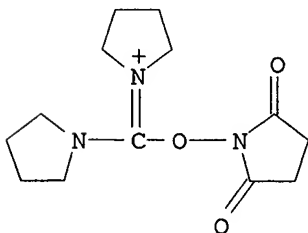
RN 207683-26-9 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

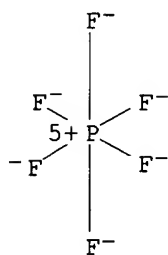
CRN 105832-35-7

CMF C13 H20 N3 O3



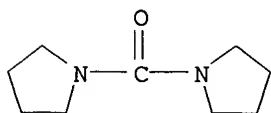
CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

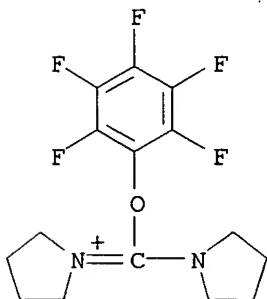
L4 ANSWER 58 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:508664 CAPLUS  
DOCUMENT NUMBER: 133:362436  
TITLE: Catalytic oxidative carbonylation of aliphatic secondary amines to tetrasubstituted ureas  
AUTHOR(S): McCusker, J. E.; Qian, F.; McElwee-White, L.  
CORPORATE SOURCE: Department of Chemistry, University of Florida, Gainesville, FL, 32611, USA  
SOURCE: Journal of Molecular Catalysis A: Chemical (2000), 159(1), 11-17  
CODEN: JMCCF2; ISSN: 1381-1169  
PUBLISHER: Elsevier Science B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:362436  
AB Secondary amines can be catalytically carbonylated to sym. tetrasubstituted ureas using W(CO)6 as the catalyst, I2 as the oxidant, and CO as the carbonyl source. Preparation of the corresponding tetrasubstituted ureas from the aliphatic secondary amines HNR2 (R = C2H5, n-Bu, i-Pr, PhCH2) and HNRR' (R,R' = -(CH2)4-; -(CH2)5-; PhCH2, CH3) was achieved in moderate yields. Aromatic secondary amines are unreactive.  
IT **81759-25-3P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of tetrasubstituted ureas by catalytic oxidative carbonylation of aliphatic secondary amines)  
RN 81759-25-3 CAPLUS  
CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



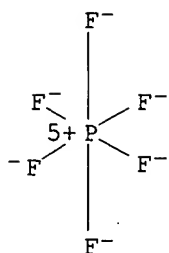
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 59 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:477860 CAPLUS  
DOCUMENT NUMBER: 133:238310  
TITLE: Addition of HOXt (X = A or B) improves the efficiency of phenol-based coupling reagents during peptide

synthesis  
 AUTHOR(S): El-Faham, Ayman  
 CORPORATE SOURCE: Faculty of Science, Department of Chemistry,  
 University of Alexandria, Alexandria, 21321, Egypt  
 SOURCE: Letters in Peptide Science (2000), 7(2), 113-121  
 CODEN: LPSCEM; ISSN: 0929-5666  
 PUBLISHER: Kluwer Academic Publishers  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 133:238310  
 AB In the course of comparing the effectiveness of HATU, HBTU, and  
 phenol-based coupling reagents, such as the pentafluorophenyl,  
 2-nitrophenyl, and 2,4,5-trichlorophenyluronium salts by (a) formation of  
 Fmoc-Ala-Val-OtBu (Fmoc = fluorenylmethoxycarbonyl), (b) (2 + 1) segment  
 coupling and (c) stepwise solid phase peptide assembly of typical model  
 peptides such as the pentapeptide H-Tyr-Aib-Aib-Phe-Leu-NH<sub>2</sub> and ACP  
 decapeptide (65-74), we found a striking improvement of the less effective  
 phenol-based coupling reagents (HPyOPfp, HPyONp, and HPyOTcp), both with  
 regard to reaction rate and extent of epimerization, when HOAt was added  
 and a clear superiority of HAPyU (in the presence and absence of HOAt)  
 relative to the compds. derived from HOBt, HOPfp, HONp, and HOTcp.  
 IT 202189-20-6P 292627-25-9P 292627-28-2P  
 RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);  
 USES (Uses)  
 (improvement of the efficiency of phenol-based peptide coupling  
 reagents by addition of hydroxybenzotriazole or hydroxyazabenzotriazole)  
 RN 202189-20-6 CAPLUS  
 CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-,  
 hexafluorophosphate(1-) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 202189-19-3  
 CMF C15 H16 F5 N2 O



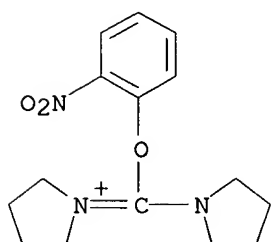
CM 2  
 CRN 16919-18-9  
 CMF F6 P  
 CCI CCS



RN 292627-25-9 CAPLUS  
 CN Pyrrolidinium, [(2-nitrophenoxy)-1-pyrrolidinylmethylene]-,  
 hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

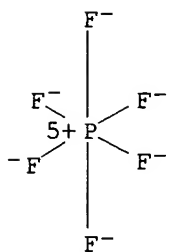
CM 1

CRN 292627-24-8  
 CMF C15 H20 N3 O3



CM 2

CRN 16919-18-9  
 CMF F6 P  
 CCI CCS

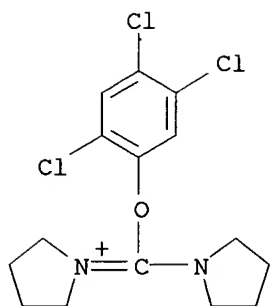


RN 292627-28-2 CAPLUS  
 CN Pyrrolidinium, [1-pyrrolidinyl(2,4,5-trichlorophenoxy)methylene]-,  
 hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 292627-27-1  
 CMF C15 H18 Cl3 N2 O



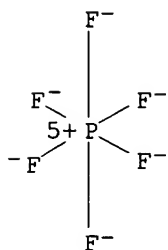


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CRN 16919-18-9

CMF F6 P

CCI CCS



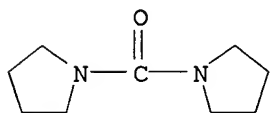
IT **81759-25-3P**, 1,1'-Carbonyldipyrrolidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(improvement of the efficiency of phenol-based peptide coupling reagents by addition of hydroxybenzotriazole or hydroxyazabenzotriazole)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 60 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:84604 CAPLUS

DOCUMENT NUMBER: 132:141951

TITLE: Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions

INVENTOR(S): Bocan, Thomas Michael Andrew

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

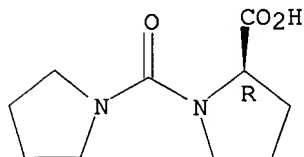
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

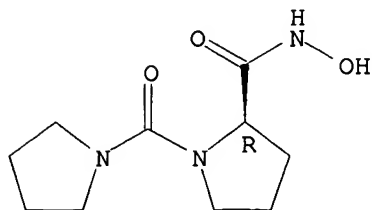
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004892	A2	20000203	WO 1999-US13948	19990618
WO 2000004892	A3	20000518		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335062	AA	20000203	CA 1999-2335062	19990618
AU 9947017	A1	20000214	AU 1999-47017	19990618
BR 9912296	A	20010417	BR 1999-12296	19990618
EP 1098662	A2	20010516	EP 1999-930483	19990618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100205	T2	20010521	TR 2001-200100205	19990618
EE 200100046	A	20020617	EE 2001-46	19990618
JP 2002521328	T2	20020716	JP 2000-560885	19990618
ZA 2001000294	A	20020110	ZA 2001-294	20010110
BG 105162	A	20011231	BG 2001-105162	20010117
NO 2001000291	A	20010118	NO 2001-291	20010118
HR 2001000055	A1	20020430	HR 2001-55	20010119
PRIORITY APPLN. INFO.:			US 1998-93639P	P 19980721
			WO 1999-US13948	W 19990618
AB	Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the reduction of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simvastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compound lactose 50, corn starch 20, and magnesium stearate 5 mg.			
IT	<b>172900-47-9 256646-45-4</b> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. containing ACAT and MMP inhibitors for treatment of atherosclerotic lesions)			
RN	172900-47-9 CAPLUS			
CN	D-Proline, 1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

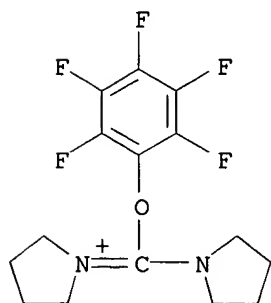


RN 256646-45-4 CAPLUS  
CN 2-Pyrrolidinecarboxamide, N-hydroxy-1-(1-pyrrolidinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

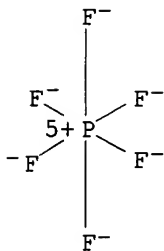


L4 ANSWER 61 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:44910 CAPLUS  
DOCUMENT NUMBER: 132:279505  
TITLE: Pentafluorophenyluronium reagents and allylic  
anchoring groups in solid phase glycopeptide synthesis  
AUTHOR(S): Habermann, Jorg; Kunz, Horst  
CORPORATE SOURCE: Institut fur Organische Chemie, Johannes  
Gutenberg-Universitat Mainz, Mainz, D-55099, Germany  
SOURCE: Innovation and Perspectives in Solid Phase Synthesis &  
Combinatorial Libraries: Peptides, Proteins and  
Nucleic Acids--Small Molecule Organic Chemical  
Diversity, Collected Papers, International Symposium,  
5th, London, Sept. 2-6, 1997 (1999), Meeting Date  
1997, 217-220. Editor(s): Epton, Roger. Mayflower  
Scientific Ltd.: Kingswinford, UK.  
CODEN: 68OEAA  
DOCUMENT TYPE: Conference  
LANGUAGE: English  
AB A symposium report. Coupling reagent PfPyU, a modified polystyrene  
polymer support and the HYCRON anchoring system were used in the  
solid-phase syntheses of glycopeptides.  
IT 202189-20-6, PfPyU  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(solid-phase synthesis of glycopeptides using the coupling reagent  
PfPyU and the HYCRON anchoring system)  
RN 202189-20-6 CAPLUS  
CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-,  
hexafluorophosphate(1-) (9CI) (CA INDEX NAME)  
CM 1  
CRN 202189-19-3  
CMF C15 H16 F5 N2 O



CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 62 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:44098 CAPLUS

DOCUMENT NUMBER: 132:227266

TITLE: Drug Delivery Systems Based on Trimethyl Lock Lactonization: Poly(ethylene glycol) Prodrugs of Amino-Containing Compounds

AUTHOR(S): Greenwald, Richard B.; Choe, Yun H.; Conover, Charles D.; Shum, Kwok; Wu, Dechun; Royzen, Maksim

CORPORATE SOURCE: Enzon Inc., Piscataway, NJ, 08854, USA

SOURCE: Journal of Medicinal Chemistry (2000), 43(3), 475-487  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel methodol. for the synthesis of PEG prodrugs of amino-containing compds. has been developed which is based on the tri-Me lock lactonization reaction. These PEG-modified double prodrugs are water soluble, and by selective modification of the specifier or trigger, plasma half-lives can be adjusted at will to result in a wide range of values. Facile syntheses of ester, carbonate, and carbamate functionalities were accomplished and combined with greater or lesser degrees of steric hindrance in the spacer group, or on the aromatic framework, to achieve predictable ranges of drug concentration in plasma. In vivo screening of PEG prodrugs was done using a

M109 syngeneic solid mouse tumor model. One of the PEG-daunorubicin prodrugs, with a half-life of 2 h, was evaluated in an in vivo solid tumor panel and found to be more efficacious against ovarian tumors (SKOV3) than equivalent amts. of daunorubicin.

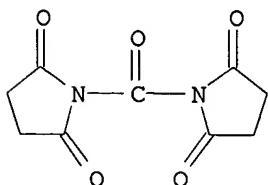
IT 158627-30-6, N,N-Disuccinimidyl carbonate

RL: RCT (Reactant); RACT (Reactant or reagent)

(PEG prodrugs of amino-containing compds. as drug delivery systems based on tri-Me lock lactonization)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 63 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2000:10612 CAPLUS  
DOCUMENT NUMBER: 132:73648  
TITLE: Lipophilic insulin derivatives soluble at physiological pH with prolonged serum half-lives and biological activity  
INVENTOR(S): Havelund, Svend; Halstrom, John; Jonassen, Ib; Andersen, Asser Sloth; Markussen, Jan  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: U.S., 47 pp., Cont.-in-part of U.S. 5,750,497.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6011007	A	20000104	US 1997-975365	19971120
ZA 9407187	A	19950317	ZA 1994-7187	19940916
JP 2000060556	A2	20000229	JP 1999-221632	19940916
EP 1132404	A2	20010912	EP 2001-112992	19940916
EP 1132404	A3	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
JP 2002308899	A2	20021023	JP 2001-385921	19940916
US 5750497	A	19980512	US 1995-400256	19950308
US 6869930	B1	20050322	US 1999-398365	19990917
AU 745983	B2	20020411	AU 2000-51960	20000811
US 2004110664	A1	20040610	US 2002-101454	20020312
PRIORITY APPLN. INFO.:				DK 1993-1044 A 19930917
				US 1995-400256 A2 19950308
				US 1994-190829 A 19940202
				EP 1994-926816 A3 19940916
				JP 1995-508923 A3 19940916
				JP 1999-221632 A3 19940916
				US 1997-975365 A3 19971120
				US 1999-398365 A1 19990917

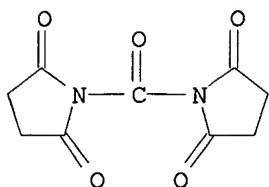
OTHER SOURCE(S): MARPAT 132:73648

AB Human insulin derivs. with improved solubility at physiol. pH and that retain biol. activity for longer than wild-type human insulin are described. The insulins are substituted at positions A21 and B3 with either being any amino acid except lysine, arginine, or cysteine. The phenylalanine at B1 may be deleted and the amino acid at position B30 may be deleted or substituted by any amino acid except lysine, arginine, or cysteine or by another amino acid that is lipophilic having a C10-24 side chain. If B30 is deleted or substituted, lysineB29 is modified by a carboxylic acid connected to the ε-amino group. When B30 is threonine or alanine and A21 and B3 are both asparagine, and phenylalanineB1 is present, then the insulin derivative is always present as a Zn<sup>2</sup> complex.

IT **158627-30-6DP**, conjugates with insulin  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(lipophilic insulin derivs. soluble at physiol. pH with prolonged serum half-lives and biol. activity)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 64 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:819347 CAPLUS

DOCUMENT NUMBER: 132:64103

TITLE: Preparation of amidino and guanidino azetidinone compounds as tryptase inhibitors

INVENTOR(S): Bisacchi, Gregory; Slusarchyk, William A.; Treuner, Uwe; Sutton, James C.; Zahler, Robert; Seiler, Steven; Kronenthal, David R.; Randazzo, Michael E.; Xu, Zhongmin; Shi, Zhongping; Schwinden, Mark D.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: PCT Int. Appl., 326 pp.

CODEN: PIXXD2

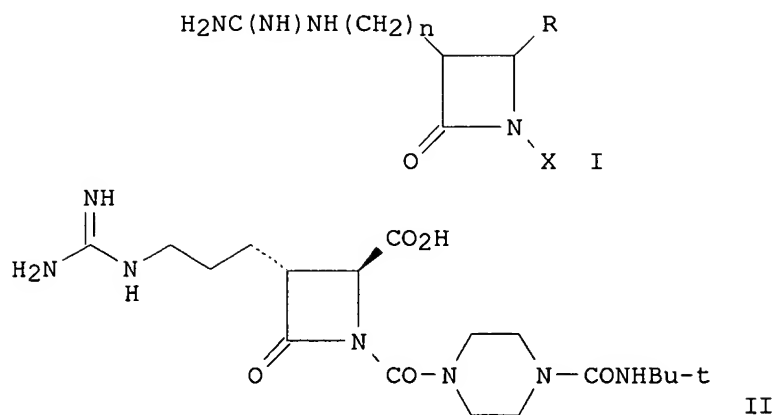
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967215	A1	19991229	WO 1999-US13811	19990618
W:			AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
CA 2336003	AA	19991229	CA 1999-2336003	19990618
AU 9946950	A1	20000110	AU 1999-46950	19990618
AU 752320	B2	20020912		
EP 1089973	A1	20010411	EP 1999-930402	19990618
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI	
TR 200003859	T2	20010723	TR 2000-200003859	19990618
BR 9911373	A	20010918	BR 1999-11373	19990618
JP 2002518478	T2	20020625	JP 2000-555869	19990618
RU 2211832	C2	20030910	RU 2001-102266	19990618
NZ 507627	A	20031219	NZ 1999-507627	19990618
TW 548270	B	20030821	TW 1999-88110361	19990621
ZA 2000006028	A	20020725	ZA 2000-6028	20001025
NO 2000006380	A	20001214	NO 2000-6380	20001214
PRIORITY APPLN. INFO.:			US 1998-90636P	P 19980625
			WO 1999-US13811	W 19990618
OTHER SOURCE(S):		MARPAT 132:64103		
GI				



AB Novel  $\beta$ -lactam compds., e.g. of formula I [R - CO<sub>2</sub>H, CONH-alkyl, etc.; X = CONH(CH<sub>2</sub>)<sub>2</sub>NHCO<sub>2</sub>alkyl, etc.; n = 1-6;], are prepared as inhibitors of in vivo enzyme systems including tryptase, thrombin, trypsin, factor Xa, factor VIIa, and urokinase-type plasminogen activator (no data). The tryptase activity makes the title compds. useful as antiinflammatory agents in the treatment of chronic asthma and allergic rhinitis. Thus, II was prepared from (4S)-N-(tert-butyldimethylsilyl)azetidin-2-one-4-carboxylic acid, tert-butyl-1-piperazine carboxylate and tert-Bu isocyanate.

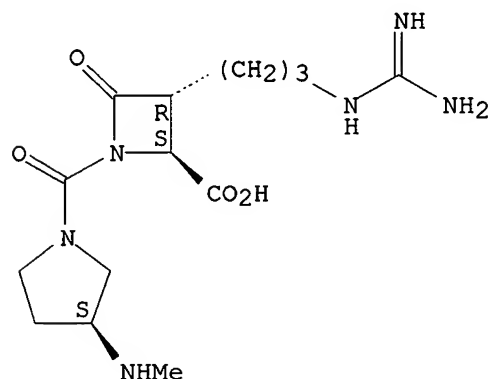
IT **253173-82-9P 253173-84-1P 253173-95-4P**  
**253174-04-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amidino and guanidino azetidinone compds. as tryptase inhibitors)

RN 253173-82-9 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-(methylamino)-1-pyrrolidinyl]carbonyl]-4-oxo-, monohydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



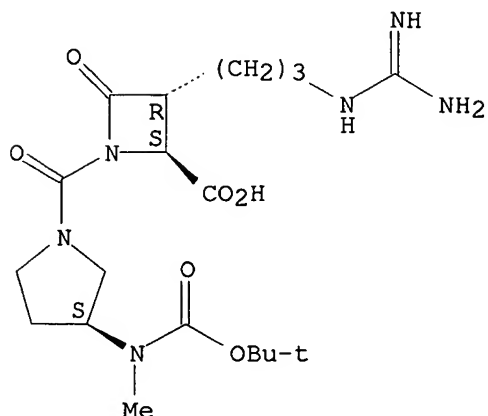
● HCl

RN 253173-84-1 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-[[ (1,1-dimethylethoxy)carbonyl]methylamino]-1-pyrrolidinyl]carbonyl]-4-

oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

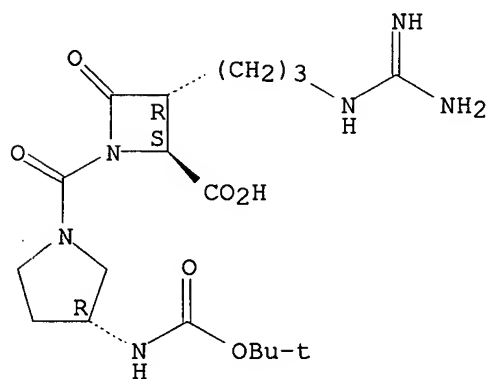
Absolute stereochemistry.



RN 253173-95-4 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3R)-3-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-pyrrolidinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

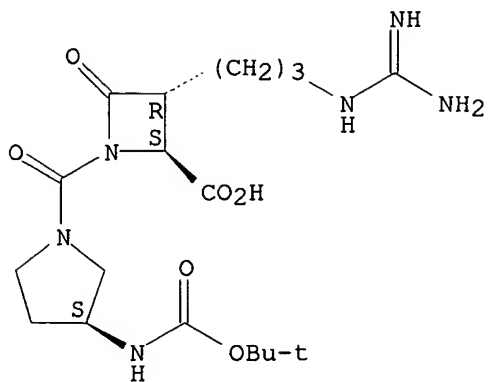


RN 253174-04-8 CAPLUS

CN 2-Azetidinecarboxylic acid, 3-[3-[(aminoiminomethyl)amino]propyl]-1-[[ (3S)-3-[[ (1,1-dimethylethoxy)carbonyl]amino]-1-pyrrolidinyl]carbonyl]-4-oxo-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





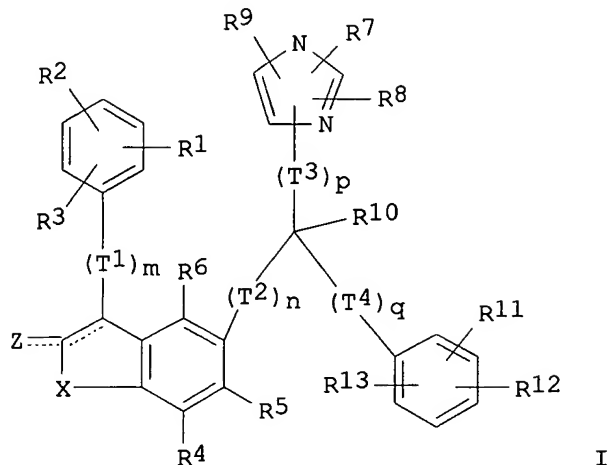
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 65 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1999:811234 CAPLUS  
DOCUMENT NUMBER: 132:35705  
TITLE: Preparation of imidazole derivatives as prenyl  
transferase inhibitors  
INVENTOR(S): Dong, Zheng Xin; Shen, Yeelana  
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications  
Scientifiques (SCRAS), Fr.  
SOURCE: PCT Int. Appl., 75 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965898	A1	19991223	WO 1999-US13303	19990611
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335435	AA	19991223	CA 1999-2335435	19990611
AU 9948222	A1	20000105	AU 1999-48222	19990611
EP 1097150	A1	20010509	EP 1999-931792	19990611
EP 1097150	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002518387	T2	20020625	JP 2000-554723	19990611
AT 262520	E	20040415	AT 1999-931792	19990611
EP 1420015	A1	20040519	EP 2003-78986	19990611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
ES 2216535	T3	20041016	ES 1999-931792	19990611
TW 577885	B	20040301	TW 1999-88110018	19990819
NO 2000006401	A	20001215	NO 2000-6401	20001215
US 6420555	B1	20020716	US 2001-719720	20010522
US 2003004342	A1	20030102	US 2002-151265	20020520
US 6509336	B2	20030121		
US 2004002531	A1	20040101	US 2003-348206	20030121
PRIORITY APPLN. INFO.:			US 1998-89483P	P 19980616

US 1998-98141	A 19980616
EP 1999-931792	A3 19990611
WO 1999-US13303	W 19990611
US 2001-719720	A3 20010522
US 2002-151265	A1 20020520

OTHER SOURCE(S): MARPAT 132:35705  
GI



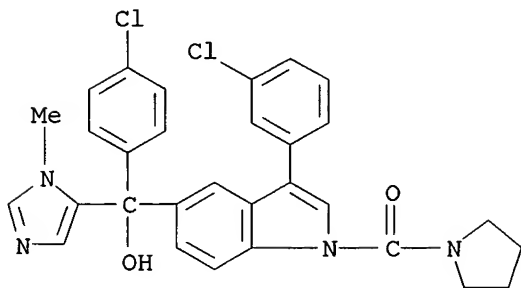
AB The title compds. I [m, n, p, q = 0, 1; T = CR<sub>2</sub>6R<sub>2</sub>7, S, O, CO, etc.; X = NY, S, O; Z = H, halo, etc.; R<sub>1</sub>-R<sub>6</sub>, R<sub>11</sub>-R<sub>13</sub> = H, halo, OH, alkyl, etc.; R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> = H, aryl, aryloxy, etc.], useful as prenyl transferase inhibitors (no data), were prepared E.g., 3-(3-chlorophenyl)-5-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]indole was prepared

IT **252668-78-3P 252668-86-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of imidazole derivs. as prenyl transferase inhibitors)

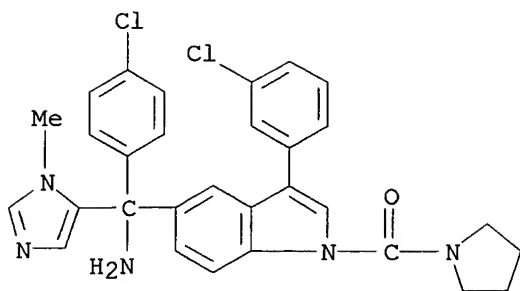
RN 252668-78-3 CAPLUS

CN 1H-Indole-5-methanol, 3-(3-chlorophenyl)- $\alpha$ -(4-chlorophenyl)- $\alpha$ -(1-methyl-1H-imidazol-5-yl)-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 252668-86-3 CAPLUS

CN 1H-Indole-5-methanamine, 3-(3-chlorophenyl)- $\alpha$ -(4-chlorophenyl)- $\alpha$ -(1-methyl-1H-imidazol-5-yl)-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 66 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:795903 CAPLUS

DOCUMENT NUMBER: 132:36958

TITLE: Energy transfer assay method and non-fluorescent cyanine dye therefor

INVENTOR(S): Hamilton, Alan L.; Birch, Martyn N.; Hatcher, Malcolm J.; Bosworth, Nigel; Scott, Brian

PATENT ASSIGNEE(S): Amersham Pharmacia Biotech UK Limited, UK

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

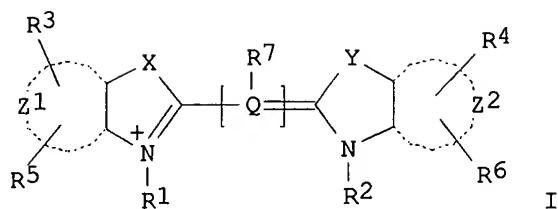
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964519	A1	19991216	WO 1999-GB1746	19990602
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2330974	AA	19991216	CA 1999-2330974	19990602
AU 9941568	A1	19991230	AU 1999-41568	19990602
AU 749437	B2	20020627		
EP 1086179	A1	20010328	EP 1999-925185	19990602
EP 1086179	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 238392	E	20030515	AT 1999-925185	19990602
JP 2003532745	T2	20031105	JP 2000-553515	19990602
ES 2198133	T3	20040116	ES 1999-925185	19990602
US 6828116	B1	20041207	US 2001-719016	20010215
PRIORITY APPLN. INFO.:			GB 1998-12596	A 19980611
			WO 1999-GB1746	W 19990602

OTHER SOURCE(S): MARPAT 132:36958

GI



AB A non-fluorescent cyanine dye (I) may be used as an acceptor in fluorescence energy transfer assays involving the detection of binding and/or cleavage events in reactions involving biol. mols., and assay methods utilizing such dyes are disclosed. In I, Q contains at least one double bond and forms a conjugated system with the rings containing X and Y; groups R3, R4, R5, and R6 are attached to the rings containing X and Y, or optionally, are attached to atoms of the Z1 and Z2 ring structures; Z1 and Z2 each represent a bond or the atoms necessary to complete one or two fused aromatic rings each ring having five or six atoms, selected from carbon atoms and, optionally, no more than two oxygen, nitrogen and sulfur atoms; at least one of groups R1, R2, R3, R4, R5, R6, and R7 is a target bonding group; any remaining groups R3, R4, R5, R6 and R7 groups are independently selected from the group consisting of hydrogen, C1-C4-alkyl, OR9, CO2R9, nitro, amino, acylamino, quaternary ammonium, phosphate, sulfonate, and sulfate, where R9 is selected from H and C1-C4-alkyl; any remaining R1 and R2 are selected from C1-C10-alkyl which may be unsubstituted or substituted with Ph, the Ph being optionally substituted by up to two substituents selected from carboxyl, sulfonate and nitro groups; characterized in that at least one of the groups R1, R2, R3, R4, R5, R6, and R7 comprises a substituent which reduces the fluorescence emission of said dye such that it is essentially non-fluorescent. In an example, blue 2-[5-[1-(5-carboxypentyl)-3,3-dimethyl-5-sulfo-1,3-dihydro-2H-indol-2-ylidene]-1,3-pentadienyl]-1-(3,5-dinitrobenzyl)-3,3-dimethyl-5-sulfo-3H-indolium inner salt ( $\lambda_{max}$  651 nm) was prepared from 1-(3,5-dinitrobenzyl)-2,3,3-trimethyl-5-sulfo-3H-indolium bromide, 1-(5-carboxypentyl)-2,3,3-trimethyl-5-sulfo-3H-indolium bromide, and malonaldehyde bis(phenylimine) monohydrochloride and used as an acceptor label in an oligonucleotide binding assay.

IT 207683-26-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(starting material; production of nonfluorescent cyanine acceptor dyes for fluorescence energy transfer assay of biomols.)

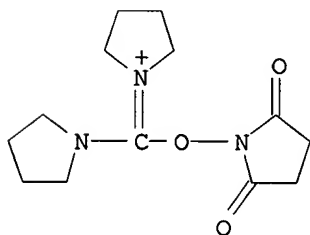
RN 207683-26-9 CAPLUS

CN Pyrrolidinium, 1-[[ (2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 105832-35-7

CMF C13 H20 N3 O3

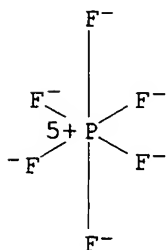


CM 2

CRN 16919-18-9

CMF F6 P

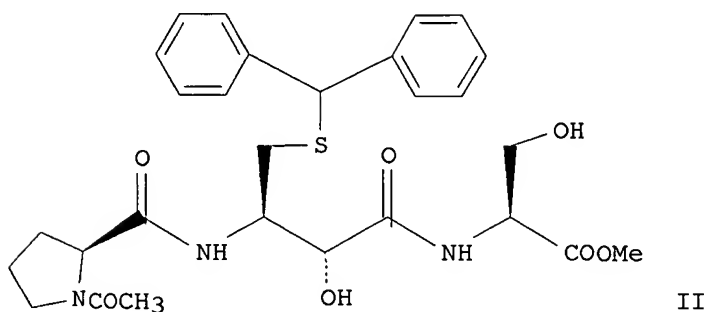
CCI CCS



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 67 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1999:680120 CAPLUS  
DOCUMENT NUMBER: 131:310838  
TITLE: Preparation of peptides as HCV protease inhibitors  
INVENTOR(S): Yamamoto, Osamu; Nakai, Eiichi; Shimizu, Yasuaki;  
Hara, Ryuichiro  
PATENT ASSIGNEE(S): Soyaku Gijutsu Kenkyusho K. K., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
JP 11292840	A2	19991026	JP 1998-93765	19980406
PRIORITY APPLN. INFO.:			JP 1998-93765	19980406
GI				



AB Title compds. RAN(X)CH(CH<sub>2</sub>SR<sub>1</sub>)CH(OH)COY [I; R = H, protection group of N; R<sub>1</sub> = H, protection group of S; A = amino acid amide; X = H, fragment of amino acid; Y = amino acid, amino acid ester: such as serine and valine] and pharmaceutical acceptable salts are prepared and tested as Hepatitis C virus (HCV) protease inhibitors in treatment of hepatitis C. Thus, the title compound II was prepared

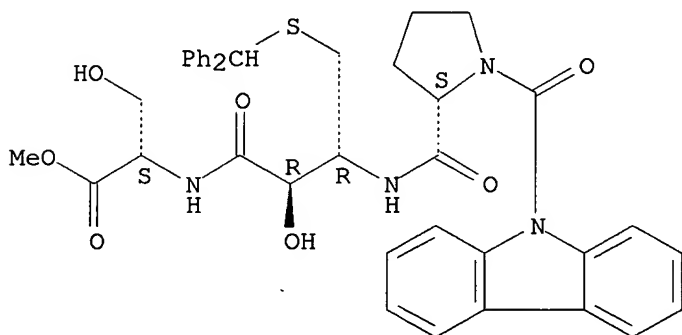
IT 247264-14-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptides. as HCV protease inhibitors)

RN 247264-14-8 CAPLUS

CN L-Serine, 1-(9H-carbazol-9-ylcarbonyl)-L-prolyl-(2R,3R)-3-amino-4-[(diphenylmethyl)thio]-2-hydroxybutanoyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 68 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:672160 CAPLUS

DOCUMENT NUMBER: 132:50170

TITLE: Combinatorial solid-phase synthesis using D-galactose as a chiral five-dimension-diversity scaffold

AUTHOR(S): Kallus, Christopher; Opatz, Till; Wunberg, Tobias; Schmidt, Wolfgang; Henke, Stefan; Kunz, Horst

CORPORATE SOURCE: Institut für Organische Chemie der Universität Mainz, Mainz, D-55099, Germany

SOURCE: Tetrahedron Letters (1999), 40(44), 7783-7786  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:50170

AB All five hydroxy groups of galactose as the scaffold are used for selective coupling of side chains in a combinatorial methodol. by application of a set of orthogonally stable protecting groups in combination with a thioglycoside anchor.

IT 202189-20-6, PfPyU

RL: RCT (Reactant); RACT (Reactant or reagent)  
(combinatorial solid-phase synthesis of D-galactosides using D-galactose as a chiral five-dimension-diversity scaffold)

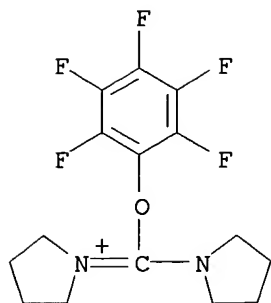
RN 202189-20-6 CAPLUS

CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 202189-19-3

CMF C15 H16 F5 N2 O

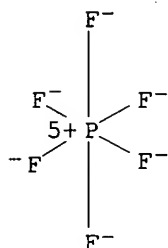


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 69 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:509602 CAPLUS  
 DOCUMENT NUMBER: 131:272453  
 TITLE: Quantitative characterization of the flexibility of poly(ethylene glycol) chains attached to a glassy carbon electrode  
 AUTHOR(S): Anne, Agnes; Moiroux, Jacques  
 CORPORATE SOURCE: Laboratoire d'Electrochimie Moleculaire Unite Mixte de Recherche Universite CNRS No. 7591, Universite de Paris, Paris, 75251, Fr.  
 SOURCE: Macromolecules (1999), 32(18), 5829-5835  
 CODEN: MAMOBX; ISSN: 0024-9297  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Electrodes bearing terminally attached PEG600-Fc and PEG3400-Fc chains exhibit remarkably similar time responses in cyclic voltammetry. Two asym. NHS-PEG600-Fc and NHS-PEG3400-Fc poly(ethylene glycol) (PEG) chains of markedly different lengths were synthesized, and their activated N-hydroxysuccinimide ester (NHS) end was used for terminal attachment to the surface of a glassy carbon electrode. A similar surface coverage was obtained with both derivs. At this coverage, the attached NHS-PEG600-Fc, which are relatively small, were not constrained to overlap whereas the attached NHS-PEG3400-Fc were necessarily stretched. The presence of the ferrocene (Fc) redox probe gave rise to signals in cyclic voltammetry which were analyzed quant. At sufficiently high potential scan rate the peak currents reflect the flexibility of the terminally attached PEG

chains. Both types of derivatized electrodes gave remarkably similar peak currents in cyclic voltammetry.

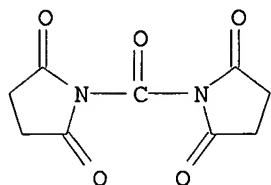
IT 158627-30-6D, N,N-Disuccinimidyl carbonate, reaction products with functionalized polyethylene glycol bis(carboxymethyl) ether

RL: PRP (Properties)

(quant. characterization of flexibility of poly(ethylene glycol) chains attached to a glassy carbon electrode)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 70 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:421682 CAPLUS

DOCUMENT NUMBER: 131:73563

TITLE: Preparation of heterocyclic ethers as neuronal nicotinic receptor ligands

INVENTOR(S): Holladay, Mark W.; Abreo, Melwyn A.; Gunn, David E.; Lin, Nan-Horng; Garvey, David S.; Ryther, Keith; Lebold, Suzanne A.; Elliott, Richard L.; He, Yun; Wasiak, James T.; Bai, Hao; Dart, Michael J.; Ehrlich, Paul P.; Li, Yihong; Kincaid, John F.; Schkeryantz, Jeffrey M.; Lynch, John K.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 392 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

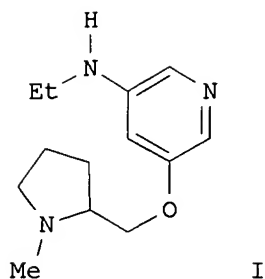
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932480	A1	19990701	WO 1998-US27144	19981218
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2315117	AA	19990701	CA 1998-2315117	19981218
EP 1047690	A1	20001102	EP 1998-964167	19981218
EP 1047690	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001526285	T2	20011218	JP 2000-525417	19981218
AT 262519	E	20040415	AT 1998-964167	19981218
PT 1047690	T	20040730	PT 1998-964167	19981218
ES 2221234	T3	20041216	ES 1998-964167	19981218
PRIORITY APPLN. INFO.:			US 1997-994812	A 19971219
			WO 1998-US27144	W 19981218

OTHER SOURCE(S): MARPAT 131:73563

GI





AB Title compds., e.g., R1ZBXE [B = CHR3, CHR3CH2, CH2CHR3; E = 6-chloro-3-pyridazinyl, 2-pyrazinyl, 3- or 4-quinolyl, 5-pyrimidinyl, 2-thiazolyl, (un)substituted 3-pyridinyl; R1 = H, alkyl, allyl; R3 = H or alkyl; X = O or S; Z = (un)substituted azetidine-, -pyrrolidine- or -piperidine-1,2-diyl] were prepared. Thus, (S)-1-methyl-2-pyrrolidinemethanol was etherified by 3,5-dibromopyridine and the product aminated to give, after acetylation and reduction, title compound (S)-I. Data for biol. activity of title compds. were given.

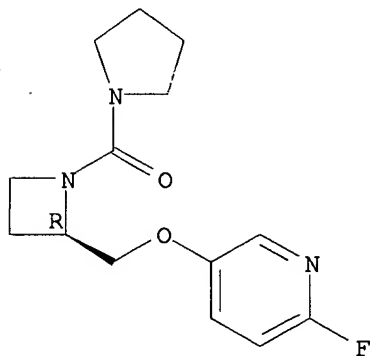
IT **209327-66-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclic ethers as neuronal nicotinic receptor ligands)

RN 209327-66-2 CAPLUS

CN Pyrrolidine, 1-[[[(2R)-2-[[[(6-fluoro-3-pyridinyl)oxy]methyl]-1-azetidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 71 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:405036 CAPLUS

DOCUMENT NUMBER: 131:60019

TITLE: Preparation of rigidized trimethine cyanine dyes and their use as fluorescent markers

INVENTOR(S): Waggoner, Alan S.; Mujumdar, Ratnakar B.

PATENT ASSIGNEE(S): Carnegie Mellon University, USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

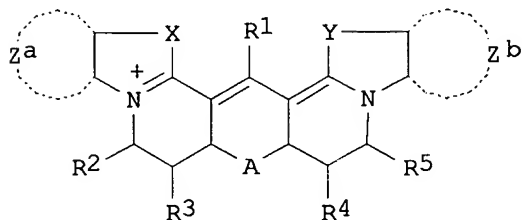
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931181	A1	19990624	WO 1998-US26665	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2314188	AA	19990624	CA 1998-2314188	19981216
AU 9918288	A1	19990705	AU 1999-18288	19981216
AU 760598	B2	20030515		
EP 1042407	A1	20001011	EP 1998-963218	19981216
EP 1042407	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 205515	E	20010915	AT 1998-963218	19981216
ES 2165711	T3	20020316	ES 1998-963218	19981216
JP 2002508428	T2	20020319	JP 2000-539092	19981216
US 6686145	B1	20040203	US 2000-581679	20000725
PRIORITY APPLN. INFO.:			US 1997-992212	A2 19971217
			WO 1998-US26665	W 19981216
OTHER SOURCE(S): MARPAT 131:60019				
GI				



I

AB Trimethine cyanine dyes, which are useful for imparting fluorescent properties to target materials by covalent and non-covalent association, have general I [X, Y = bis-C1-4 alkyl- or C4-5 spiroalkyl-substituted C, O, S, Se, CH:CH, NW; W = H, (CH<sub>2</sub>)<sub>n</sub>R<sub>12</sub>; n = 1-26; R<sub>12</sub> = H, (substituted) amino, aldehyde, acetal, halogen, cyano, (hetero)aryl, OH, sulfonate, sulfate, carboxylate, quaternary amino, NO<sub>2</sub>, amide, reactive group to amino, OH, CO, phosphoryl, sulfonyl; Z<sub>a</sub>, Z<sub>b</sub> = bond, atoms necessary to complete one, two fused or three fused aromatic rings each ring having five or six atoms and containing ≤2 O, S, N; A = O, S, NR<sub>11</sub>; R<sub>11</sub> = substituted amino radical; R<sub>1</sub> = H, (hetero)aryl, CN, NO<sub>2</sub>, CHO, halogen, OH, (substituted)amino, acetal, ketal, phosphoryl, sulfonyl, quaternary amino, water-solubilizing group, (substituted) alkyl; R<sub>2</sub>-5 = water solubility-reducing neutral group, water-solubilizing polar group, functional group that is reactive in labeling reaction, electron donating or withdrawing for shifting the absorption and emission wavelength of the fluorescent mol, lipid- and hydrocarbon-solubilizing group]. The dyes are used in binding assays, such as immunoassays, nucleic acid hybridization assays, DNA-protein binding assays, hormone receptor binding assays, and enzyme assays.

IT 207683-26-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of rigidized trimethine cyanine dyes and their use as

fluorescent markers)

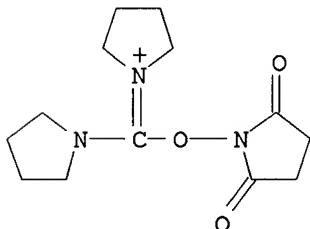
RN 207683-26-9 CAPLUS

CN Pyrrolidinium, 1-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-  
, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 105832-35-7

CMF C13 H20 N3 O3

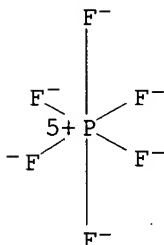


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 72 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:404853 CAPLUS

DOCUMENT NUMBER: 131:59098

TITLE: Polymeric double prodrug transport system for amino-  
and hydroxyl-containing bioactive agents

INVENTOR(S): Greenwald, Richard B.; Pendri, Annapurna; Choe, Yun H.

PATENT ASSIGNEE(S): Enzon, Inc., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9930727	A1	19990624	WO 1998-US26565	19981214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,				

MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,  
 TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 6180095 B1 20010130 US 1998-183557 19981030  
 CA 2312975 AA 19990624 CA 1998-2312975 19981214  
 AU 9918252 A1 19990705 AU 1999-18252 19981214  
 EP 1037649 A1 20000927 EP 1998-963173 19981214  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002508400 T2 20020319 JP 2000-538706 19981214  
 PRIORITY APPLN. INFO.: US 1997-992435 A 19971217  
 US 1998-183557 A 19981030  
 WO 1998-US26565 W 19981214

GI For diagram(s), see printed CA Issue.

AB The title prodrugs [I; G = H, C:(Y1)B; B = H, leaving group, a residue of amine- or hydroxy-containing moiety; L1 = bifunctional link; Y1-Y4 = O, S, NR12; R1, R4, R9, R10, R12 = H, (un)substituted C1-6 alkyl, C3-12 branched alkyl, C3-8 cycloalkyl, (un)substituted aryl, etc.; R2, R3, R5, R6 = H, (un)substituted C1-6 alkyl, C1-6 alkoxy, phenoxy, C1-8 hetero-alkyl, etc.; R11 = non-antigenic polymer; Ar = moiety which forms a multi-substituted aryl or heterocyclyl; m, r, s, t, u, v = 0, 1; p = 0, pos. integer] were prepared. The first prodrug is generated when the polymeric portion of the double prodrug is cleaved and the parent mol. is generated rapidly thereafter in vivo, preferably as a result of a 1,6- or 1,4-benzyl elimination-reaction. Methods of preparing I and methods of treatment are also disclosed. For example, thiazolidine thione-activated polyethylene glycol (PEG) carbamate PEGOCOQ (Q = N-bound 1,3-thiazolidine-2-thione residue; PEG mol. weight 5000) was transesterified with 4-HOC6H4CH2OH in CH2Cl2 in the presence of 4-(dimethylamino)pyridine (DMAP) to give 87% carbonate PEGOCO2C6H4CH2OH-4. This was dried azeotropically with PhMe, esterified (70%) with ClCO2C6H4NO2-4 and the active carbonate trans-amidated by stirring for 18 h with daunorubicin·HCl in DMF in the presence of DMAP to give 80% of a title prodrug PEGOCO2C6H4(CH2OCONH-Daun)-4 (Daun = daunomycin residue). Biol. data supporting in vitro and in vivo antitumor activity of 5 daunorubicin prodrugs I are given.

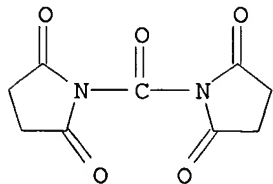
IT 158627-30-6, N,N-Disuccinimidyl carbonate

RL: RCT (Reactant); RACT (Reactant or reagent)

(transesterification of polyethylene glycol derivative; preparation of polymeric double prodrug transport system for amino- and hydroxyl-containing bioactive agents)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



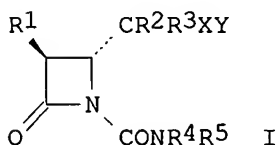
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 73 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:244634 CAPLUS  
 DOCUMENT NUMBER: 130:296550

TITLE: synthesis and antiviral activity of azetidinone derivatives for the treatment of HCMV infections  
 INVENTOR(S): Yoakim, Christiane; Chabot, Catherine; Deziel, Robert; Kawai, Stephen; Ogilvie, Willian W.; O'Meara, Jeffrey  
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918073	A1	19990415	WO 1998-CA954	19981006
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301967	AA	19990415	CA 1998-2301967	19981006
CA 2301967	C	20050517		
AU 9894261	A1	19990427	AU 1998-94261	19981006
EP 1023265	A1	20000802	EP 1998-947257	19981006
EP 1023265	B1	20030115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6211170	B1	20010403	US 1998-167141	19981006
JP 2001519330	T2	20011023	JP 2000-514885	19981006
AT 231124	E	20030215	AT 1998-947257	19981006
ES 2187064	T3	20030516	ES 1998-947257	19981006
MX 200003451	A	20001113	MX 2000-3451	20000407
PRIORITY APPLN. INFO.:			US 1997-61548P	P 19971007
			WO 1998-CA954	W 19981006

OTHER SOURCE(S): MARPAT 130:296550  
 GI



AB Synthesis of azetidinone derivs. (I) [R1 = H, Me, Et, MeO, MeS; R2, R3 each independently = H, alkyl; R4 = H, alkyl, MeO, EtO, PhCH2O; R5 = alkyl, cycloalkyl, (CH2)mC(O)OR6 wherein m = 1 or 2 and R6 = alkyl, (un)substituted Ph, phenylalkyl, heterocycle, heteroalkyl, or R4 and R5 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; X = O, S, SO, SO2, NR7, wherein R7 = H, alkyl; Y = alkyl, cyclic alkyl, (un)substituted phenylalkyl, (un)substituted heteroalkyl, X and Y are joined together to form a morpholino or piperidino ring] or a therapeutically acceptable acid addition salt are described. Thus, I (R1,R2,R4 = H, R5 = 4-pyridyl, X = S, Y = Ph) (II) is prepared by desilylation of 1-(t-butyldimethylsilyl)-4-(R)-(hydroxymethyl)azetidin-2-one, mesylation, addition reaction with thiophenol followed by coupling of the formed 4-(R)-(phenylsulfanylmethyl)azetidin-2-one with (pyridin-4-ylmethyl)carbamic acid Ph ester. II shows and EC50 of

75  $\mu$ M in plaque reduction assay and is useful for the treatment of HCMV infections.

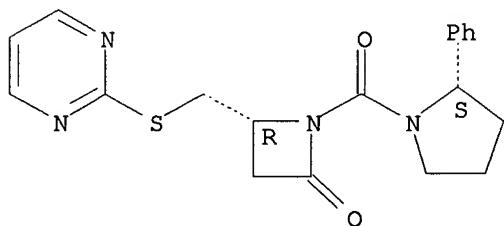
IT 216960-73-5P 216960-74-6P 223375-72-2P  
223375-73-3P 223375-74-4P 223375-76-6P  
223375-77-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and antiviral activity of azetidinone derivs. for the treatment of HCMV infections)

RN 216960-73-5 CAPLUS

CN Pyrrolidine, 1-[[[(4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

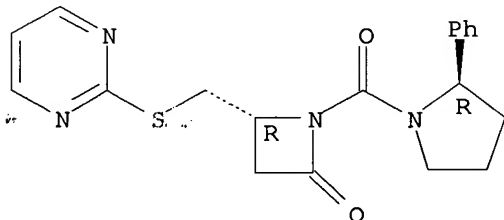
Absolute stereochemistry.



RN 216960-74-6 CAPLUS

CN Pyrrolidine, 1-[[[(4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

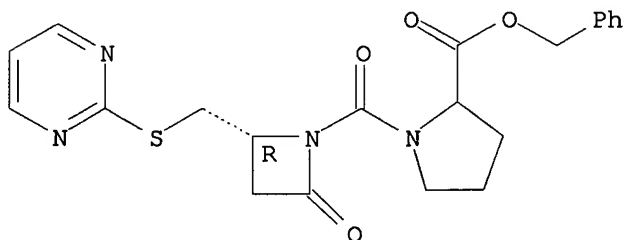
Absolute stereochemistry.



RN 223375-72-2 CAPLUS

CN Proline, 1-[[[(4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

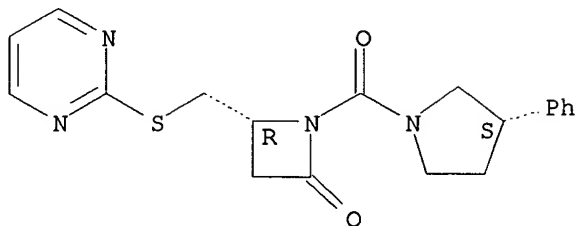
Absolute stereochemistry.



RN 223375-73-3 CAPLUS

CN Pyrrolidine, 1-[[[(4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-3-phenyl-, (3S)- (9CI) (CA INDEX NAME)

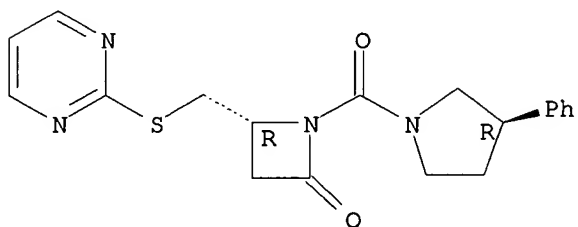
Absolute stereochemistry.



RN 223375-74-4 CAPLUS

CN Pyrrolidine, 1-[[[(4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-3-phenyl]-, (3R)- (9CI) (CA INDEX NAME)

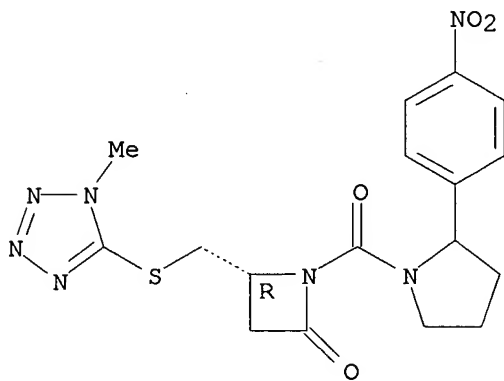
Absolute stereochemistry.



RN 223375-76-6 CAPLUS

CN Pyrrolidine, 1-[[[(2R)-2-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-4-oxo-1-azetidinyl]carbonyl]-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

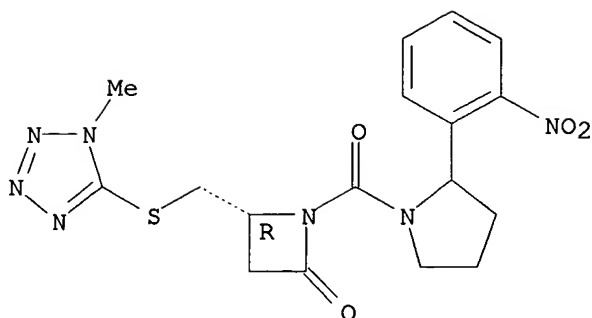
Absolute stereochemistry.



RN 223375-77-7 CAPLUS

CN Pyrrolidine, 1-[[[(2R)-2-[[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-4-oxo-1-azetidinyl]carbonyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

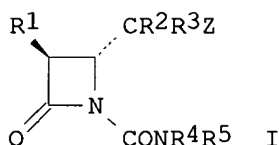


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 74 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:244633 CAPLUS  
 DOCUMENT NUMBER: 130:296549  
 TITLE: synthesis and antiviral activity of azetidinone derivatives for the treatment of HCMV infections  
 INVENTOR(S): Yoakim, Christiane; Deziel, Robert; Ogilvie, William W.; O'Meara, Jeffrey  
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.  
 SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9918072	A1	19990415	WO 1998-CA953	19981006
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301543	AA	19990415	CA 1998-2301543	19981006
CA 2301543	C	20050517		
AU 9894260	A1	19990427	AU 1998-94260	19981006
EP 1021405	A1	20000726	EP 1998-947256	19981006
EP 1021405	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6242439	B1	20010605	US 1998-167143	19981006
JP 2001519329	T2	20011023	JP 2000-514884	19981006
AT 224872	E	20021015	AT 1998-947256	19981006
ES 2180201	T3	20030201	ES 1998-947256	19981006
MX 200003450	A	20001113	MX 2000-3450	20000407
PRIORITY APPLN. INFO.:			US 1997-61544P	P 19971007
			WO 1998-CA953	W 19981006
OTHER SOURCE(S):			MARPAT 130:296549	
GI				





AB Synthesis of azetidinone derivs. (I) [R1 = H, Me, Et, OMe, SMe; R2, R3 each independently = H, alkyl; R4 = H, alkyl, MeO, EtO, PhCH2O; R5 = alkyl, cycloalkyl, (CH2)mC(O)OR6 wherein m is the integer 1 or 2 and R6 is alkyl, (un)substituted Ph, heterocycle, heteroalkyl, or R4 and R5 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; Z = alkyl, (un)substituted Ph or heterocycle with the proviso that when Z is (CH2)p-(Het), then R2 and R3 each = H] or a therapeutically acceptable acid addition salt are described. Thus, I (R1 = Me, R2,R3,R4 = H, R5 = CH2Ph, Z = Ph) (II) is prepared in six steps by homologizing N-benzyloxycarbonyl-L-phenylalanine to 3-(S)-[(benzyloxy)carbonyl]amino-4-phenylbutyric acid benzykl ester followed by hydrolysis and cyclization to 4-(S)-benzylazetidin-2-one, then silylation and methylation, desilylation and coupling with benzyl isocyanate. II shows and EC50 of 140  $\mu$ M in plaque reduction assay.

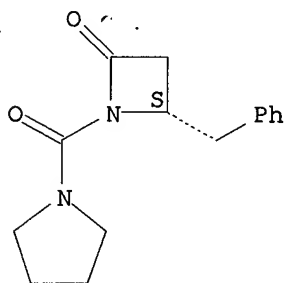
IT 223266-84-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and antiviral activity of azetidinone derivs. for the treatment of HCMV infections)

RN 223266-84-0 CAPLUS

CN Pyrrolidine, 1-[[ (4S)-2-oxo-4-(phenylmethyl)-1-azetidinyl]carbonyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 75 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:109393 CAPLUS

DOCUMENT NUMBER: 130:178307

TITLE: Genes, vectors and cells encoding ligand-binding chimeric proteins which may be oligomerized with multimeric synthetic ligands to induce a biochemical activity

INVENTOR(S): Crabtree, Gerald R.; Schreiber, Stuart L.; Spencer, David M.; Wandless, Thomas J.; Belshaw, Peter

PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA; Board of Trustees of Leland Stanford Jr. University

SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 196,043.  
CODEN: USXXAM

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869337	A	19990209	US 1995-388653	19950214
CN 1119876	A	19960403	CN 1994-191558	19940214
US 5834266	A	19981110	US 1994-292597	19940818
US 5830462	A	19981103	US 1995-478386	19950607
US 6011018	A	20000104	US 1998-87716	19980529
US 6165787	A	20001226	US 1998-87647	19980529
US 6043082	A	20000328	US 1998-157753	19980916
US 6046047	A	20000404	US 1998-157230	19980916
US 6063625	A	20000516	US 1998-156855	19980916
US 6140120	A	20001031	US 1998-158010	19980916
US 2002173474	A1	20021121	US 2001-834424	20010413

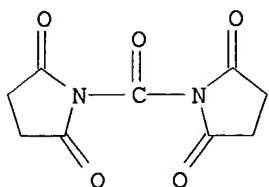
PRIORITY APPLN. INFO.:

US 1993-17931	B2	19930212
US 1993-92977	B2	19930716
US 1993-93499	B2	19930716
US 1994-179148	B2	19940107
US 1994-179748	B2	19940107
US 1994-196043	A2	19940211
US 1994-292597	A2	19940818
US 1994-179143	B2	19940107
US 1994-332995	B2	19941101
US 1995-388653	A3	19950214
US 1995-400800	B2	19950307
US 1995-478386	A1	19950607
US 1995-480286	B2	19950607
WO 1995-US14177	A	19951101
US 1997-973337	B2	19971128
US 1998-87716	A2	19980529
US 1999-430508	A3	19991029

AB Dimerization and oligomerization of proteins are general biol. control mechanisms that contribute to the activation of cell membrane receptors, transcription factors, vesicle fusion proteins, and other classes of intra- and extracellular proteins. We have developed a general procedure for the regulated (inducible) dimerization or oligomerization of intracellular proteins. In principle, any two target proteins can be induced to associate by treating the cells or organisms that harbor them with cell permeable, synthetic ligands. To illustrate the practice of this invention, we have induced: (1) the intracellular aggregation of the cytoplasmic tail of the  $\zeta$  chain of the T cell receptor (TCR)-CD3 complex thereby leading to signaling and transcription of a reporter gene, (2) the homodimerization of the cytoplasmic tail of the Fas receptor thereby leading to cell-specific apoptosis (programmed cell death) and (3) the heterodimerization of a DNA-binding domain (Gal4) and a transcription-activation domain (VP16) thereby leading to direct transcription of a reporter gene. The dimerization of these proteins was induced by fusing them to the FK506 binding domain of FKBP12 then exposed the chimeric proteins to synthetic FK506 dimers. The synthesis of FK506 and cyclosporin A homo- and heterodimers was reviewed. Regulated intracellular protein association with the cell permeable, synthetic ligands offers new capabilities in biol. research and medicine, in particular, in gene therapy.

IT **158627-30-6**, N,N-Disuccinimidyl carbonate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (genes, vectors and cells encoding ligand-binding chimeric proteins which may be oligomerized with multimeric synthetic ligands to induce biochem. activity)  
 RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 76 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:654453 CAPLUS

DOCUMENT NUMBER: 130:32706

TITLE: Potent  $\beta$ -lactam inhibitors of human cytomegalovirus protease

AUTHOR(S): Yoakim, C.; Ogilvie, W. W.; Cameron, D. R.; Chabot, C.; Grand-maitre, C.; Guse, I.; Hache, B.; Kawai, S.; naud, J.; O'Meara, J. A.; Plante, R.; Deziel, R.

CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim, Laval, QC, H7S 2G5, Can.

SOURCE: Antiviral Chemistry & Chemotherapy (1998), 9(5), 379-387

CODEN: ACCHEH; ISSN: 0956-3202

PUBLISHER: International Medical Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of novel monobactam inhibitors of human cytomegalovirus (HCMV) protease has been described that possess a heterocyclic thiomethyl side chain at C-4. Changes to the heterocycle did not significantly change the inhibitory activity of these compds. in an enzymic assay, although improvements in solns. and N-1 derivs. led to the identification of several  $\beta$ -lactams with antiviral activity in a plaque reduction assay. N-Me thiotetrazole-containing compds. were found to be the most potent inhibitors in the enzymic assay.

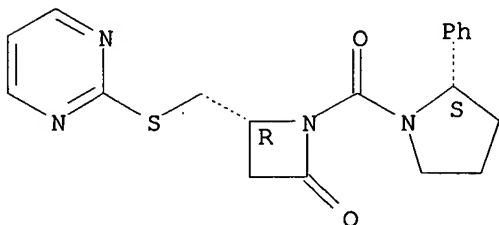
IT 216960-73-5 216960-74-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(preparation and activity of  $\beta$ -lactam inhibitors of human cytomegalovirus protease)

RN 216960-73-5 CAPLUS

CN Pyrrolidine, 1-[[ (4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-azetidinyl]carbonyl]-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

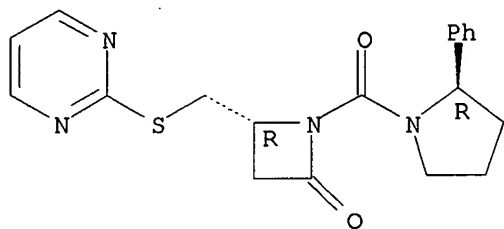


RN 216960-74-6 CAPLUS

CN Pyrrolidine, 1-[[ (4R)-2-oxo-4-[(2-pyrimidinylthio)methyl]-1-

azetidinyl]carbonyl]-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 77 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:628450 CAPLUS

DOCUMENT NUMBER: 129:331030

TITLE: Synthesis of fluoro-containing muramyl dipeptide analogs

AUTHOR(S): Wang, Zheng-Fu; Xu, Jie-Cheng

CORPORATE SOURCE: Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China

SOURCE: Tetrahedron (1998), 54(41), 12597-12608

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Twelve Fluoro-containing muramyl dipeptide analogs with perfluoroalkyl at C1 of sugar moiety or C-terminal of peptidyl chain were synthesized.

IT 154561-25-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis of fluoro-containing muramyl dipeptide analogs)

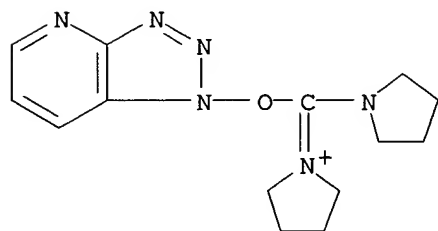
RN 154561-25-8 CAPLUS

CN Pyrrolidinium, 1-[1-pyrrolidinyl(1H-1,2,3-triazolo[4,5-b]pyridin-1-yloxy)methylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 154561-24-7

CMF C14 H19 N6 O

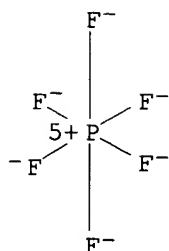


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



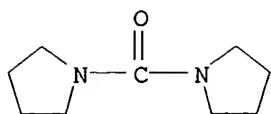
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 78 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:594502 CAPLUS  
 DOCUMENT NUMBER: 129:261532  
 TITLE: Ultrathin composite semipermeable membranes from crosslinked polyamides and method for their manufacture  
 INVENTOR(S): Namiguchi, Naoshi; Ito, Akihiko; Fusaoka, Yoshishige; Ikeda, Toshihiro; Kojima, Sadao  
 PATENT ASSIGNEE(S): Toray Industries, Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

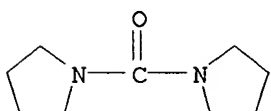
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10235173	A2	19980908	JP 1997-357165	19971225
PRIORITY APPLN. INFO.:			JP 1996-349718	A 19961227

AB The membranes comprise a microporous support and a semipermeable layer of a crosslinked polyamide which has been interfacially polycondensed in the presence of (A) N-C>3 alkylamides or/and N,N-di-C>3 alkylamides, (B) (N-alkyl)-C>5 cycloalkylamides, (C) N,N,N',N'-tetra(C>1 alkyl)ureas, (D) alkylene ureas having 1-2 C>3 alkylene rings, or/and (E) hexaalkylphosphoramides bearing N-C>1 alkyl group as catalysts/co-solvents. The membranes are useful for microfiltration, desalination of sea water, decontamination of polluted effluents, etc. (no data). Thus, casting a 15% DMF solution of Udel P 3500 (Polysulfone) on a polyester Taffeta fabric affixed to a glass plate, and drying gave a fiber-reinforced film as the support with thickness 210-215 μm and water permeation coefficient 0.005-0.01 g/cm<sup>2</sup>.s.atm at 25° and 1 kg/cm<sup>2</sup> pressure. Dipping the support into a 1% aqueous solution of N,N-diisopropylformamide containing 2% m-phenylenediamine, lifting slowly, after removing excess aqueous solution, wetting the surface of the support with a 0.05% trimesic acid chloride solution in decane, drying and impregnating in a 1% aqueous Na<sub>2</sub>CO<sub>3</sub> solution gave a semipermeable membrane.

IT 81759-25-3, Bistetramethyleneurea  
 RL: CAT (Catalyst use); NUU (Other use, unclassified); USES (Uses)  
 (co-solvent/interfacial polycondensation catalyst; ultrathin composite semipermeable membranes from crosslinked polyamides and method for manufacture)  
 RN 81759-25-3 CAPLUS  
 CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 79 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:553059 CAPLUS  
 DOCUMENT NUMBER: 129:276313  
 TITLE: New syntheses of bis(tetramethylene)fluoroformamidinium  
 m hexafluorophosphate (BTFFH) and 1,3-dimethyl-2-  
 fluoro-4,5-dihydro-1H-imidazolium hexafluorophosphate  
 (DFIH). Utility in peptide coupling reactions  
 AUTHOR(S): El-Faham, Ayman  
 CORPORATE SOURCE: Faculty of Science, Department of Chemistry,  
 University of Alexandria, Alexandria, Egypt  
 SOURCE: Organic Preparations and Procedures International  
 (1998), 30(4), 477-481  
 CODEN: OPPIAK; ISSN: 0030-4948  
 PUBLISHER: Organic Preparations and Procedures, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB BTFFH was prepared from bis(tetramethylene)urea by reaction with oxalyl  
 chloride and then KF and KPF<sub>6</sub> in acetonitrile. DFIH was prepared by a  
 similar procedure. Peptide coupling reagents BTFFH and DFIH were compared  
 with the chloro analogs.  
 IT **81759-25-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (syntheses of bis(tetramethylene)fluoroformamidinium  
 hexafluorophosphate and dimethylfluorodihydroimidazolium  
 hexafluorophosphate as peptide coupling reagents)  
 RN 81759-25-3 CAPLUS  
 CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 80 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:536489 CAPLUS  
 DOCUMENT NUMBER: 129:245026  
 TITLE: An efficient new protocol for the formation of  
 unsymmetrical tri- and tetrasubstituted ureas  
 AUTHOR(S): Batey, Robert A.; Santhakumar, V.; Yoshina-Ishii,  
 Chiaki; Taylor, Scott D.  
 CORPORATE SOURCE: Dep. Chem., Lash Miller Labs., Univ. Toronto, Toronto,  
 ON, M5S 3H6, Can.  
 SOURCE: Tetrahedron Letters (1998), 39(35), 6267-6270  
 CODEN: TELEAY; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 129:245026  
 AB A new method for producing unsym., tetrasubstituted urea from  
 N,N'-carbonyldiimidazole (CDI) is presented. Carbamoyl imidazolium salts

are prepared from the reaction of CDI with a secondary amine, followed by alkylation with MeI. Secondary amines add with ease to imidazolium salts at room temperature to give unsym. tetrasubstituted ureas in excellent yields.

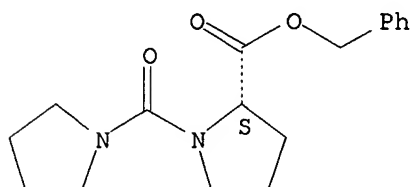
IT 213134-36-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of unsym. tri- and tetrasubstituted ureas)

RN 213134-36-2 CAPLUS

CN L-Proline, 1-(1-pyrrolidinylcarbonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 81 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:515942 CAPLUS

DOCUMENT NUMBER: 129:230512

TITLE: Synthesis and Anti-HIV activities of symmetrical 1,3-bis(benzylamino)-2-hydroxypropane derivatives

AUTHOR(S): Medou, M.; Bouygues, M.; Rocheblave, L.; Chermann, J.-C.; Kraus, J.-L.

CORPORATE SOURCE: 1-Laboratoire de Chimie Biomoléculaire, Faculté des Sciences de Luminy, case 901, Université de la Méditerranée, Marseille, 13288, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(14), 1861-1866

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

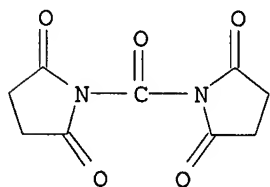
AB The synthesis and the anti-HIV activities of new C2-sym. and achiral title compds. isosteres was reported. Some of them showed significant inhibitory activity with respect to HIV-infected MT4 cells. These new structurally simple compds. represent new synthons which can be suitable for combinatorial chemical purposes.

IT 158627-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis and Anti-HIV activities of sym. 1,3-bis(benzylamino)-2-hydroxypropane derivs.)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 82 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:502547 CAPLUS

DOCUMENT NUMBER: 129:136097

TITLE: Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease

INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA

SOURCE: U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

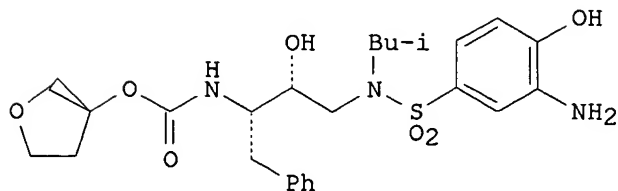
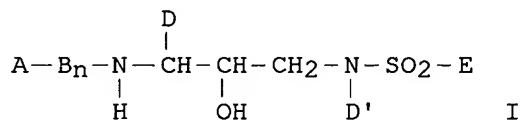
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783701	A	19980721	US 1995-393460	19950223
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5723490	A	19980303	US 1995-424819	19950419
US 5977137	A	19991102	US 1998-115394	19980714
US 6392046	B1	20020521	US 1999-409808	19990930
US 2003064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 2004167116	A1	20040826	US 2004-786997	20040224
PRIORITY APPLN. INFO.:				
			US 1992-941982	B2 19920908
			US 1993-142327	A2 19931124
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907
			US 1995-393460	B2 19950223
			US 1998-115394	A3 19980714
			US 1999-409808	A3 19990930
			US 2002-94763	A1 20020308

OTHER SOURCE(S): MARPAT 129:136097

GI



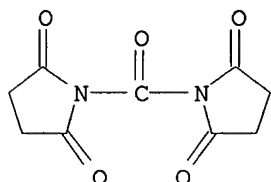
II

AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1



= CO, SO<sub>2</sub>, COCO, OCO, OSO<sub>2</sub>, NR<sub>2</sub>SO<sub>2</sub>, NR<sub>2</sub>CO, NR<sub>2</sub>COCO; Ht = (un)substituted cycloalk(en)yl, aryl, (benzo)heterocyclyl; R<sub>2</sub> = H, alkyl, -alkyl-R<sub>7</sub>; B = NR<sub>2</sub>C(R<sub>3</sub>)<sub>2</sub>CO; n = 0, 1; R<sub>3</sub> = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R<sub>7</sub>, (un)substituted alk(en)yl or cycloalk(en)yl; R<sub>7</sub> = (un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR<sub>3</sub>, NR<sub>2</sub>R<sub>3</sub>, (un)substituted alk(en)yl or carbocyclyl; R<sub>4</sub> = OR<sub>2</sub>, CONHR<sub>2</sub>, SO<sub>2</sub>NHR<sub>2</sub>, halo, NR<sub>2</sub>COR<sub>2</sub>, cyano] are prepared as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Prepns. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC<sub>90</sub>) in CCRM-CEM cells in vitro at concns. of ≤ 100 nM.

IT **158627-30-6**, N,N-Disuccinimidyl carbonate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)  
 RN 158627-30-6 CAPLUS  
 CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

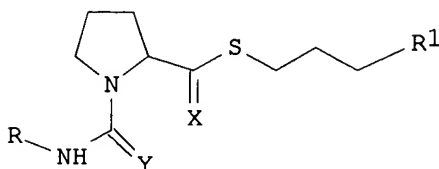


REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 83 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1998:484942 CAPLUS  
 DOCUMENT NUMBER: 129:113514  
 TITLE: N-linked ureas and carbamates of heterocyclic thioesters  
 INVENTOR(S): Hamilton, Gregory S.; Li, Jia-he; Huang, Wei  
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 116 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829117	A1	19980709	WO 1997-US24070	19971223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5935989	A	19990810	US 1996-775585	19961231
AU 9857241	A1	19980731	AU 1998-57241	19971223

AU 721572	B2	20000706		
US 5958949	A	19990928	US 1997-997451	19971223
EP 959882	A1	19991201	EP 1997-953508	19971223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002515050	T2	20020521	JP 1998-519760	19971223
NO 9902751	A	19990804	NO 1999-2751	19990607
PRIORITY APPLN. INFO.:			US 1996-775585	A 19961231
			US 1997-997451	A 19971223
			WO 1997-US24070	W 19971223
OTHER SOURCE(S):			MARPAT 129:113514	
GI				



AB This invention relates to neurotrophic low mol. weight, small mol. N-linked ureas and carbamates of heterocyclic thioesters having an affinity for FKBP-type immunophilins, and their use as inhibitors of the enzyme activity associated with immunophilin proteins, particularly peptidyl-prolyl isomerase, or rotamase, enzyme activity. Approx. 45 title compds. such as I (X, Y = O, S; R = cyclohexyl, adamantyl, tert-Bu, hexyl, benzyl, 2,4-dimethoxyphenyl, etc.; R1 = Ph, p-tolyl, cyclohexyl, 2,3,5-Me3C6H4, o-, p-FC6H4, etc.) were prepared and utilized as neurotrophic agents.

IT **210103-93-8**

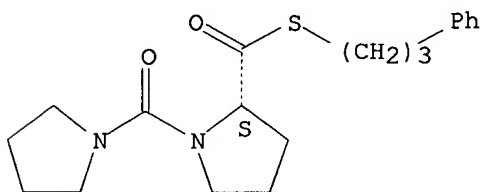
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation and neurotrophic activity of N-linked ureas and carbamates of heterocyclic thioesters)

RN 210103-93-8 CAPLUS

CN 2-Pyrrolidinecarbothioic acid, 1-(1-pyrrolidinylcarbonyl)-, S-(3-phenylpropyl) ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 84 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:402436 CAPLUS

DOCUMENT NUMBER: 129:95403

TITLE: 3-Pyridyl enantiomers [3-(2-azetidinylmethoxy)pyridines] and their use as analgesics

INVENTOR(S): Holladay, Mark W.; Arneric, Stephen P.; Bai, Hao;

Dart, Michael J.; Lin, Nan-Horng; Lynch, John K.; Or, Yat Sun; Ryther, Keith B.; Sullivan, James P.; Wasicak, James T.; Ehrlich, Paul P.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 155 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

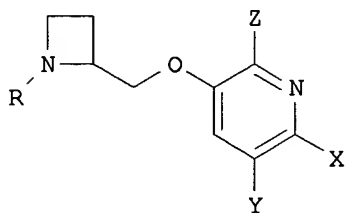
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

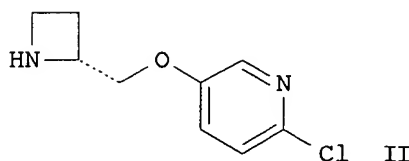
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825920	A1	19980618	WO 1997-US22811	19971210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9711056	A	19980615	ZA 1997-11056	19971209
US 6133253	A	20001017	US 1997-987581	19971209
CA 2273917	AA	19980618	CA 1997-2273917	19971210
AU 9856010	A1	19980703	AU 1998-56010	19971210
EP 950057	A1	19991020	EP 1997-952392	19971210
EP 950057	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1245496	A	20000223	CN 1997-181628	19971210
CN 1125064	B	20031022		
BR 9714677	A	20001003	BR 1997-14677	19971210
JP 2001504857	T2	20010410	JP 1998-526983	19971210
TW 496868	B	20020801	TW 1997-86118606	19971210
AT 227717	E	20021115	AT 1997-952392	19971210
PT 950057	T	20030430	PT 1997-952392	19971210
ES 2189003	T3	20030701	ES 1997-952392	19971210
NO 9902705	A	19990803	NO 1999-2705	19990603
BG 64196	B1	20040430	BG 1999-103556	19990706
US 6403575	B1	20020611	US 2000-619229	20000719
PRIORITY APPLN. INFO.:			US 1996-32321P	P 19961210
			US 1996-763278	A 19961210
			US 1996-32321	P 19961210
			US 1997-987581	A3 19971209
			WO 1997-US22811	W 19971210

OTHER SOURCE(S): MARPAT 129:95403

GI



I



II

AB The invention relates to a method of pain control by administration of selected enantiomers of I [R = H, prodrug moiety; X = Me, CN, Cl, Br, F,

CHF<sub>2</sub>, OMe; Y = H, Me, Et, ethenyl, Cl, Br, n-Pr, 3-propenyl, NO<sub>2</sub>, OEt; Z = H, Cl, F] or their pharmaceutically acceptable salts. The invention further relates to selected novel enantiomers of I, which are useful as analgesics, neuronal cell death preventers, and antiinflammatories. Examples include 132 syntheses and various in vitro and in vivo biol. tests. For instance, (R)-1-(tert-butoxycarbonyl)-2-azetidinemethanol (preparation given) underwent a sequence of tosylation (94.8%), etherification with 2-chloro-5-hydroxypyridine (74%), and deprotection with CF<sub>3</sub>CO<sub>2</sub>H (83%), to give the (R)-isomeric title compound II, isolated as, e.g., the mono-HCl salt (64%). The latter had min. ED of 0.62 and 0.3 µmol/kg i.p. in the hot-plate analgesic and Chung neuropathic pain models in mice and rats, resp. II.HCl also bound strongly to rat neuronal nicotinic acetylcholine receptors in vitro (K<sub>i</sub> = 0.05 nM), comparably to its (S)-enantiomer (0.04 nM), but also showed 12.8-fold reduced affinity for the neuromuscular junction nicotinic receptor (side effect) in comparison to the (S)-enantiomer.

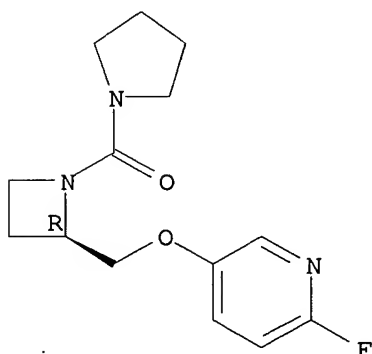
IT 209327-66-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prodrug; preparation of enantiomeric azetidinylmethoxypyridines as analgesics)

RN 209327-66-2 CAPLUS

CN Pyrrolidine, 1-[[[(2R)-2-[[[(6-fluoro-3-pyridinyl)oxy]methyl]-1-azetidiny]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 85 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:357613 CAPLUS

DOCUMENT NUMBER: 129:28210

TITLE: N,N,N',N'-tetrasubstituted O-pentafluorophenyl-uronium salts as new condensation reagents for synthesis of amides and particularly peptides

INVENTOR(S): Kunz, Horst; Habermann, Joerg

PATENT ASSIGNEE(S): Kunz, Horst, Germany

SOURCE: Ger. Offen., 11 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

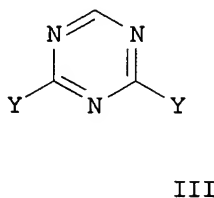
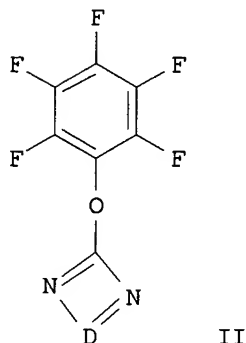
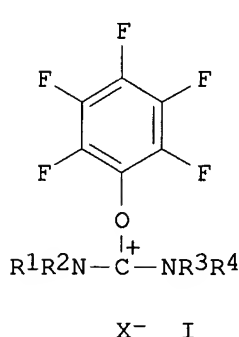
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 19648125  
 PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S):  
 GI

A1 19980528 DE 1996-19648125  
 DE 1996-19648125  
 CASREACT 129:28210; MARPAT 129:28210

19961121  
 19961121



AB Title compds. [(I); R1, R2, R3, R4 = (independently) aryl or alkyl; R1, R2, and R3, R4 may form rings, which may contain other hetero-atoms; X- = non-nucleophilic anion, e.g. PF6, BF4] are useful as condensation reagents for formation of acid amides, especially for peptide formation. A second compound

[(II); D = (CH2)n,; n = 2, 3; or where the N:CN is part of (III); Y = (independently) OR, NR5R6; R = alkyl, aryl; R5, R6 = (independently) alkyl, aryl] is also claimed as a condensation reagent for non-ionic systems. Thus, phosgene and pyrrolidine are reacted to yield 1,1'-carbonyldipyrrolidine, which is treated with KPF6 to give the corresponding chloroformamidium hexafluorophosphate, which reacts with pentafluorophenol to yield I [R1, R2 = R3, R4 = -CH2CH2CH2CH2-; X = PF6 (IV)]. When used in the condensation of N-(9H-fluoren-9-yl)-methoxycarbonyl-glycine with L-valine tert-Bu ester, with sym-collidine in CH2Cl2, IV gave a product yield of 87.5%.

IT **202189-20-6P**

RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);  
 USES (Uses)

(N,N,N',N'-tetrasubstituted O-pentafluorophenyl-uronium salts as condensation reagents for synthesis of amides and peptides)

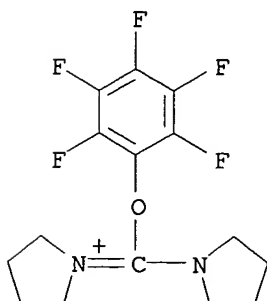
RN 202189-20-6 CAPLUS

CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 202189-19-3

CMF C15 H16 F5 N2 O

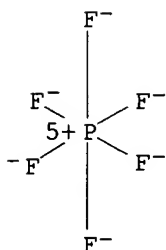


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



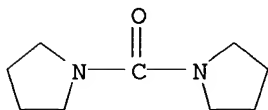
IT 81759-25-3P, 1,1'-Carbonyldipyrrolidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(N,N,N',N'-tetrasubstituted O-pentafluorophenyl-uronium salts as condensation reagents for synthesis of amides and peptides)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 86 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:352835 CAPLUS

DOCUMENT NUMBER: 129:41080

TITLE: Preparation of bis(chloropyridylazabicyclooctane) derivatives as pesticides.

INVENTOR(S): Bishop, Nigel Douglas; Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

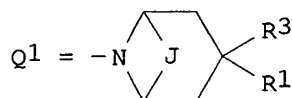
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822462	A1	19980528	WO 1997-GB2987	19971030
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

CA 2271726	AA	19980528	CA 1997-2271726	19971030
AU 9747891	Al	19980610	AU 1997-47891	19971030
EP 944625	Al	19990929	EP 1997-910544	19971030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
CN 1237972	A	19991208	CN 1997-199712	19971030
BR 9713041	A	20000411	BR 1997-13041	19971030
JP 2001504476	T2	20010403	JP 1998-523304	19971030
US 5912254	A	19990615	US 1997-969635	19971113
US 6066646	A	20000523	US 1999-248930	19990212
MX 9904440	A	20000131	MX 1999-4440	19990513
KR 2000053293	A	20000825	KR 1999-704276	19990514
PRIORITY APPLN. INFO.:			GB 1996-23944	A 19961115
			WO 1997-GB2987	W 19971030
			US 1997-969635	A3 19971113

OTHER SOURCE(S): MARPAT 129:41080

GI



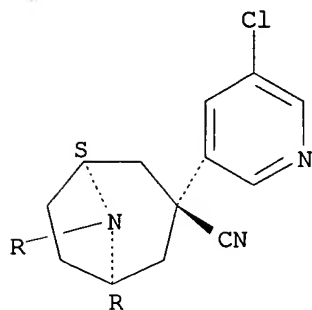
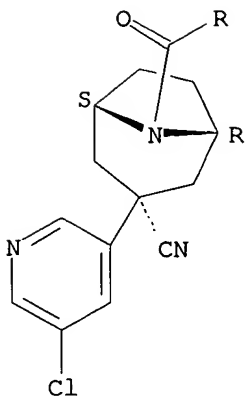
AB PR2Q [P, Q = bicyclic group Q<sup>1</sup>; J = CH<sub>2</sub>XCH<sub>2</sub>, X'C:CY, X'WCCYZ; X = CH<sub>2</sub>, S, O; n X', W, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, halo; R<sub>1</sub> = (substituted) Ph, (benzo-fused) 5-6 membered heterocyclyl containing 1-3 O, N, or S atoms, and ≥1 double bond between adjacent atoms in the ring; R<sub>2</sub> = (substituted) alkylene, alkylenearyl, alkyleneheteroaryl, alkenylene, alkylenylaryllalkynlenyl, carbonyl, diacyl group; R<sub>3</sub> = H, cyano, OH, alkyl, alkoxy, amino, NO<sub>2</sub>, isocyanato, acylamino, hydroxyalkyl, (substituted) heteroaryl, alkoxyalkyl, haloalkyl, halohydroxyalkyl, aralkyloxyalkyl, acyloxyalkyl, amidoximido, sulfonyloxyalkyl, aminoalkyl, alkoxy-carbonylamino, acylaminoalkyl, cyanoalkyl, imino, formyl, acyl, carboxylic acid or ester or amide thereof, (substituted) alkenyl, alkynyl], were prepared. Thus, Et<sub>3</sub>N and then (COCl)<sub>2</sub> were added to a solution of exo-3-(5-chloropyrid-3-yl)-endo-3-cyano-8-azabicyclo[3.2.1]octane (preparation given) to give 8,8'-oxalyldi[exo-3-(5-chloropyrid-3-yl)-endo-3-cyano-8-azabicyclo[3.2.1]octane]. Several I at 50 ppm gave 80-100% kill of *Myzus persicae*.

IT **208336-66-7P**  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of bis(chloropyridylazabicyclooctane) derivs. as pesticides)

RN 208336-66-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-3-carbonitrile, 8,8'-carbonylbis[3-(5-chloro-3-pyridinyl)-, (3-endo,3'-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 87 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:293474 CAPLUS

DOCUMENT NUMBER: 129:4585

TITLE: Preparation of 3-piperidinoalkyl-2-piperidinones as  
NK3 receptor antagonistsINVENTOR(S): Chabert, Nathalie; Ducoux, Jean-Philippe; Emonds-Alt,  
Xavier; Van Broeck, DidierPATENT ASSIGNEE(S): Sanofi, Fr.; Chabert, Nathalie; Ducoux, Jean-Philippe;  
Emonds-Alt, Xavier; Van Broeck, Didier

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

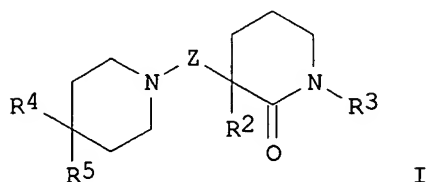
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818762	A1	19980507	WO 1997-FR1954	19971031
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,				



GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG  
 FR 2755133 A1 19980430 FR 1996-13313 19961031  
 FR 2755133 B1 19990115  
 AU 9749537 A1 19980522 AU 1997-49537 19971031  
 PRIORITY APPLN. INFO.: FR 1996-13313 A 19961031  
 WO 1997-FR1954 W 19971031  
 OTHER SOURCE(S): MARPAT 129:4585  
 GI



AB Title compds. [I; R2 = (un)substituted (hetero)aryl; R3 = (CH2)<sub>n</sub>R6; R4 = (un)substituted (hetero)aryl(methyl) and R5 = Z1NR7COR8, Z1NR7CONR9R10, or Z1CONR9R10; R4R5 = CH2NR11Z2; R6 = (un)substituted (hetero)aryl; R7,R9 = H or alkyl; R8 = H, alkyl, (hetero)aryl, etc.; R10 = H, OH, alkyl, alkoxy, etc.; R11 = H, alkyl, alkanoyl, (un)substituted CONH2, etc.; Z = (CH2)<sub>2-3</sub>; Z1 = bond or CH2; Z2 (un)substituted 1,2-phenylene; n = 1-4] were prepared. Thus, 4-phenyl-4-pyrrolidinocarbonylaminopiperidine was condensed with 1-benzyl -3-(3,4-dichlorophenyl)-3-(2-mesyloxyethyl)-2-piperidinone (preparation each given) to give I (R2 = C6H3Cl2-3,4, R3 = CH2Ph, R4 = Ph, R5 = pyrrolidinocarbonylamino, Z = CH2CH2). Data for biol. activity of I were given.

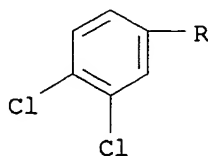
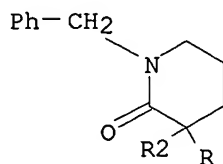
IT **207407-73-6P 207407-84-9P**

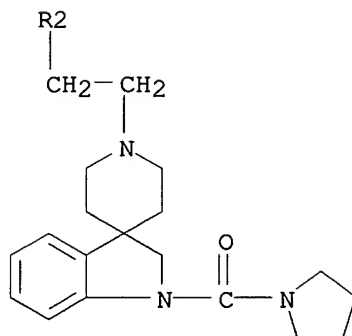
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 3-piperidinoalkyl-2-piperidinones as NK3 receptor antagonists)

RN 207407-73-6 CAPLUS

CN Spiro[3H-indole-3,4'-piperidine], 1'-[2-[3-(3,4-dichlorophenyl)-2-oxo-1-(phenylmethyl)-3-piperidinyl]ethyl]-1,2-dihydro-1-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

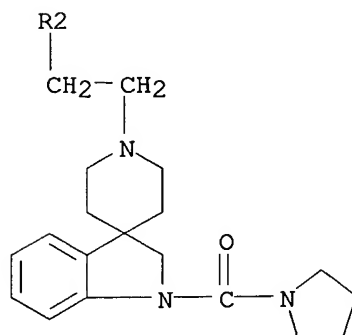
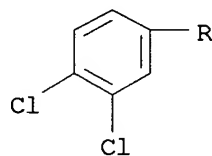
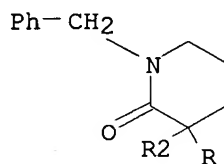
PAGE 1-A





● HCl

RN 207407-84-9 CAPLUS  
 CN Spiro[3H-indole-3,4'-piperidine], 1'-[2-[3-(3,4-dichlorophenyl)-2-oxo-1-(phenylmethyl)-3-piperidinyl]ethyl]-1,2-dihydro-1-(1-pyrrolidinylcarbonyl)-  
 (9CI) (CA INDEX NAME)



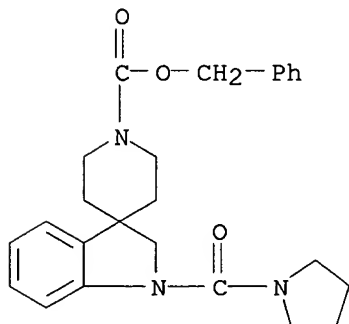
IT 207408-02-4P 207408-03-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of 3-piperidinoalkyl-2-piperidinones as NK3 receptor antagonists)

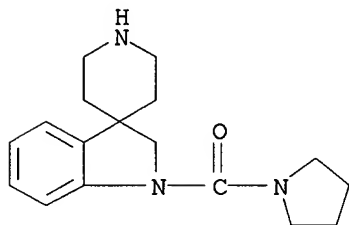
RN 207408-02-4 CAPLUS

CN Spiro[3H-indole-3,4'-piperidine]-1'-carboxylic acid, 1,2-dihydro-1-(1-pyrrolidinylcarbonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 207408-03-5 CAPLUS

CN Spiro[3H-indole-3,4'-piperidine], 1,2-dihydro-1-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 88 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:239887 CAPLUS

DOCUMENT NUMBER: 128:295050

TITLE: Glycopeptide synthesis using O-pentafluorophenyluronium salts as novel condensing reagents

AUTHOR(S): Habermann, Joerg; Kunz, Horst

CORPORATE SOURCE: Inst. Organische Chem., Johannes-Gutenberg-Univ., Mainz, D-55099, Germany

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1998), 340(3), 233-239  
CODEN: JPCCEM; ISSN: 0941-1216

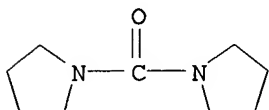
PUBLISHER: Johann Ambrosius Barth

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Pentafluorophenyluronium salts and related coupling reagents for the solid-phase synthesis of peptides and glycopeptides were developed and employed in the synthesis of a glycopeptide sequence from the cell adhesion mol. E-CAD 1, epithelial cadherin 1.

IT **81759-25-3P**, 1,1'-Carbonyldipyrrolidine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of (fluorophenyl)uronium salts as coupling reagents for  
 glycopeptide synthesis)  
 RN 81759-25-3 CAPLUS  
 CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

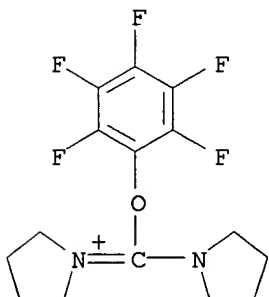


IT **202189-20-6P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis of glycopeptide sequence of cadherin 1 using  
 (fluorophenyl)uronium salt as coupling reagent)  
 RN 202189-20-6 CAPLUS  
 CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-,  
 hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 202189-19-3

CMF C15 H16 F5 N2 O

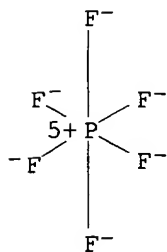


CM 2

CRN 16919-18-9

CMF F6 P

CCI CCS



ACCESSION NUMBER: 1998:126254 CAPLUS

DOCUMENT NUMBER: 128:204878

TITLE: Preparation of pyrazinobenzothiazine derivatives and analogs for the treatment of inflammation and autoimmune diseases

INVENTOR(S): Kaneko, Toshihiko; Clark, Richard; Ohi, Norihito; Ozaki, Fumihiko; Kawahara, Tetsuya; Kamada, Atsushi; Okano, Kazuo; Yokohama, Hiromitsu; Muramoto, Kenzo; Arai, Tohru; Ohkuro, Masayoshi; Takenaka, Osamu; Sonoda, Jiro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1344 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

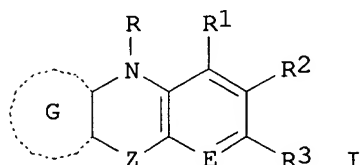
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806720	A1	19980219	WO 1997-JP2787	19970808
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2262569	AA	19980219	CA 1997-2262569	19970808
AU 9737849	A1	19980306	AU 1997-37849	19970808
ZA 9707103	A	19990208	ZA 1997-7103	19970808
EP 934941	A1	19990811	EP 1997-934750	19970808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6518423	B1	20030211	US 1999-230852	19990405
US 2004092737	A1	20040513	US 2002-247310	20020920
PRIORITY APPLN. INFO.:			JP 1996-210344	A 19960809
			WO 1997-JP2787	W 19970808
			US 1999-230852	A3 19990405

OTHER SOURCE(S): MARPAT 128:204878

GI



AB The title compds. I [R1 to R3 are the same or different and each represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, etc., provided that when R1 to R3 are all optionally substituted lower alkyl groups, they do not simultaneously represent Me groups; R represents hydrogen, lower alkyl, etc.; E represents N, C, etc.; Z represents O, S, SO, SO2, etc.; and the ring G represents an optionally substituted heteroaryl ring having at least one nitrogen atom] are prepared I are useful in the treatment and prevention of inflammatory immunol. diseases, autoimmune diseases, rheumatism, collagen disease, asthma, nephritis, ischemic reflow disorders, psoriasis, atopic dermatitis or rejection reactions following organ transplantation. The compound (syn)-[3-(10H-pyrazino[2,3-b][1,4]benzothiazin-8-ylmethyl)-3-azabicyclo[3.3.1]nona-9-yl]acetic acid (II) at 10 mg/kg orally gave 65% inhibition of carrageenin-induced inflammation in rats. II in vitro showed IC50 of 2.3  $\mu$ M against the expression of ICAM-1.

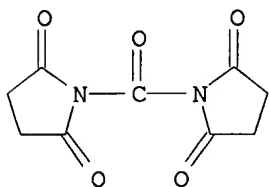
IT 158627-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazinobenzothiazine derivs. and analogs for treatment of inflammation and autoimmune diseases)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 90 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:50016 CAPLUS

DOCUMENT NUMBER: 128:141008

TITLE: Solid-phase synthesis of a glycopeptide from the homophilic recognition domain of epithelial cadherin 1 using a O-pentafluorophenyluronium salt

AUTHOR(S): Habermann, Jorg; Kunz, Horst

CORPORATE SOURCE: Institut fur Organische Chemie, Universitat Mainz, Mainz, D-55099, Germany

SOURCE: Tetrahedron Letters (1998), 39(3/4), 265-268

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The  $\beta$ -turn forming glycopeptide SHAVSS( $\alpha$ GalNAc)NGEAVE-OH from the homophilic recognition domain of mouse epithelial cadherin 1 carrying a TN-antigen side chain was synthesized on solid phase using an allylic anchor and the new coupling reagent N,N,N',N'-bis(tetramethylene)-O-pentafluorophenyluronium hexafluorophosphate.

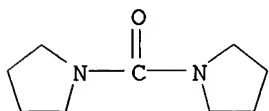
IT **81759-25-3**, 1,1'-Carbonyldipyrrolidine

RL: RCT (Reactant); RACT (Reactant or reagent)

(solid-phase synthesis of glycopeptide from homophilic recognition domain of epithelial cadherin 1 using pentafluorophenyluronium salt)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



IT **202189-20-6P**, PfPyU

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

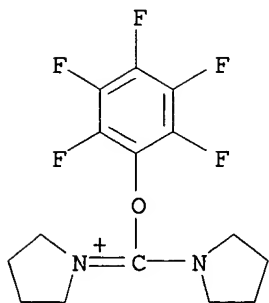
(solid-phase synthesis of glycopeptide from homophilic recognition domain of epithelial cadherin 1 using pentafluorophenyluronium salt)

RN 202189-20-6 CAPLUS

CN Pyrrolidinium, 1-[(pentafluorophenoxy)-1-pyrrolidinylmethylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

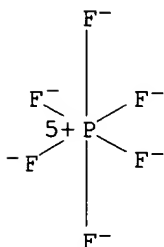
CM 1

CRN 202189-19-3  
CMF C15 H16 F5 N2 O



CM 2

CRN 16919-18-9  
CMF F6 P  
CCI CCS



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 91 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1998:58 CAPLUS  
DOCUMENT NUMBER: 128:57082  
TITLE: Discovery and Evaluation of a Series of 3-Acylindole Imidazopyridine Platelet-Activating Factor Antagonists  
AUTHOR(S): Curtin, Michael L.; Davidsen, Steven K.; Heyman, H. Robin; Garland, Robert B.; Sheppard, George S.; Florjancic, Alan S.; Xu, Lianhong; Carrera, George M., Jr.; Steinman, Douglas H.; Trautmann, Jeff A.; Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul; Rhein, David A.; Conway, Richard G.; Luo, Gongjin; Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas W.; Summers, James B.  
CORPORATE SOURCE: Immunosciences Research Area, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA  
SOURCE: Journal of Medicinal Chemistry (1998), 41(1), 74-95  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Studies conducted with the goal of discovering a second-generation platelet-activating factor (PAF) antagonist have identified a novel class of potent and orally active antagonists which have high aqueous solubility and long

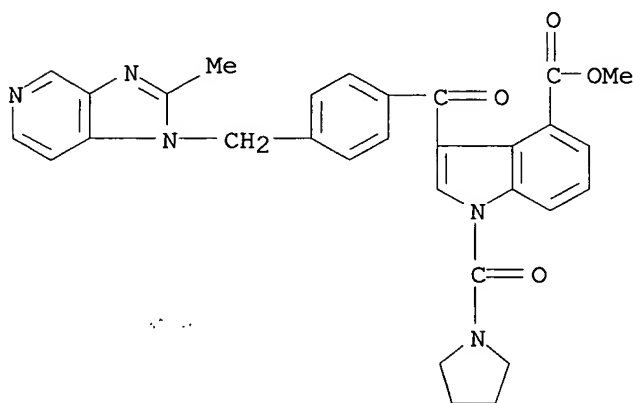
duration of action in animal models. The compds. arose from the combination of the lipophilic indole portion of Abbott's first-generation PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety of British Biotechnol.'s BB-882 and possess the pos. attributes of both of these clin. candidates. Structure-activity relationship (SAR) studies indicated that modification of the indole and benzoyl spacer of lead compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that were more potent, longer-lived, and bioavailable and resulted in the identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride (ABT-491) which has been evaluated extensively and is currently in clin. development.

IT **170498-42-7P**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 170498-42-7 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



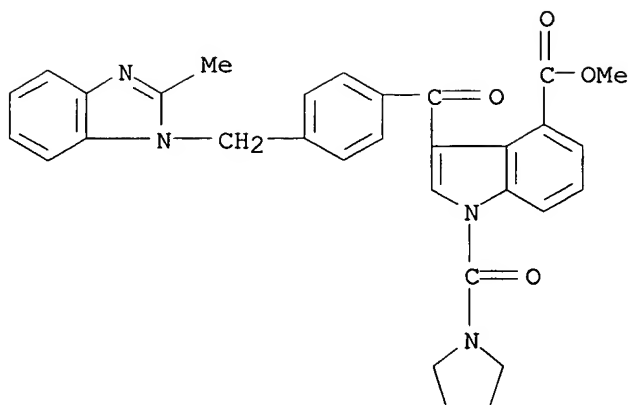
IT **170498-51-8**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 170498-51-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)





REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 92 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:757423 CAPLUS

DOCUMENT NUMBER: 128:88556

TITLE: Tetra(amino)methanes. Implications of their structure and reactivity pattern for hypothetical carbon nitride frameworks

AUTHOR(S): Jockisch, Alexander; Schier, Annette; Schmidbaur, Hubert

CORPORATE SOURCE: Anorganisch-Chemisches Institut, Technische Universitaet Muenchen, Garching, D-85747, Germany

SOURCE: Chemische Berichte/Recueil (1997), 130(12), 1739-1744  
CODEN: CHBREFW

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Because of their possible role as model compds. for the structural units of C<sub>3</sub>N<sub>4</sub>, the preparation, structural chemical, and some representative reactions

of tetraaminomethanes were (re)investigated. In the crystal, C(NMe<sub>2</sub>)<sub>4</sub> has a mol. geometry close to D<sub>2d</sub> symmetry as proposed by theor. calcns. using state-of-the-art d. functional methods. The coordination of the central C atom is distorted tetrahedral and the configuration of the N atoms is strongly pyramidal, as opposed to almost planar in tetraaminosilanes. Tetrapyrrolidinylmethane has a similar core structure, with all heterocyclic substituents in an envelop conformation flexible in solution. Tetrapiperidinylmethane is more rigid in solution, owing to a more congested structure, with much higher inversion barriers for the 6-membered rings. Hydrolysis of C(NMe<sub>2</sub>)<sub>4</sub> leads to Me<sub>2</sub>NH and hexamethylguanidinium hydroxide, and treatment of C(NMe<sub>2</sub>)<sub>4</sub> with H<sub>3</sub>AuCl<sub>4</sub>(aq) affords crystalline [C(NMe<sub>2</sub>)<sub>3</sub>]<sup>+</sup>AuCl<sub>4</sub><sup>-</sup>, the structure of which was also determined. C(NMe<sub>2</sub>)<sub>4</sub> is a strong nucleophile and can be used as an aminating agent, converting e.g. halosilanes into (dimethylamino)silanes, with the guanidinium cation as the leaving group. The exptl. results are discussed in the light of recent predictions regarding bulk carbon nitrides.

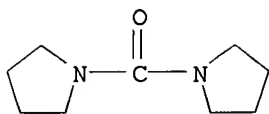
IT 81759-25-3P, 1,1'-Carbonyldipyrrolidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, structure, and reactivity of tetra(amino)methanes)

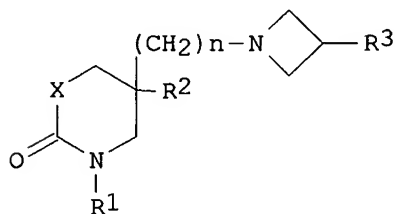
RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 93 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:542872 CAPLUS  
 DOCUMENT NUMBER: 127:248121  
 TITLE: Preparation of 3-aza- and 3-oxapiperidones as tachykinin antagonists  
 INVENTOR(S): Mackenzie, Alexander Roderick; Marchington, Allen Patrick; Meadows, Sandra Dora; Middleton, Donald Stuart  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09208582	A2	19970812	JP 1997-11450	19970124
JP 3026945	B2	20000327		
EP 790248	A1	19970820	EP 1997-200156	19970120
EP 790248	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 241620	E	20030615	AT 1997-200156	19970120
PT 790248	T	20030829	PT 1997-200156	19970120
ES 2197968	T3	20040116	ES 1997-200156	19970120
CA 2195924	AA	19970728	CA 1997-2195924	19970124
CA 2195924	C	19991005		
US 5846965	A	19981208	US 1997-788001	19970124
PRIORITY APPLN. INFO.:			GB 1996-1680	A 19960127
OTHER SOURCE(S):	MARPAT 127:248121			
GI				

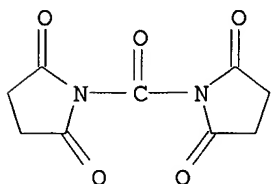


I

AB Title compds. I [X = O, NH, NR1; R1 = C1-6 (fluoro)alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted C3-7 cycloalkyl-C1-4 alkyl, substituted Ph, substituted phenyl-C1-4 alkyl; R2 = halo-substituted Ph, indolyl, thienyl; R3 = NH2, NR4SO2(C1-6 alkyl), NR4CO(C1-6 alkyl), etc.; R4 = H, C1-6 alkyl; n = 1-4] or their medically acceptable salts, useful as tachykinin antagonists acting at the human NK1, NK2, and NK3 receptors (no data), are prepared The compds. are useful for treatment of an inflammatory disease such as arthritis, psoriasis, asthma or inflammatory bowel disease, a CNS disorder such as anxiety, depression, dementia or psychosis, a gastrointestinal disorder such as functional bowel disease,

irritable bowel disease, gastroesophageal reflux, colitis or Crohn's disease, an urogenital tract disorder such as incontinence, hyperreflexia or cystitis, a pulmonary disorder such as chronic obstructive airways disease, an allergy such as eczema, contact dermatitis or rhinitis, a hypersensitivity disorder such as poison ivy, a peripheral neuropathy, and a pain. Their intermediates are also claimed. 5-(3,4-Dichlorophenyl)-1-cyclohexylmethyl-5-formylmethyl-3-oxapiperidin-2-one (177 mg) was treated with 119 mg 3-morpholinoazetidine 2HCl salt in the presence of NaBH(OAc)<sub>3</sub>, Et<sub>3</sub>N, and AcOH in THF for 1.5 h to give 174 mg 5-(3,4-dichlorophenyl)-1-cyclohexylmethyl-5-[2-(3-morpholinoazetidin-1-yl)ethyl]-3-oxapiperidin-2-one.

IT **158627-30-6**, N,N-Disuccinimidyl carbonate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 3-aza- and 3-oxapiperidones as tachykinin antagonists)  
 RN 158627-30-6 CAPLUS  
 CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

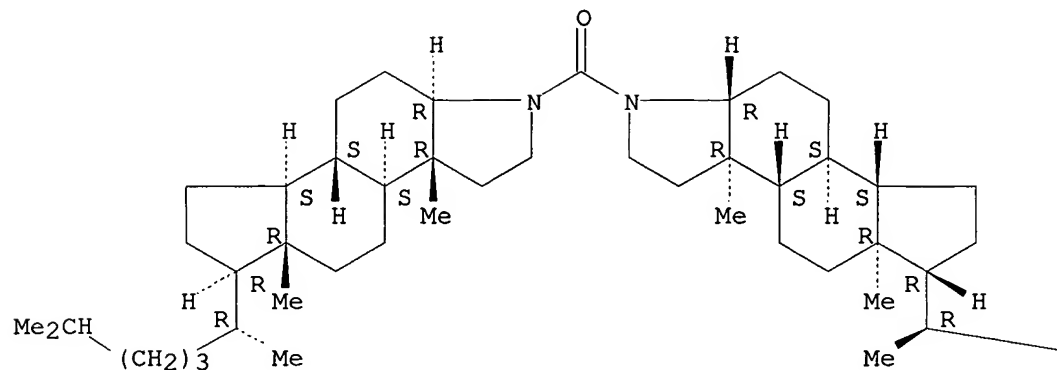


L4 ANSWER 94 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:476907 CAPLUS  
 DOCUMENT NUMBER: 127:149279  
 TITLE: Thermolysis and photolysis of two steroidal hydroxamic acid methanesulfonates  
 AUTHOR(S): Edwards, Oliver E.; Grue-Sorensen, Gunnar; Blackwell, Barbara A.  
 CORPORATE SOURCE: Chem. Dep., Carleton Univ., Ottawa, ON, K1S 5B6, Can.  
 SOURCE: Canadian Journal of Chemistry (1997), 75(6), 857-872  
 CODEN: CJCHAG; ISSN: 0008-4042  
 PUBLISHER: National Research Council of Canada  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Thermolysis or photolysis of N-methanesulfonyloxy-4-aza-5 $\alpha$ -cholestan-3-one gave derivs. of 4-azacholestan-3-one, 4-aza-A-nor-B-homocholestan-3-one, 3-aza-A-norcholestan, bis(4-aza-3-oxocholest-5-en-6-yl) methane, and bis(3-aza-A-norcholestan-3-yl)urea. The corresponding 5 $\beta$ -methanesulfonate gave the 5 $\beta$  (coprostan) analogs. Evidence for the mechanism of formation of these products, including a Favorski-like ring contraction and amide oxidation by methanesulfonic acid, is presented. Detailed <sup>1</sup>H and <sup>13</sup>C assignments are made for many of the products, and UV absorption for seven steroidal enamides is tabled. Long-range homo- and heteronuclear NMR connectivities were used to confirm the structure of three dimeric compds. and to assign the configuration of the methoxy function of 4-aza-5-methoxy-A-nor- $\beta$ -homocholestan-3-one to be 5 $\alpha$ .  
 IT **193155-42-9P 193155-43-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (thermolysis and photolysis of steroidal hydroxamic acid methanesulfonates)  
 RN 193155-42-9 CAPLUS  
 CN Indeno[5,4-e]indole, 6-(1,5-dimethylhexyl)-1-[[6-(1,5-dimethylhexyl)tetradecahydro-3a,5a-dimethylindeno[5,4-e]indol-1(2H)-yl]carbonyl]hexadecahydro-3a,5a-dimethyl-, [3aR-[1[3aR\*,3bS\*,5aR\*,6R\*(R\*),8aS\*,8bS\*,10aR\*],3a $\alpha$ ,3b $\beta$ ,5a $\alpha$ ,6.

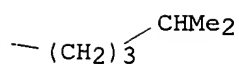
$\alpha$ .(R\*),8a $\beta$ ,8b $\alpha$ ,10a $\beta$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

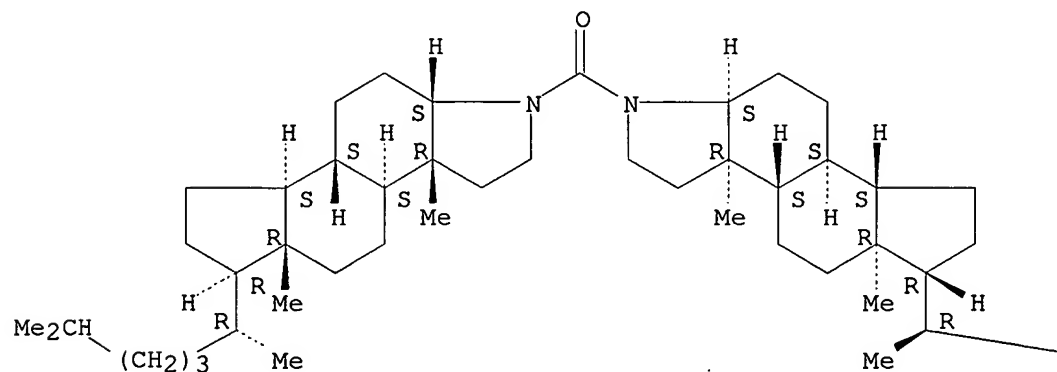


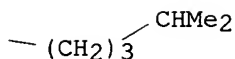
RN 193155-43-0 CAPLUS

CN Indeno[5,4-e]indole, 6-(1,5-dimethylhexyl)-1-[[6-(1,5-dimethylhexyl)tetradecahydro-3a,5a-dimethylindeno[5,4-e]indol-1(2H)-yl]carbonyl]hexadecahydro-3a,5a-dimethyl-, [3aR-[1[3aR\*,3bS\*,5aR\*,6R\*(R\*),8aS\*,8bS\*,10aS\*],3a $\alpha$ ,3b $\beta$ ,5a $\alpha$ ,6. $\alpha$ .(R\*),8a $\beta$ ,8b $\alpha$ ,10a $\alpha$ ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

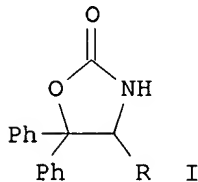




REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 95 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:435317 CAPLUS  
 DOCUMENT NUMBER: 127:50635  
 TITLE: Preparation of optically active 5,5-diphenyl-2-oxazolidinones as asymmetric agents  
 INVENTOR(S): Isobe, Toshio; Fukuda, Keiko  
 PATENT ASSIGNEE(S): Shiratori Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09143173	A2	19970603	JP 1995-301528	19951120
PRIORITY APPLN. INFO.:			JP 1995-301528	19951120
OTHER SOURCE(S):	CASREACT 127:50635; MARPAT 127:50635			
GI				

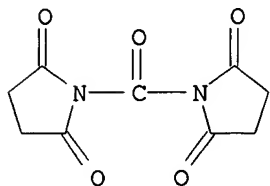


AB Title compds. I (R = alkyl, aryl, aralkyl), which are useful in selective preparation of optically active compds. and are reusable, are prepared (2S)-2-amino-1,1-diphenyl-1-propanol was treated with Et<sub>3</sub>N and N,N'-succinimidyl carbonate to give 87% (S)-I (R = Me).

IT 158627-30-6

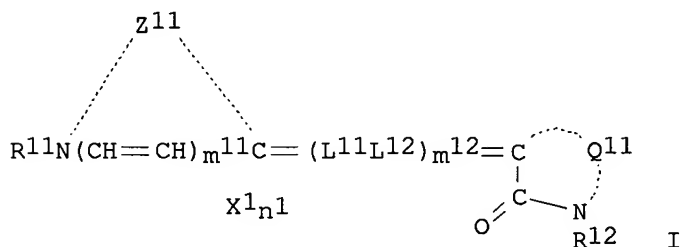
RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of reusable optically active 5,5-diphenyl-2-oxazolidinones for asym. synthesis)

RN 158627-30-6 CAPLUS  
 CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 96 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:416279 CAPLUS  
 DOCUMENT NUMBER: 127:57942  
 TITLE: Silver halide photographic photosensitive material  
 containing merocyanine dye sensitizer  
 INVENTOR(S): Oya, Toyohisa; Yamazaki, Kazuki; Watanabe, Harumi  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 53 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

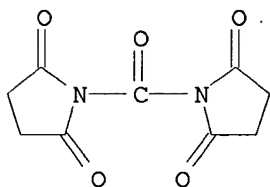
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09120112	A2	19970506	JP 1995-279212	19951026
JP 3444704	B2	20030908		
US 5695909	A	19971209	US 1996-736947	19961025
PRIORITY APPLN. INFO.: GI			JP 1995-279212	A 19951026



AB The title material contains  $\geq 1$  spectral sensitizing dye I [Z11 = nonmetal atoms to form a 5- or 6-membered N-containing heterocycle; Q11 = nonmetal atoms to form a 5-membered N-containing heterocycle; R11 = (substituted) alkyl; R12 = straight-chain or branched alkyl, alkenyl or alkynyl having  $\geq 1$  carboxyl group and  $\geq 1$  ester, amido or ether bond; L12, L12 = methine group; m11 = 0, 1; m12 = 0-2; X1 = counter ion; n1 = number required to neutralize the charge in the mol.]. The material for photomech. process shows high sensitivity, high contrast, good processability under safelight, and stable photog. properties even upon processing using exhausted developers.

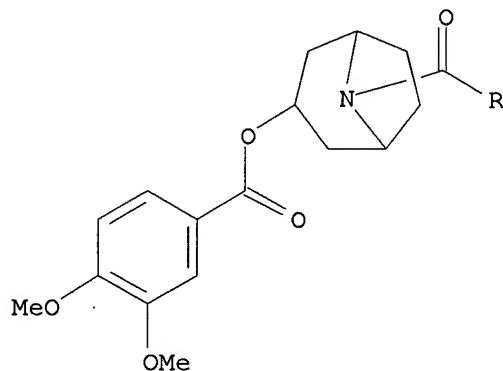
IT 158627-30-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of merocyanine dye photog. spectral sensitizer)  
 RN 158627-30-6 CAPLUS

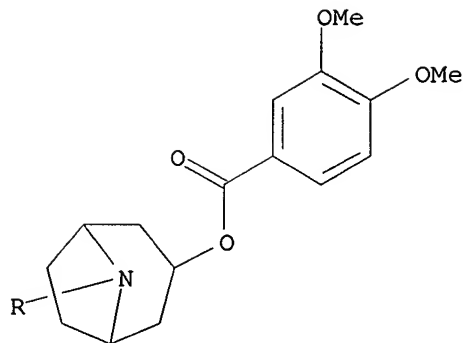
CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 97 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1997:375093 CAPLUS  
DOCUMENT NUMBER: 127:133286  
TITLE: Tropane alkaloids of two species of the genus  
Convolvulus  
AUTHOR(S): Aripova, S. F.  
CORPORATE SOURCE: Inst. Khim. Rastit. Veshchestv, AN RUz, Tashkent,  
Uzbekistan  
SOURCE: Khimiya Prirodnikh Soedinenii (1996), (5), 687-689  
CODEN: KPSUAR; ISSN: 0023-1150  
PUBLISHER: Fan  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB A total of 18 tropane alkaloids were isolated from 2 species of  
Convolvulus plants. Ten out of 18 alkaloids were new and the structure  
was established for 8 alkaloids.  
IT **85412-77-7**, Subhirsine  
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP  
(Properties); BIOL (Biological study); OCCU (Occurrence)  
(tropane alkaloids of two types of plants of genus Convolvulus)  
RN 85412-77-7 CAPLUS  
CN Benzoic acid, 3,4-dimethoxy-, carbonylbis(8-azabicyclo[3.2.1]octane-8,3-  
diyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

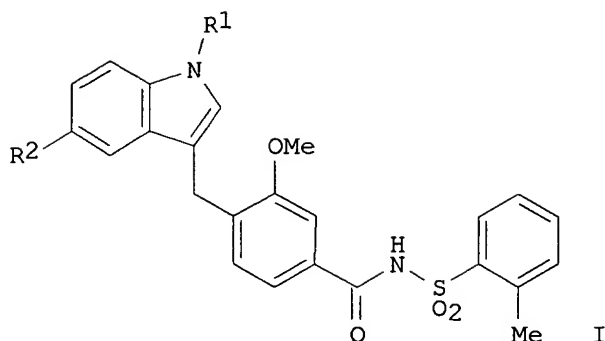




L4 ANSWER 98 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:369687 CAPLUS  
 DOCUMENT NUMBER: 126:343488  
 TITLE: Indole carbamates as leukotriene antagonists  
 INVENTOR(S): Brown, Matthew F.; Marfat, Anthony  
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Brown, Matthew F.; Marfat, Anthony  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9713751	A1	19970417	WO 1996-IB832	19960826
W: CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2234239	AA	19970417	CA 1996-2234239	19960826
CA 2234239	C	20030520		
EP 863873	A1	19980916	EP 1996-926519	19960826
EP 863873	B1	19991110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 186528	E	19991115	AT 1996-926519	19960826
JP 3020612	B2	20000315	JP 1997-514849	19960826
JP 10512291	T2	19981124		
US 5965745	A	19991012	US 1998-51364	19980701
GR 3032272	T3	20000427	GR 1999-403359	19991228
PRIORITY APPLN. INFO.:			US 1995-5003P	P 19951010
			WO 1996-IB832	W 19960826
OTHER SOURCE(S):		MARPAT 126:343488		
GI				



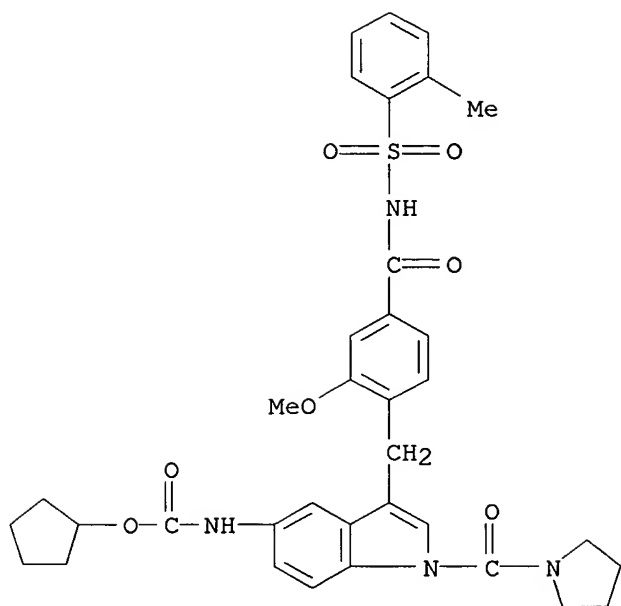


AB Compds. of formula I [R1 = CHO, CH2F, CHF2, CONR3R4; R2 = CONHCH2CHMeCH2CF3, NHCOXR12; R3, R4 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, dialkylaminoalkyl, pyridinyl, etc.; or NR3R4 forms various N-heterocycles; X = O, NH, CH2; R12 = cycloalkyl, certain bicycloalkyl; with provisos] are useful (no data) in the treatment of asthma, rheumatoid arthritis, osteoarthritis, bronchitis, chronic obstructive airways disease, psoriasis, allergic rhinitis, atopic dermatitis, shock, and other inflammatory diseases. Pharmaceutical compns. containing the compds., and methods of blocking the leukotriene D4 (LTD4) receptor with them, are also disclosed. For instance, 4-[(5-nitro-1H-indol-3-yl)methyl]-3-methoxybenzoic acid Me ester was carbamoylated in the 1-position with phosgene and Ph2CHNH2.HCl (83%), followed by reduction of the nitro group to an amine (93%), acylation of the amine with cyclopentyl chloroformate (96%), saponification of the Me ester with LiOH in aqueous MeOH-THF (91%), and amidation with o-tolylsulfonamide using EDC and DMAP (73%), to give title compound I [R1 = CONHCHPh2, R2 = cyclopentylloxycarbonylamino].

IT **189807-46-3P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of indole carbamates as leukotriene antagonists)

RN 189807-46-3 CAPLUS

CN Carbamic acid, [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-(1-pyrrolidinylcarbonyl)-1H-indol-5-yl]-, cyclopentyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 99 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:308330 CAPLUS  
 DOCUMENT NUMBER: 126:317492  
 TITLE: Process for preparing 2,2-difluoroketene silyl acetals  
 and  $\alpha,\alpha$ -difluoro- $\beta$ -silyloxy-1,3-  
 dioxolane-4-propanoic acid esters  
 INVENTOR(S): Britton, Thomas C.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 307,122,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5618951	A	19970408	US 1995-451284	19950526
CA 2136788	AA	19950531	CA 1994-2136788	19941128
CA 2136788	C	20050125		
IL 111793	A1	19981206	IL 1994-111793	19941128
FI 9405626	A	19950531	FI 1994-5626	19941129
JP 07188260	A2	19950725	JP 1994-294480	19941129
JP 3615253	B2	20050202		
HU 70178	A2	19950928	HU 1994-3423	19941129
HU 219466	B	20010428		
BR 9404776	A	19951121	BR 1994-4776	19941129
AT 204283	E	20010915	AT 1994-308806	19941129
ES 2159296	T3	20011001	ES 1994-308806	19941129
PT 655454	T	20011228	PT 1994-308806	19941129
PRIORITY APPLN. INFO.:			US 1993-160549	B2 19931130
			US 1994-307122	B2 19940916

OTHER SOURCE(S): CASREACT 126:317492; MARPAT 126:317492  
 AB A process for preparing 2,2-difluoroketene silyl acetals  
 [F2C:C(OSiR1R2R3)(OR4), R1-R4 = alkyl or aryl substituted alkyl or aryl]  
 and  $\alpha,\alpha$ -difluoro- $\beta$ -silyloxy-1,3-dioxolane-4-propanoic  
 acid esters using these acetals is described. Thus, reaction of Me

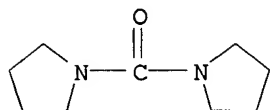
chlorodifluoroacetate with Me<sub>3</sub>SiCl in the presence of Zn dust, 1,3-dimethylimidazolidin-2-one solvent, and hexafluorobenzene (reaction calibration standard) gave 47% Me trimethylsilyl 2,2-difluoroketene acetal. Reaction of silyl ketene acetal with (R)-2,2-diethyl-1,3-dioxolane-4-carboxaldehyde gave (βR,4R)-α,α-difluoro-2,2-dimethyl-β-[(trimethylsilyl)oxy]-1,3-dioxolane-4-propanoic acid Me ester.

IT 81759-25-3

RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; process for preparing difluoroketene silyl acetals and difluorosilyloxydioxolanepropanoic acid esters)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 100 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:208886 CAPLUS

DOCUMENT NUMBER: 126:264435

TITLE: Ring-opening polymerization of six- and seven-membered cyclic pseudoureas

AUTHOR(S): Miyamoto, Masatoshi; Aoi, Keigo; Saegusa, Takeo

CORPORATE SOURCE: Dep. Polymer Sci. and Eng., Kyoto Inst. Technology, Kyoto, 606, Japan

SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1997), 35(5), 933-945

CODEN: JPACEC; ISSN: 0887-624X

PUBLISHER: Wiley

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The cationic ring-opening polymerization of six-membered cyclic pseudoureas, 2-(1-piperidinyl)- (I) and 2-morpholino-5,6-dihydro-4H-1,3-oxazine (II), followed two different pathways, depending on the initiator. The polymerization

of II with Me-p-toluenesulfonate or trifluoromethanesulfonate (MeOTf) produced poly[(N-carbamoylimino)trimethylene], while that with benzyl chloride or benzyl bromide or Me iodide gave a polymer consisting of 1,3-diazin-2-one-1,3-diylalkylene units (the main component) and (N-carbamoylimino)trimethylene units. The cationic ring-opening polymerization of 2-(1-pyrrolidinyl)-4,5,6,7-tetrahydro-4H-1,3-oxazepine (III) was also examined. The polymerization of III with MeOTf as initiator gave poly[[N-(1-pyrrolidiny carbonyl)imino]tetramethylene]. With benzyl chloride, no polymerization took place, instead, quant. isomerization of III to 1,1'-carbonyldipyrrolidine was observed. The polymerization mechanism of II

and III

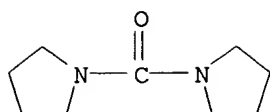
and the isomerization mechanism of III is explained and compared to the polymerization mechanism of five-membered pseudoureas.

IT 81759-25-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(mechanism of formation of 1:1 oxazinium adducts of cyclic pseudoureas with initiators and subsequent isomerization to covalent species)

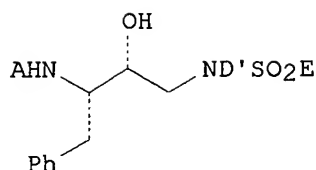
RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 101 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:9928 CAPLUS  
 DOCUMENT NUMBER: 126:144117  
 TITLE: Preparation of sulfonamide inhibitors of aspartyl  
 protease  
 INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA  
 SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No.  
 941,982, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5585397	A	19961217	US 1993-142327	19931124
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5783701	A	19980721	US 1995-393460	19950223
US 5723490	A	19980303	US 1995-424819	19950419
US 5856353	A	19990105	US 1995-477937	19950607
US 6372778	B1	20020416	US 1995-484326	19950607
US 5977137	A	19991102	US 1998-115394	19980714
US 6004957	A	19991221	US 1998-121008	19980722
US 6392046	B1	20020521	US 1999-409808	19990930
US 2003064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 2003069222	A1	20030410	US 2002-94790	20020308
US 2004167116	A1	20040826	US 2004-786997	20040224
PRIORITY APPLN. INFO.:			US 1992-941982	B2 19920908
			WO 1993-US8458	W 19930907
			EP 1993-921428	A3 19930907
			US 1993-142327	A2 19931124
			US 1995-393460	B2 19950223
			US 1995-484326	A3 19950607
			US 1998-115394	A3 19980714
			US 1999-409808	A3 19990930
			US 2002-94763	A1 20020308
OTHER SOURCE(S):	MARPAT 126:144117			
GI				



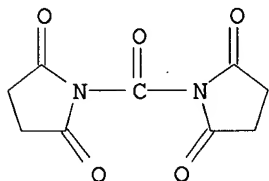
I

AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' = (un)substituted alkyl; E = (un)substituted aryl] are prepared This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as antiviral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compds. of this invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concentration of  $\leq 100$  nM.

IT **158627-30-6**, N,N-Disuccinimidyl carbonate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of sulfonamide inhibitors of aspartyl protease)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 102 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:469370 CAPLUS

DOCUMENT NUMBER: 125:247675

TITLE: Orthoamides. Part 49. Reactions of orthoamide derivatives with sulfur and selenium, syntheses of 1,3-thiazole- and 1,3-selenazole derivatives

AUTHOR(S): Kantlehner, Willi; Haubner, Michael; Vettel, Markus

CORPORATE SOURCE: Fachbereich Chemie/Organische Chemie, Fachhochschule Aalen, Aalen, D-73430, Germany

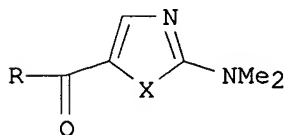
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1996), 338(5), 403-413  
 CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Barth

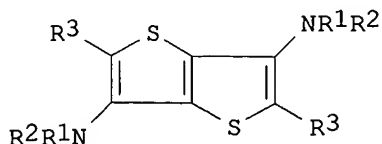
DOCUMENT TYPE: Journal

LANGUAGE: German

GI



III



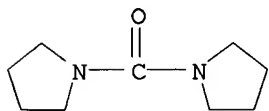
VII

AB N,N-dimethylformamide acetal reacted with elemental Se to give a mixture of selenocarbonic acid derivs.  $\text{MeSeC:ON(Me)}_2$  (I) and  $\text{MeOC:SeN(Me)}_2$ , which were converted to the pure I by treatment with MeI.  $(\text{Me})_2\text{NC:SeN(Me)}_2$  was prepared from orthoformic acid derivs. and Se. The amidines  $\text{Me}_2\text{NCH:NC:XNMe}_2$  (II, X = S, Se) were formed by reacting  $\text{Me}_2\text{NCH:NCH(OMe)NMe}_2$  with elemental S and Se, resp. Treatment of II with  $\alpha$ -halogenated carbonyl compds. and  $\text{NEt}_3$  gave the 1,3-thiazoles and 1,3-selenazoles III (R = e.g. Me, Ph, 4-MeC<sub>6</sub>H<sub>4</sub>, OMe). The synthesis of 3,3,3-tripyrrolidino-1-propyne (IV) was described. IV reacted with  $[(\text{R}_1\text{R}_2\text{N})_3\text{C}]^+ \text{Cl}^-$  to give  $(\text{R}_1\text{R}_2\text{N})_3\text{CC.tplbond.CC(NR}_1\text{R}_2)_3$  [V,  $\text{NR}_1\text{R}_2$  = pyrrolidino]. Other V [ $\text{R}_1\text{R}_2$  =  $(\text{CH}_2)_5$ ,  $(\text{CH}_2)_{20}(\text{CH}_2)_2$ ,  $(\text{CH}_2)_2\text{NMe}(\text{CH}_2)_2$ ] were synthesized from  $(\text{Me}_2\text{N})_3\text{CC.tplbond.CC(NMe}_2)_3$  by transamination. The thiolation of V afforded the bisamidinium-dithiolates (VI), which were alkylated to give the bisamidinium salts. The dithiolates VI were cyclized by treatment with  $\alpha$ -halo carbonyl compds. and  $\text{NEt}_3$  to give thieno[3,2-b]thiophenes VII ( $\text{R}_3$  = CO<sub>2</sub>Et, COMe, CN, CONEt<sub>2</sub>). The quadrupoles VI underwent cycloaddns. with di-Me butynedioate which afforded the bis(3H-thiophen-2-ylidenes) (VIII). The treatment of VIII with Me tosylate gave dithiophenes.

IT **81759-25-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of thiazole and selenazole derivs. by reaction of orthoamide derivs. with sulfur and selenium)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4<sup>\*\*\*</sup> ANSWER 103 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:341794 CAPLUS

DOCUMENT NUMBER: 125:34169

TITLE: Preparation of 3-amino-2-hydroxy-4-phenylbutanoic acid-containing dipeptides as HIV protease inhibitors

INVENTOR(S): Yabe, Juichiro; Sakurai, Mitsuya; Nishigaki, Takashi; Ozawa, Juji; Komai, Tomoaki; Nakagawa, Akihiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 48 pp.  
 CODEN: JKXXAF

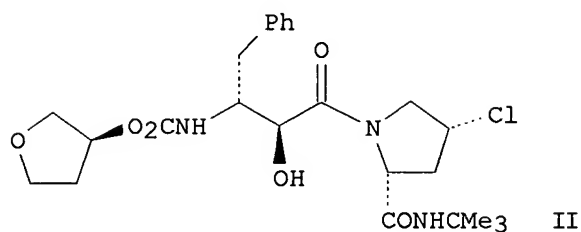
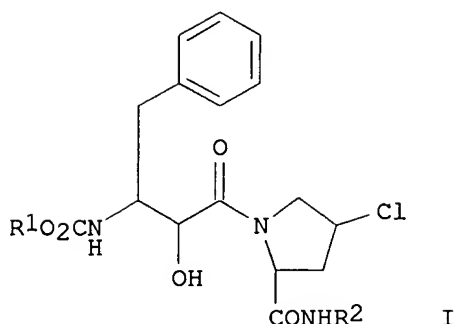
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08041023	A2	19960213	JP 1995-123393	19950523
PRIORITY APPLN. INFO.:			JP 1995-123393	A 19950523
			JP 1994-112374	19940526
OTHER SOURCE(S):	MARPAT	125:34169		
GI				



AB The title compds., N-(3-amino-2-hydroxy-4-phenylbutanoyl)prolinamides, [I; R1 = lower alkyl, lower alkoxyalkyl, or (un)saturated mono- or polycyclic carbocyclyl or heterocyclyl, each ring optionally having substituents such as OH, SH, lower alkyl, hydroxyalkyl, alkoxy, alkoxycarbonyl, aralkyloxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, and O (provided that when the ring-forming S atom is oxidized, O must form CO with the ring C atom); R2 = lower alkyl], useful as anti-AIDS agents for the treatment and prevention of HIV infection, are prepared These compds. are dipeptide equivalent not to be cleaved by HIV protease, show specific and excellent inhibition against HIV protease and excellent oral absorption, inhibit the release of virus from HIV-infected cells, and by oral or nonoral administration achieve the blood concentration potentially exhibiting activity against HIV. Thus, (S)-3-hydroxytetrahydrofuran was dissolved in MeCN, treated with di succinimidyl carbodiimide and Et3N under ice-cooling, stirred at room temperature for 8 h, and concentrated in vacuo to

give

3-(S)-tetrahydrofuranyl succinimidyl carbonate as an oil. This compound was added to a solution of 3(S)-amino-2(S)-hydroxy-4-phenylbutanoyl-[4(S)-chloro]proline tert-Bu in CH2Cl2 and stirred at room temperature for 3 h to

give

the title compound (II). II in vitro inhibited recombinant HIV protease with Ki value of 45 nM and IC50 value of 23 nM and at 2  $\mu$ M inhibited 90% the release of HIV for HIV-infected CEM cells. Hard and soft capsule, tablet, and injection, and suspension formulations containing II were given.

IT

**158627-30-6**

RL: RCT (Reactant); RACT (Reactant or reagent)

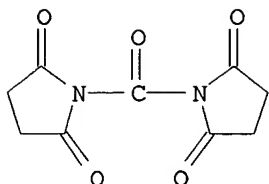
(preparation of N-(aminohydroxyphenylbutanoyl)prolinamides as HIV protease inhibitors and anti-AIDS agents for treatment and prevention of HIV infection)

RN

158627-30-6 CAPLUS

CN

2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 104 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:296800 CAPLUS

DOCUMENT NUMBER: 125:52112

TITLE: Dipeptide-derived diphenyl phosphonate esters: mechanism-based inhibitors of dipeptidyl peptidase IV  
AUTHOR(S): Lambeir, Anne-Marie; Borloo, Marianne; De Meester, Ingrid; Belyaev, Alexander; Augustyns, Koen; Hendriks, Dirk; Scharpe, Simon; Haemers, Achiel

CORPORATE SOURCE: Laboratories of Medical Biochemistry and Pharmaceutical Chemistry, Department of Pharmaceutical Sciences, University of Antwerp (U.I.A.), Wilrijk, B-2610, Belg.

SOURCE: Biochimica et Biophysica Acta (1996), 1290(1), 76-82  
CODEN: BBACQ; ISSN: 0006-3002

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A number of dipeptide di-Ph phosphonate esters were studied as inhibitors of dipeptidyl peptidase IV, focusing on the role of the P2 residue in the inactivation process. The active compds. were slow irreversible inhibitors of the catalytic activity of the enzyme. With proline (or alanine) in the P1 position, the rate consts. of inactivation correlated with the acylation rate consts. reported for homologous dipeptide derived substrates. The kinetic data indicate that the mechanism of inhibition consists of the formation of a fairly weak initial complex, followed by a slow irreversible inactivation step. This indicates that, as in the case of trypsin-like proteinases, dipeptide di-Ph phosphonate esters form a covalent adduct with the catalytic site of DPP IV, even though this enzyme belongs to a completely distinct class of serine peptidases. Enantioselectivity and secondary specificity further support the evidence that di-Ph phosphonate esters are mechanism-based inhibitors. The dipeptide di-Ph phosphonate esters had a half-life of 3-10 h at 37° in Tris buffer. The inhibitors were degraded in human plasma, depending on the type of amino-terminal amino acid. The compound with proline in the P2 position was the most resistant to degradation in plasma. Due to their stability and the irreversible nature of the inhibition, the di-Ph phosphonate esters promise to be useful tools in the continuing investigation of the physiol. function of dipeptidyl peptidase IV.

IT 177598-99-1 177599-00-7 177599-01-8

177599-02-9

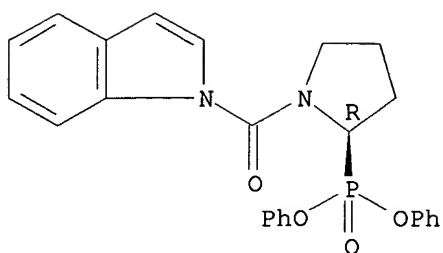
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(dipeptide-derived di-Ph phosphonate esters as mechanism-based inhibitors of dipeptidyl peptidase IV)

RN 177598-99-1 CAPLUS

CN Phosphonic acid, [1-(1H-indol-1-ylcarbonyl)-2-pyrrolidinyl]-, diphenyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

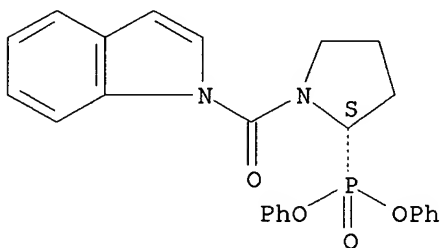




RN 177599-00-7 CAPLUS

CN Phosphonic acid, [1-(1H-indol-1-ylcarbonyl)-2-pyrrolidinyl]-, diphenyl ester, (S)- (9CI) (CA INDEX NAME)

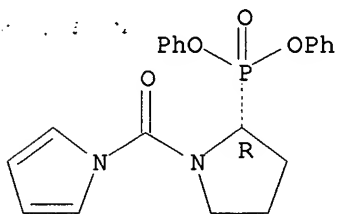
Absolute stereochemistry.



RN 177599-01-8 CAPLUS

CN Phosphonic acid, [1-(1H-pyrrol-1-ylcarbonyl)-2-pyrrolidinyl]-, diphenyl ester, (R)- (9CI) (CA INDEX NAME)

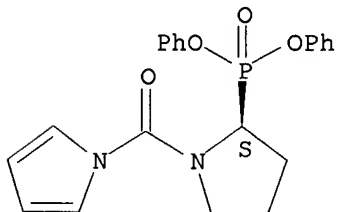
Absolute stereochemistry.



RN 177599-02-9 CAPLUS

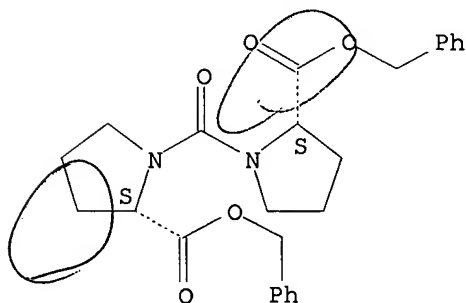
CN Phosphonic acid, [1-(1H-pyrrol-1-ylcarbonyl)-2-pyrrolidinyl]-, diphenyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



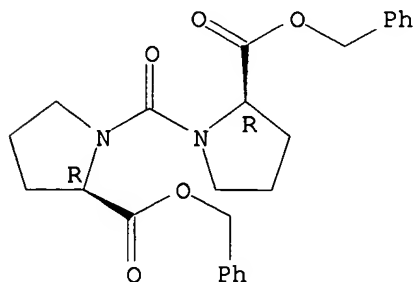
ACCESSION NUMBER: 1996:267736 CAPLUS  
 DOCUMENT NUMBER: 125:331  
 TITLE: Synthesis and biological evaluation of proline derivatives as potential angiotensin converting enzyme inhibitor  
 AUTHOR(S): Giugliano, G.; Grieco, P.; Ialenti, A.; Mottola, M.; Perissutti, E.; Santagada, V.  
 CORPORATE SOURCE: Facolta di Farmacia, Universita degli Studi di Napoli "Federico II", Naples, Italy  
 SOURCE: Journal of Biological Research (Naples) (1996), 72(1-2), 29-36  
 CODEN: JBRNFX  
 PUBLISHER: Idelson  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The synthesis of a series of proline derivs. as pure isomers is described. These compds. showed only weak angiotensin-converting enzyme inhibitory activity when compared to captopril.  
 IT 176772-04-6P 176772-05-7P 176772-06-8P 176772-07-9P 177188-49-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; synthesis and biol. evaluation of proline derivs. as potential angiotensin converting enzyme inhibitors)  
 RN 176772-04-6 CAPLUS  
 CN L-Proline, 1,1'-carbonylbis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



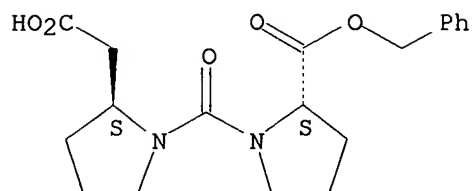
RN 176772-05-7 CAPLUS  
 CN D-Proline, 1,1'-carbonylbis-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 176772-06-8 CAPLUS  
 CN 2-Pyrrolidineacetic acid, 1-[[2-[(phenylmethoxy)carbonyl]-1-pyrrolidinyl]carbonyl]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

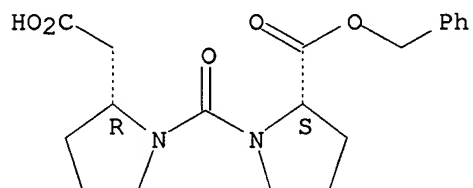
Absolute stereochemistry.



RN 176772-07-9 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[[2-[(phenylmethoxy)carbonyl]-1-pyrrolidinyl]carbonyl]-, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

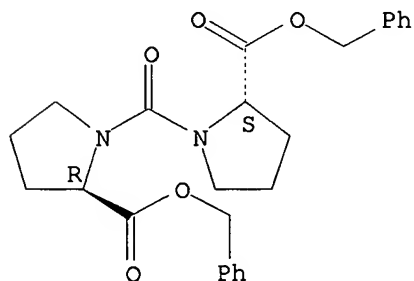
Absolute stereochemistry.



RN 177188-49-7 CAPLUS

CN D-Proline, 1-[[2-[(phenylmethoxy)carbonyl]-1-pyrrolidinyl]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 176771-97-4P 176771-98-5P 176771-99-6P

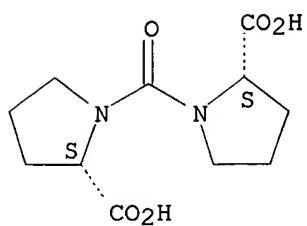
176772-00-2P 177313-67-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and biol. evaluation of proline derivs. as potential angiotensin converting enzyme inhibitors)

RN 176771-97-4 CAPLUS

CN L-Proline, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

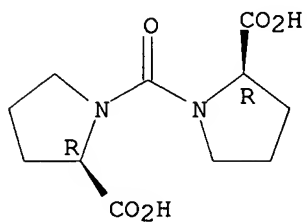
Absolute stereochemistry.



RN 176771-98-5 CAPLUS

CN D-Proline, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

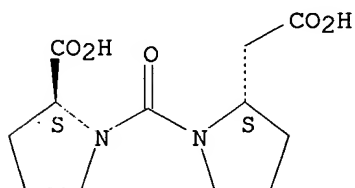
Absolute stereochemistry.



RN 176771-99-6 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[(2-carboxy-1-pyrrolidinyl)carbonyl]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

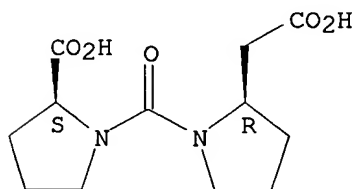
Absolute stereochemistry.



RN 176772-00-2 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[(2-carboxy-1-pyrrolidinyl)carbonyl]-, [R-(R\*,S\*)]- (9CI) (CA INDEX NAME)

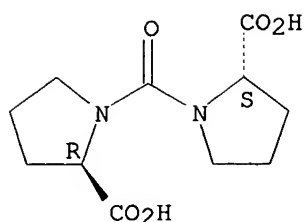
Absolute stereochemistry.



RN 177313-67-6 CAPLUS

CN D-Proline, 1-[(2-carboxy-1-pyrrolidinyl)carbonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



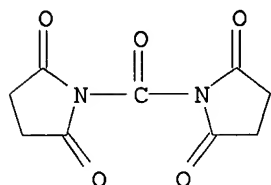
L4 ANSWER 106 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1996:163911 CAPLUS  
 DOCUMENT NUMBER: 124:194348  
 TITLE: PEGylation reagents and biologically active compounds formed therewith  
 INVENTOR(S): Kohno, Tadahiko; Kachensky, Dave; Harris, Milton  
 PATENT ASSIGNEE(S): USA  
 SOURCE: PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9534326	A1	19951221	WO 1995-US7555	19950614
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6552170	B1	20030422	US 1994-259413	19940614
AU 9528286	A1	19960105	AU 1995-28286	19950614
EP 758906	A1	19970226	EP 1995-923865	19950614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9507999	A	19970812	BR 1995-7999	19950614
FI 9604985	A	19961216	FI 1996-4985	19961212
NO 9605342	A	19970214	NO 1996-5342	19961212
PRIORITY APPLN. INFO.:			US 1994-259413	A 19940614
			US 1990-506522	A2 19900406
			US 1990-555274	B2 19900719
			US 1991-669862	B2 19910315
			US 1992-850675	B2 19920313
			WO 1995-US7555	W 19950614

AB Biol. active conjugates are disclosed which are formed by reaction of a thiol moiety of a biol. active mol. with a non-peptidic polymer having an active sulfone moiety. Also disclosed are compds. having the formula R1-R2 wherein at least one of R1 and R2 is a biol. active mol. having a reactive thiol moiety which forms a covalent bond with X, a Michael acceptor-activated non-peptidic polymer. Further disclosed are methods of making the conjugates and compds. of the present invention as well as pharmaceutical compns. containing them. In addition, activated polymers suitable for attachment to a variety of mols. and surfaces are disclosed. Among the reagents synthesized is e.g. a vinyl sulfone NHS-ester heterobifunctional PEG(3400) reagent. Also described are preparation of conjugates of PEG reagents with IL-1ra (interleukin-1 receptor antagonist) and with TNF binding protein c105 mutein. A TNFbp c105 dumbbell (prepared

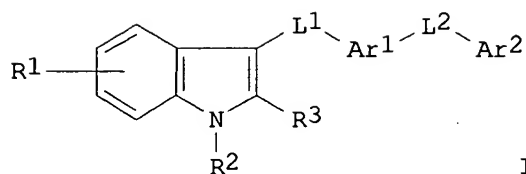
with PEG-bis-vinyl sulfone) inhibited exptl. allergic encephalomyelitis, reduced central nervous system inflammation, and protected against endotoxin lethality.

IT 158627-30-6, N,N-Disuccinimidyl carbonate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (PEG derivative preparation, conjugation with biol. active mols., and therapeutic activity)  
 RN 158627-30-6 CAPLUS  
 CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

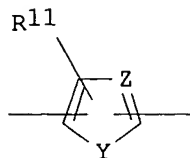


L4 ANSWER 107 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1996:119185 CAPLUS  
 DOCUMENT NUMBER: 124:317157  
 TITLE: Platelet activating factor antagonists:  
 imidazopyridine indoles  
 INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin,  
 Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu,  
 Lianhong; Carrera, George M., Jr.; Garland, Robert B.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 324,631.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

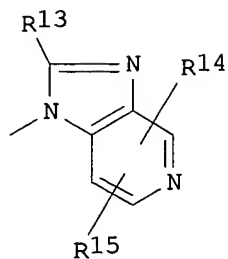
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5486525	A	19960123	US 1994-347528	19941205
CA 2176247	AA	19950622	CA 1994-2176247	19941208
WO 9516687	A1	19950622	WO 1994-US14112	19941208
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9513036	A1	19950703	AU 1995-13036	19941208
AU 690620	B2	19980430		
EP 734386	A1	19961002	EP 1995-904287	19941208
EP 734386	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 212992	E	20020215	AT 1995-904287	19941208
PT 734386	T	20020731	PT 1995-904287	19941208
ES 2173171	T3	20021016	ES 1995-904287	19941208
PRIORITY APPLN. INFO.:			US 1993-168564	B2 19931216
			US 1994-324631	A2 19941018
			US 1994-347528	A 19941205
			WO 1994-US14112	W 19941208
OTHER SOURCE(S):		MARPAT 124:317157		
GI				



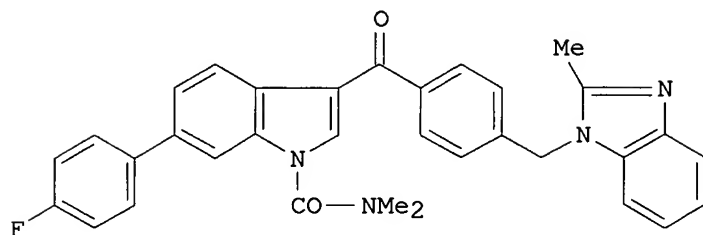
I



II



III



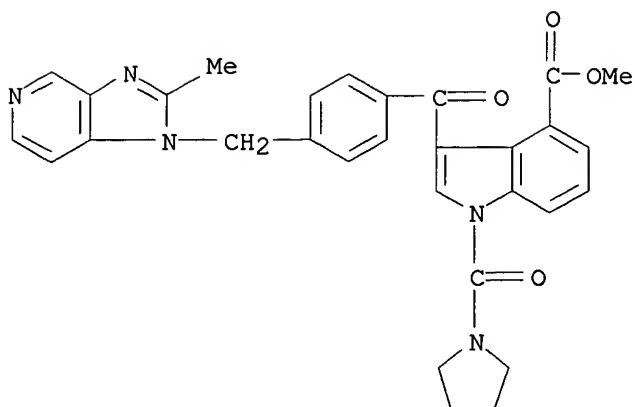
AB The present invention relates to compds. of formula I wherein: R1 = one or more of the groups independently selected from, e.g., H, halo, OH, cyano; R2 is selected from the group consisting of, e.g., H, alkyl of one to 6 C atoms; R3 is selected from the group consisting of H and alkyl of one to six C atoms; L1 = e.g., CO, COCH2NR4 where R4 = e.g., H, alkyl of one to six C atoms; Ar1 is radical II where Y is O, S, or CH:CH, Z is N or CH, R11 = e.g., H, alkyl of one to six C atoms; L2 is selected from, e.g., a valence bond, (un)substituted straight-chain alkylene of one to six C atoms; Ar2 is selected from, e.g., substituted benzimidazol-1-yl, imidazopyridine group III where R13 = e.g., alkyl of one to six C atoms, alkenyl of two to six C atoms; R14 and R15 are independently selected from, e.g., H, alkyl of one to six C atoms, alkenyl of two to six C atoms; and the pharmaceutically acceptable salts thereof which are potent antagonists of PAF and are useful in the treatment of PAF-related disorders including asthma, shock, respiratory distress syndrome, acute inflammation, transplanted organ rejection, gastrointestinal ulceration, allergic skin diseases, delayed cellular immunity, parturition, fetal lung maturation, and cellular differentiation. Thus, e.g., carbamoylation of 6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (preparation given) with dimethylcarbamoyl chloride afforded 1-N,N-dimethylcarbamoyl-6-(4-fluorophenyl)-3-{4-[(1H-2-methylbenzimidazolyl)methyl]benzoyl}indole (IV) which exhibited  $K_i = 56$  nM for inhibition of specific [3H]C18-PAF binding.

IT **170498-42-7P 170498-51-8P 170498-88-1P**  
**170498-89-2P 170499-02-2P 170499-03-3P**  
**170499-04-4P 170499-05-5P 175675-97-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(imidazopyridine indoles as platelet activating factor antagonists)

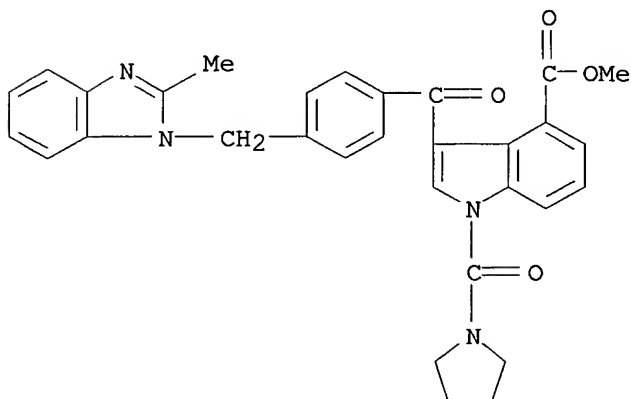
RN 170498-42-7 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



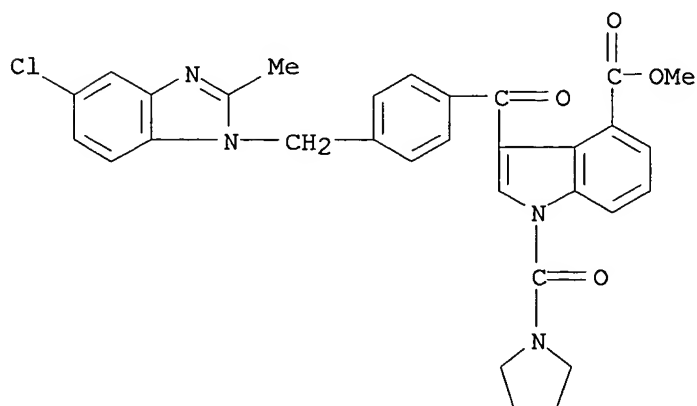
RN 170498-51-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 170498-88-1 CAPLUS

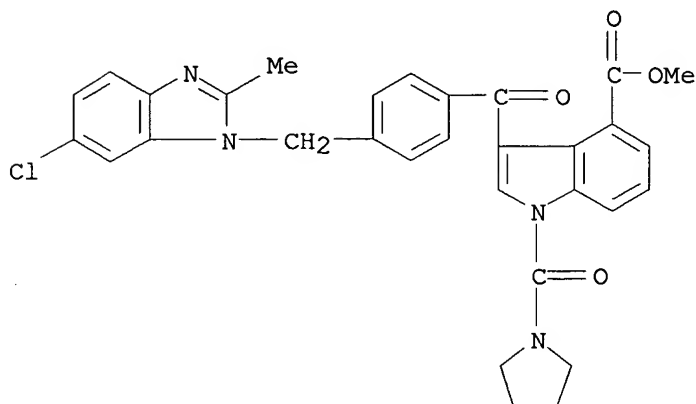
CN 1H-Indole-4-carboxylic acid, 3-[4-[(5-chloro-2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 170498-89-2 CAPLUS

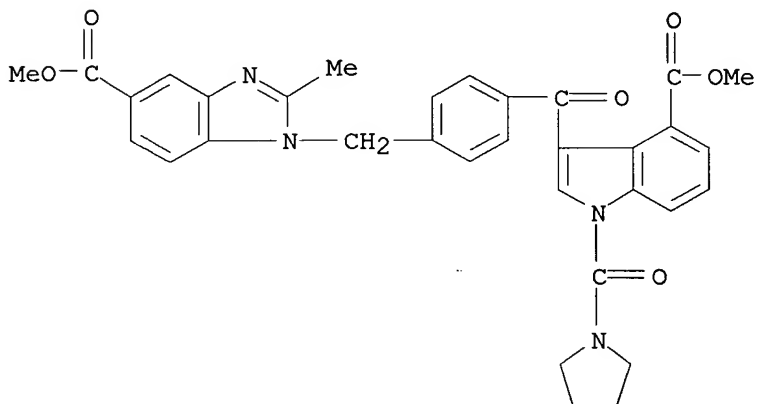


CN 1H-Indole-4-carboxylic acid, 3-[4-[(6-chloro-2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



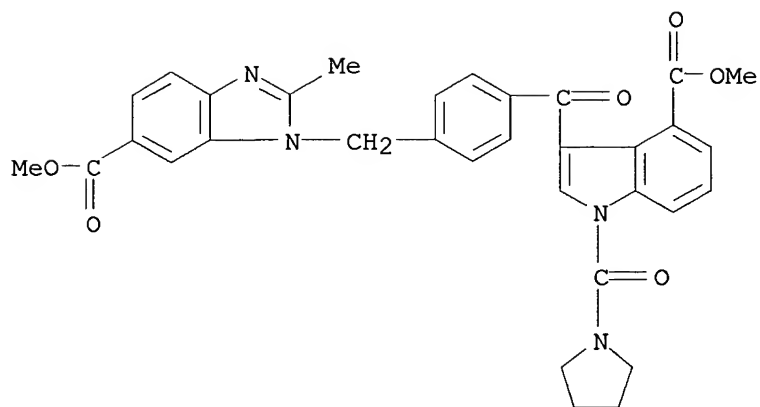
RN 170499-02-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[[4-[[4-(methoxycarbonyl)-1-(1-pyrrolidinylcarbonyl)-1H-indol-3-yl]carbonyl]phenyl]methyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



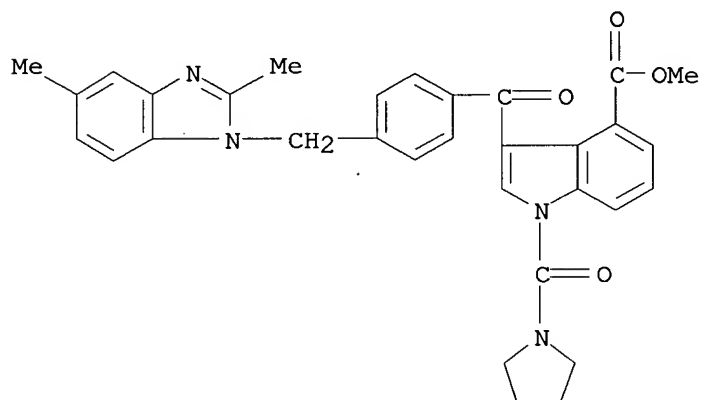
RN 170499-03-3 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[[4-[[4-(methoxycarbonyl)-1-(1-pyrrolidinylcarbonyl)-1H-indol-3-yl]carbonyl]phenyl]methyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



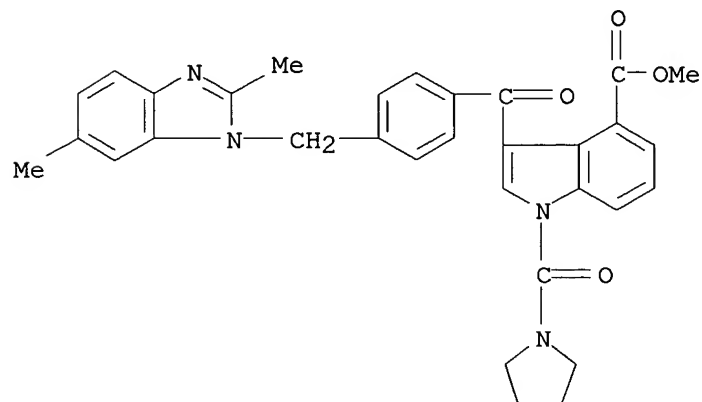
RN 170499-04-4 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2,5-dimethyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 170499-05-5 CAPLUS

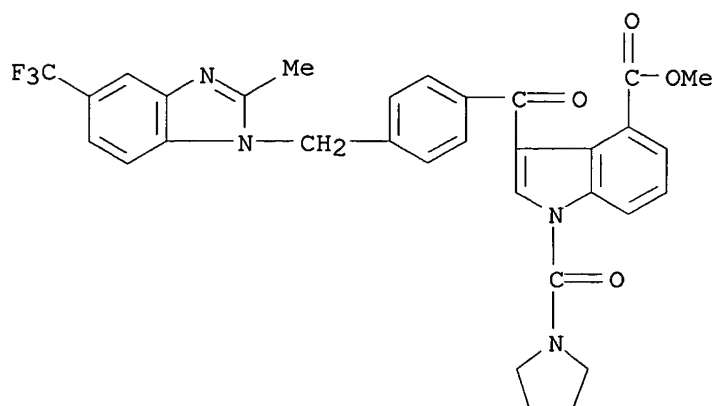
CN 1H-Indole-4-carboxylic acid, 3-[4-[(2,6-dimethyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 175675-97-5 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[[2-methyl-5-(trifluoromethyl)-1H-

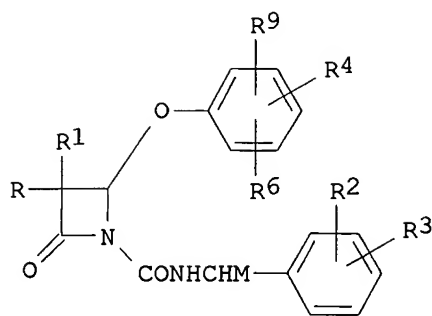
benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 108 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:995041 CAPLUS  
 DOCUMENT NUMBER: 124:117073  
 TITLE: Preparation and formulation of N-benzylaminoacyl-4-phenoxiazetidinones for treatment of lung disease (cystic fibrosis).  
 INVENTOR(S): Davies, Philip  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524207	A1	19950914	WO 1995-US2938	19950307
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2184385	AA	19950914	CA 1995-2184385	19950307
AU 9520994	A1	19950925	AU 1995-20994	19950307
AU 686780	B2	19980212		
EP 755262	A1	19970129	EP 1995-913618	19950307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09510212	T2	19971014	JP 1995-523641	19950307
PRIORITY APPLN. INFO.:				
			US 1994-212420	A 19940311
			WO 1995-US2938	W 19950307

OTHER SOURCE(S): MARPAT 124:117073  
 GI



I

AB A pharmaceutical composition comprising a therapeutically effective, nontoxic amount of an (F)-actin shortening protein, a therapeutically effective amount of an elastase inhibitor, and a pharmaceutically acceptable carrier is claimed. More specifically, the protein is gelsolin and the elastase inhibitor is a title compound [I; R = alkyl; R1 = alkyl, alkoxyalkyl; M = H, alkyl, hydroxyalkyl, haloalkyl, alkenyl, alkoxyalkyl; Ra, Rb = H; R2, R3 = H, alkyl, halo, alkoxy; R2R3 = atoms to form a methylenedioxy group, furan ring; R4 = QCOYNR7R8; Q = bond; Y = NR9(CHR12)nCR10R11; R9-R12 = H, alkyl; R7, R8 = H, alkyl, alkoxyalkyl, hydroxyalkyl; n = 1-5; R8R9 = atoms to form a mono- or disubstituted heterocycle]. Compns. containing [S-(R\*,S\*)]-2-[4-[[[(4-methyl)piperazin-1-yl]carbonyl]phenoxy]-3,3-diethyl-N-[1-(3,4-methylenedioxyphenyl)butyl]-4-oxo-1-azetidinecarboxamide are claimed, as is a method for treating a patient with lung disease with the claimed compns. with amts. sufficient to return lung function to 75-90% of normal as measured by FEV1.

IT 172900-47-9P

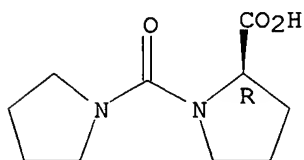
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and formulation of N-benzylaminoacyl-4-phenoxyazetidinones with gelsolin for treatment of lung disease)

RN 172900-47-9 CAPLUS

CN D-Proline, 1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 109 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:994320 CAPLUS

DOCUMENT NUMBER: 124:29596

TITLE: Preparation and formulation of phenylpyrrolidine derivatives as phosphodiesterase IV inhibitors

INVENTOR(S): Toshihiko, Tanaka; Akihiro, Yamamoto; Akira, Amenomori

PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

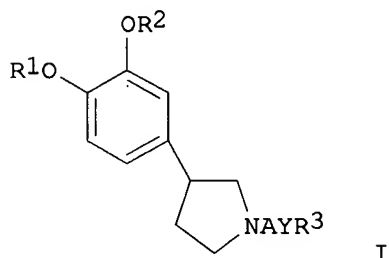
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 671389	A1	19950913	EP 1995-103196	19950306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2143143	AA	19950909	CA 1995-2143143	19950222
JP 07300455	A2	19951114	JP 1995-45578	19950306
US 5545647	A	19960813	US 1995-399341	19950306
FI 9501052	A	19950909	FI 1995-1052	19950307
NO 9500879	A	19950911	NO 1995-879	19950307
HU 71891	A2	19960228	HU 1995-680	19950307
CN 1121917	A	19960508	CN 1995-100997	19950308
PRIORITY APPLN. INFO.:			JP 1994-37187	A 19940308
OTHER SOURCE(S):	MARPAT 124:29596			
GI				



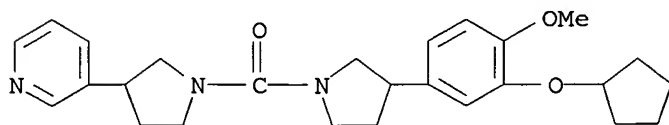
AB The title compds. I [R1 = alkyl; R2 = alkyl, haloalkyl, etc.; A = CO, CS, etc.; Y = O, S, etc.; R3 = (un)substituted alkyl, etc.; a proviso is given] are prepared I [R1 = methyl; R2 = cyclopentyl; AYR3 = CO2CH2Ph] showed IC50 of  $6 \times 10^{-9}$  M against phosphodiesterase IV. I [R1 = methyl; R2 = cyclopentyl; AYR3 = CO2Et] showed IC50 of  $1.1 \times 10^{-8}$  M against phosphodiesterase IV.

IT **171771-53-2P 171771-77-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenylpyrrolidine derivs. as phosphodiesterase IV inhibitors)

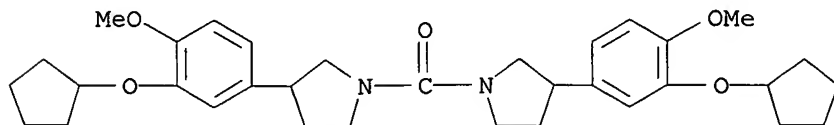
RN 171771-53-2 CAPLUS

CN Pyrrolidine, 3-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-[[3-(3-pyridinyl)-1-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)



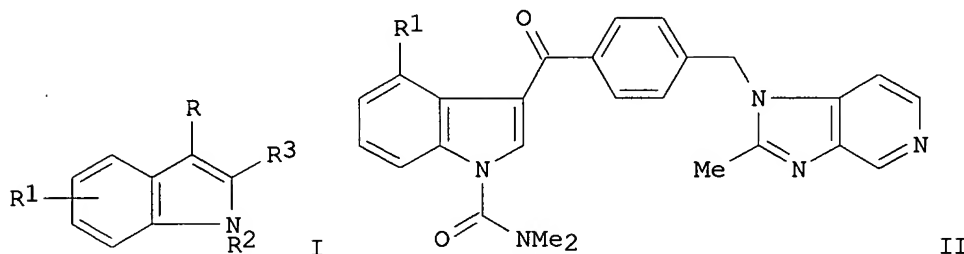
RN 171771-77-0 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis[3-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 110 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:928154 CAPLUS  
 DOCUMENT NUMBER: 123:340121  
 TITLE: Preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists  
 INVENTOR(S): Summers, James B., Jr.; Davidsen, Steven K.; Curtin, Michael L.; Heyman, H. Robin; Sheppard, George S.; Xu, Lianhong; Carrera, George M., Jr.; Garland, Robert B.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: PCT Int. Appl., 160 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9516687	A1	19950622	WO 1994-US14112	19941208
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5486525	A	19960123	US 1994-347528	19941205
CA 2176247	AA	19950622	CA 1994-2176247	19941208
AU 9513036	A1	19950703	AU 1995-13036	19941208
AU 690620	B2	19980430		
EP 734386	A1	19961002	EP 1995-904287	19941208
EP 734386	B1	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 212992	E	20020215	AT 1995-904287	19941208
PRIORITY APPLN. INFO.:				
			US 1993-168564	A 19931216
			US 1994-324631	A 19941018
			US 1994-347528	A 19941205
			WO 1994-US14112	W 19941208
OTHER SOURCE(S): MARPAT 123:340121				
GI				



AB Title compds. [I; R = Z1Z2Z3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (carboxy)alkyl, aminoalkyl, etc.; R3 = H, alkyl; R4 = (hetero)anellated imidazolyl, etc.; Z1 = CO, CONH, C(:NNH2), etc.; Z2 = bond, phenylene, heteroarylene, etc.; Z3 = bond, (un)substituted alkylene] were prepared. Thus, 4-bromoindole was converted in 4 steps to I (R = COC6H4CH2NH2, R1 = 4-Br, R2 = CONMe2, R3 = H) which was N-alkylated by 4-ethoxy-3-nitropyridine and the product converted in 2 steps to title compound II (R1 = Br). The latter was alkylated by Me3SnC.tplbond.CSiMe3 to give, after deprotection, II (R1 = C.tplbond.CH) which had Ki of 0.6nM for platelet activating factor inhibition in vitro.

IT 170498-42-7P 170498-51-8P 170498-88-1P  
 170498-89-2P 170499-02-2P 170499-03-3P

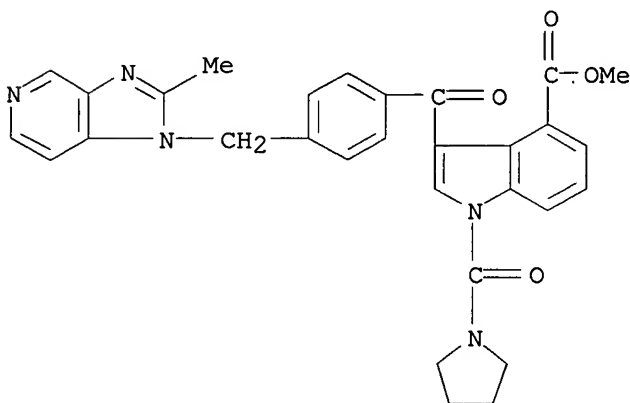
**170499-04-4P 170499-05-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-[(imidazopyridylalkyl)benzoyl]indoles and analogs as platelet activating factor antagonists)

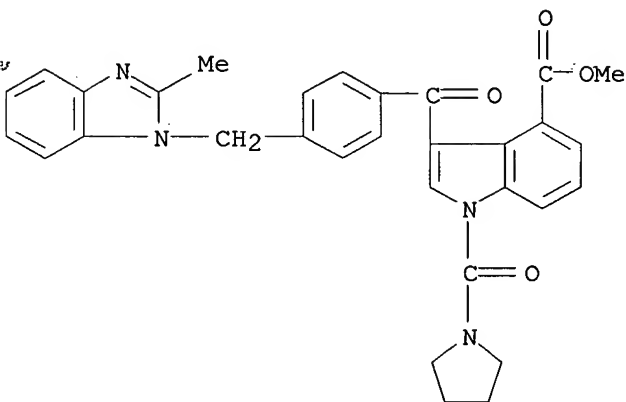
RN 170498-42-7 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



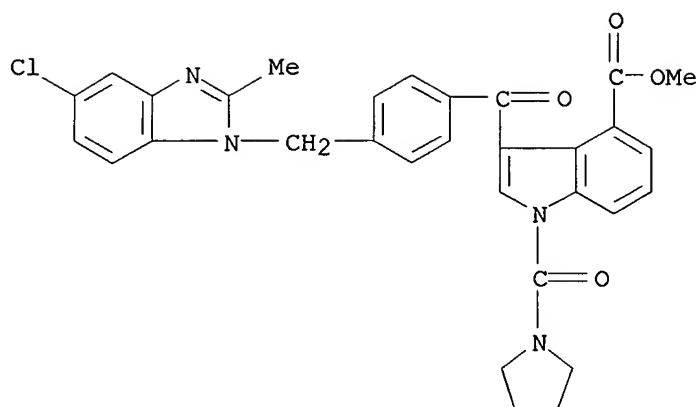
RN 170498-51-8 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



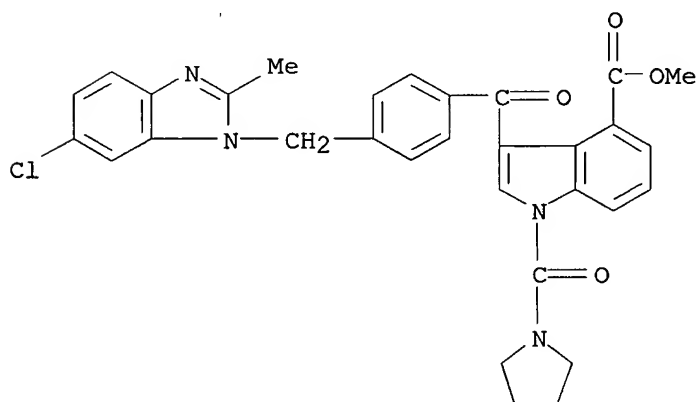
RN 170498-88-1 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(5-chloro-2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



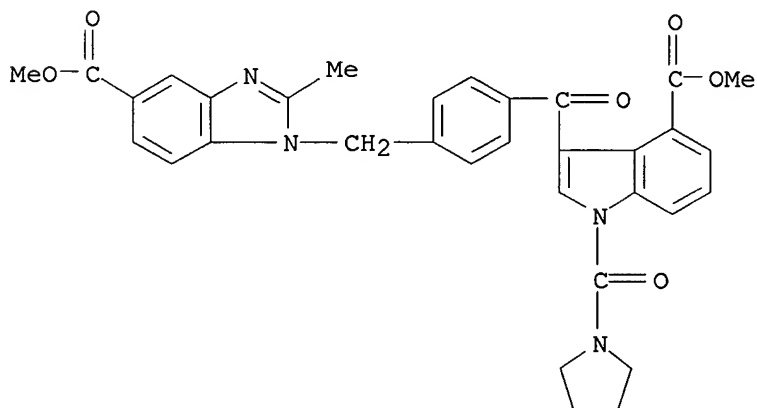
RN 170498-89-2 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(6-chloro-2-methyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 170499-02-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[[4-[[4-(methoxycarbonyl)-1-(1-pyrrolidinylcarbonyl)-1H-indol-3-yl]carbonyl]phenyl]methyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)

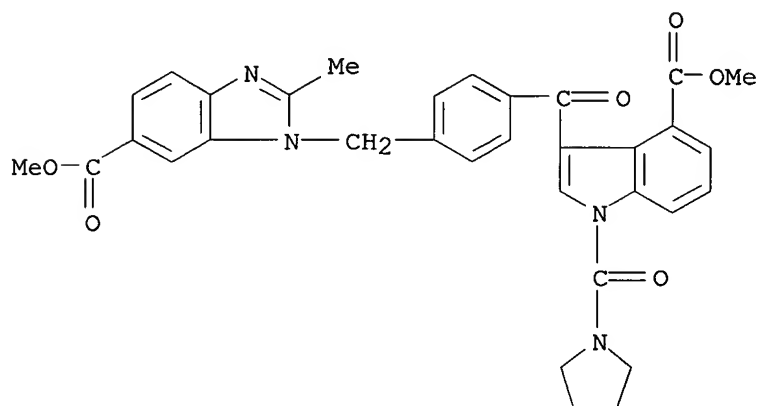


RN 170499-03-3 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[[4-[[4-(methoxycarbonyl)-1-(1-

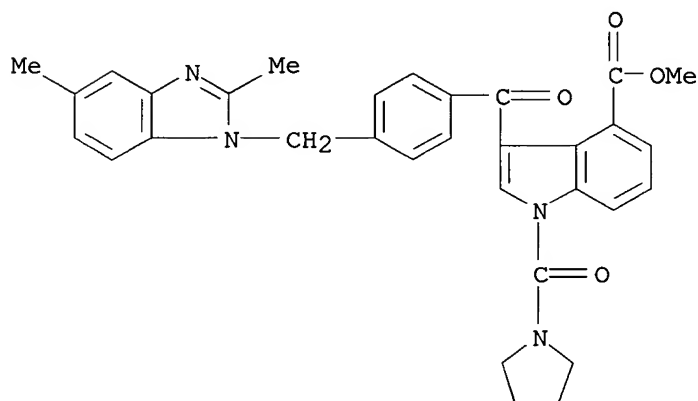


pyrrolidinylcarbonyl)-1H-indol-3-yl]carbonyl]phenyl)methyl]-2-methyl-,  
methyl ester (9CI) (CA INDEX NAME)



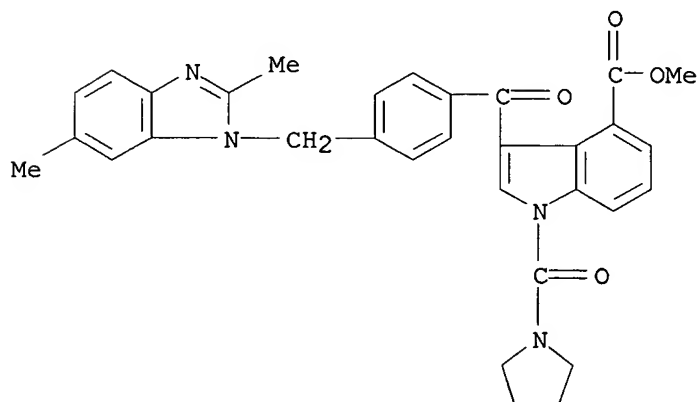
RN 170499-04-4 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2,5-dimethyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 170499-05-5 CAPLUS

CN 1H-Indole-4-carboxylic acid, 3-[4-[(2,6-dimethyl-1H-benzimidazol-1-yl)methyl]benzoyl]-1-(1-pyrrolidinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 111 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1995:721131 CAPLUS  
 DOCUMENT NUMBER: 123:322102  
 TITLE: Acylated derivatives of human insulin with improved solubility and stability for treatment of diabetes  
 INVENTOR(S): Havelund, Svend; Halstroem, John Broberg; Jonassen, Ib; Andersen, Asser Sloth; Markussen, Jan  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 99 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507931	A1	19950323	WO 1994-DK347	19940916
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9407187	A	19950317	ZA 1994-7187	19940916
CA 2171424	AA	19950323	CA 1994-2171424	19940916
CA 2171424	C	20020604		
AU 9476520	A1	19950403	AU 1994-76520	19940916
AU 682061	B2	19970918		
CN 1133598	A	19961016	CN 1994-193852	19940916
CN 1056618	B	20000920		
BR 9407508	A	19970107	BR 1994-7508	19940916
HU 75991	A2	19970528	HU 1996-676	19940916
HU 217684	B	20000328		
EP 792290	A1	19970903	EP 1994-926816	19940916
EP 792290	B1	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
RO 112873	B1	19980130	RO 1996-583	19940916
JP 3014764	B2	20000228	JP 1995-508923	19940916
JP 09502867	T2	19970325		
JP 2000060556	A2	20000229	JP 1999-221632	19940916
PL 178466	B1	20000531	PL 1994-313444	19940916
IL 110977	A1	20000629	IL 1994-110977	19940916
CZ 287945	B6	20010314	CZ 1996-789	19940916
RU 2164520	C2	20010327	RU 1996-108249	19940916
EP 1132404	A2	20010912	EP 2001-112992	19940916
EP 1132404	A3	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT				
AT 204882	E	20010915	AT 1994-926816	19940916
PT 792290	T	20020130	PT 1994-926816	19940916
ES 2163451	T3	20020201	ES 1994-926816	19940916
SK 282495	B6	20020205	SK 1996-324	19940916
JP 2002308899	A2	20021023	JP 2001-385921	19940916
FI 9601220	A	19960514	FI 1996-1220	19960315
NO 9601070	A	19960515	NO 1996-1070	19960315
AU 9748461	A1	19980219	AU 1997-48461	19971218
AU 745983	B2	20020411	AU 2000-51960	20000811
PRIORITY APPLN. INFO.:				
			DK 1993-1044	A 19930917
			US 1994-190829	A 19940202
			EP 1994-926816	A3 19940916
			JP 1995-508923	A3 19940916

JP 1999-221632 A3 19940916  
WO 1994-DK347 W 19940916

AB Novel human insulin derivs. with improved solubility and a protracted profile of action are described for use in the treatment of diabetes. These analogs have amino acid substitutions at amino acids A21 and B3 (any amino acid except Lys, Arg, or Cys); PheB1 may be deleted and B30 is substituted by a C10-24 lipophilic amino acid or any naturally occurring amino acid except Lys, Arg, or Cys; if B30 is a lipophilic amino acid, then the  $\epsilon$ -NH<sub>2</sub> group of LysB29 is acylated with a C $\leq$ 5 carboxylic acid. They may be used in the treatment of diabetes in several pharmaceutical compns. presented. Chemical preparation of some of these analogs and the manufacture of the amino acid-substituted A and B chains by expression of the cloned cDNAs is demonstrated.

IT 158627-30-6

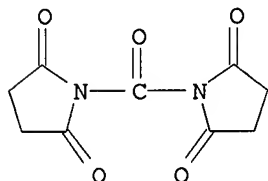
RL: RCT (Reactant); RACT (Reactant or reagent)  
(in preparation myristic acid derivative for conjugation to insulin;

acylated

derivs. of human insulin with improved solubility and stability for treatment of diabetes)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 112 OF 167 CAPLUS COPYRIGHT 2005 ACS on STM

ACCESSION NUMBER: 1995:214080 CAPLUS

DOCUMENT NUMBER: 122:10067

TITLE: Production of N-cyclic and N,N'-dicyclic ureas as solvents for both ionic and nonpolar organic compounds

INVENTOR(S): Hackl, Kurt A.; Roessler, Markus; Muellner, Martin; Stern, Gerhard

PATENT ASSIGNEE(S): Chemie Linz GmbH, Austria

SOURCE: Can. Pat. Appl., 20 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

LANGUAGE: English

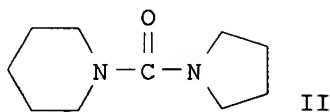
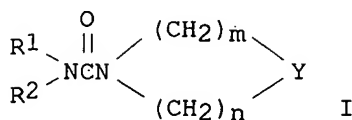
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2113956	AA	19940723	CA 1994-2113956	19940121
AT 400566	B	19960125	AT 1993-94	19930122
EP 613892	A1	19940907	EP 1994-100394	19940113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
NO 9400193	A	19940725	NO 1994-193	19940119
JP 06293747	A2	19941021	JP 1994-4860	19940120
CN 1104210	A	19950628	CN 1994-100513	19940120
ZA 9400441	A	19940901	ZA 1994-441	19940121
US 5414083	A	19950509	US 1994-185246	19940124
US 5597917	A	19970128	US 1995-380517	19950130
PRIORITY APPLN. INFO.:			AT 1993-94	A 19930122
			US 1994-185246	A3 19940124

OTHER SOURCE(S):  
GI

MARPAT 122:10067



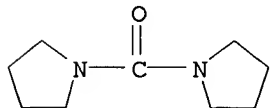
AB The title compds. [I; R1, R2 = (un)branched (un)substituted alkyl or cycloalkyl, NO2, alkenyl, (un)substituted Ph, etc.; Y = CH2, O, S; m, n = 1-3; such that m + n = 3 or 4], which are usually liquid and useful as incredible solvents able to dissolve both strongly polar or ionic compds. as well as nonpolar or hydrophobic compds. (no data), are prepared by means of dialkylating the amino group of a urea with an alkene or alkene arylene alkene dihalogenide, disulfonate, or dihydrogen sulfonate in the presence of a solid base (e.g., KOH, NaOH) and a phase-transfer catalyst (e.g., quaternary ammonium salts) in an aromatic solvent (e.g. PhMe, etc.). Thus, N-piperidinecarboxylic acid amide was dissolved in PhMe, mixed with KOH, Bu4NCl, and 1,4-dibromobutane, and refluxed, producing substituted urea II in 95% theor. yield.

IT 81759-25-3P

RL: IMF (Industrial manufacture); NUU (Other use, unclassified); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses) (production of N-cyclic and N,N'-dicyclic ureas as solvents for both ionic and nonpolar organic compds.)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 113 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:670810 CAPLUS

DOCUMENT NUMBER: 121:270810

TITLE: Electrogenated chemiluminescence detection for capillary electrophoresis

AUTHOR(S): Gilman, S. Douglass; Silverman, Charlotte E.; Ewing, Andrew G.

CORPORATE SOURCE: Dep. Chem., Penn State Univ., University Park, PA, 16802, USA

SOURCE: Journal of Microcolumn Separations (1994), 6(2), 97-106

CODEN: JMSEJ; ISSN: 1040-7685

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Electrogenated chemiluminescence (ECL) detection based on the reaction of luminol and H2O2 has been developed for capillary electrophoresis. The ECL response at carbon fiber and platinum wire microelectrodes was studied. Carbon fiber electrodes are less sensitive than platinum electrodes but provide a more stable response. The ECL response at a carbon fiber electrode is linear over 3 orders of magnitude for luminol with a linear correlation coefficient of 0.998. Mass detection limits of 92 amol and 260 amol were obtained for luminol using platinum wire microelectrodes and a carbon microelectrodes, resp. The influence of hydrogen peroxide concns. (in the detection buffer reservoir) on the

luminescence response was examined and is dependent on electrode type. The dependence of the ECL response on the applied voltage at the microelectrode was studied for both electrode types. Capillary electrophoresis with ECL detection was used for anal. of amines derivatized with N-(4-aminobutyl)-N-ethylisoluminol coupled to N,N-disuccinimidyl carbonate (ABEI-DSC). Detection limits of 2.0 fmol and 0.96 fmol are obtained for n-octylamine and n-propylamine, resp. ABEI-DSC was used to successfully label the tripeptide Val-Tyr-Val, and micellar electrokinetic capillary chromatog. was used with ECL detection for the separation of ABEI-DSC labeled amines.

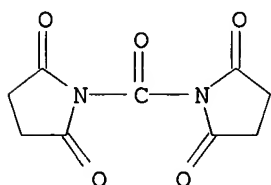
IT 158627-30-6, N,N-Disuccinimidyl carbonate

RL: ANST (Analytical study)

(amines derivatized by aminobutyl ethylisoluminol and, in electrogenerated chemiluminescence detection for capillary electrophoresis)

RN 158627-30-6 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 114 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:579600 CAPLUS

DOCUMENT NUMBER: 121:179600

TITLE: Preparation of substituted ureas as organic reaction solvents

INVENTOR(S): Hackl, Kurt A.; Roessler, Markus; Muellner, Martin; Stern, Gerhard

PATENT ASSIGNEE(S): Chemie Linz (Deutschland) GmbH, Germany

SOURCE: Ger. Offen., 7 pp.

CODEN: GWXXBX

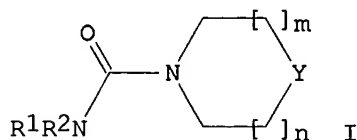
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4302860	A1	19940804	DE 1993-4302860	19930202
HU 72170	A2	19960328	HU 1994-186	19940121
HU 214688	B	19980428		
PRIORITY APPLN. INFO.:			AT 1993-94	A 19930122
			DE 1993-4302860	A 19930202
OTHER SOURCE(S):	MARPAT 121:179600			
GI				

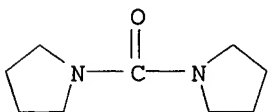


AB Title compds. [I; R1,R2 = (un)substituted (ar)alkyl; NR1R2 = heterocyclyl; Y = CH2, O, S; m,n = 0-2; m+n = 1 or 2], solvents for reactants having a wide range of polarity, were prepared Thus, N-carbamoylpiperidine was cyclocondensed with Br(CH2)4Br to give 95% I (NR1R2 = piperidino, Y = CH2, m = 1, n = 0).

IT **81759-25-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as organic reaction solvent)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 115 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:271144 CAPLUS

DOCUMENT NUMBER: 120:271144

TITLE: Effect of Tertiary Bases on O-Benzotriazolyluronium Salt-Induced Peptide Segment Coupling

AUTHOR(S): Carpino, Louis A.; El-Faham, Ayman

CORPORATE SOURCE: Department of Chemistry, University of Massachusetts, Amherst, MA, 01003-4510, USA

SOURCE: Journal of Organic Chemistry (1994), 59(4), 695-8  
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Collidine activation of O-(7-azabenzotriazolyl)uronium salts leads to relatively low levels of racemization in the coupling of peptide segments, especially with reagents derived from 1,1'-carbonyldipyrrolidine.

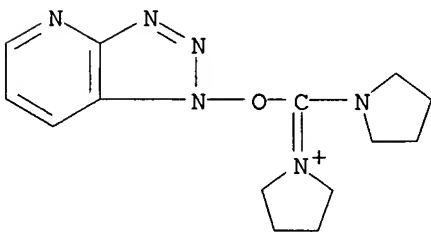
IT **154561-25-8**, O-(4-Azabenzotriazol-1-yl)-1,1,3,3-bis(tetramethylene)uronium hexafluorophosphate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (agent with amine bases, for peptide coupling reactions, racemization in)

RN 154561-25-8 CAPLUS

CN Pyrrolidinium, 1-[1-pyrrolidinyl(1H-1,2,3-triazolo[4,5-b]pyridin-1-yloxy)methylene]-, hexafluorophosphate(1-) (9CI) (CA INDEX NAME)

CM 1

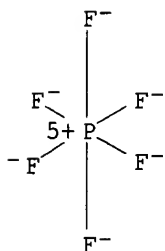
CRN 154561-24-7  
 CMF C14 H19 N6 O



CM 2

CRN 16919-18-9

CMF F6 P  
CCI CCS



L4 ANSWER 116 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1993:559993 CAPLUS  
DOCUMENT NUMBER: 119:159993  
TITLE: Marcfortine/paraherquamide derivatives useful as  
antiparasitic agents  
INVENTOR(S): Lee, Byung Hyun; Taylor, Renate N.; Whaley, Howard A.;  
Nelson, Stephen J.; Marshall, Vincent P.  
PATENT ASSIGNEE(S): Upjohn Co., USA  
SOURCE: PCT Int. Appl., 78 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310120	A1	19930527	WO 1992-US9483	19921113
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9331264	A1	19930615	AU 1993-31264	19921113
AU 681998	B2	19970918		
EP 613479	A1	19940907	EP 1992-925072	19921113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 07501693	T2	19950223	JP 1992-509308	19921113
RU 2107687	C1	19980327	RU 1994-26904	19921113
US 5776936	A	19980707	US 1994-256111	19940519
PRIORITY APPLN. INFO.:			US 1991-795457	A2 19911122
			US 1991-795900	A2 19911122
			US 1991-796948	A2 19911122
			US 1991-797736	A2 19911122
			WO 1992-US9483	A 19921113

OTHER SOURCE(S): MARPAT 119:159993

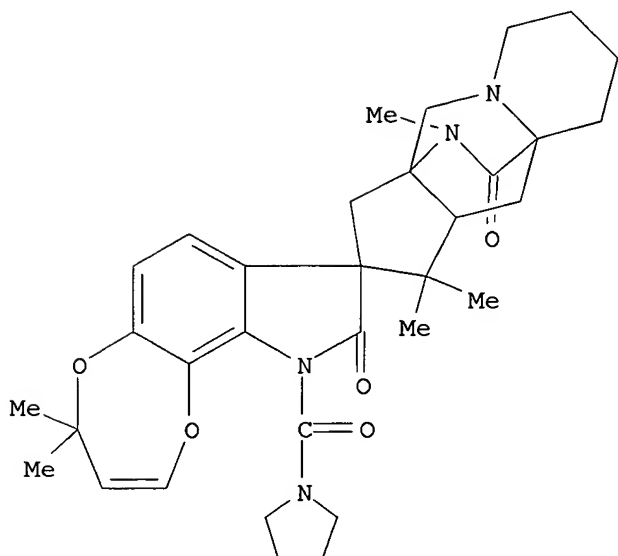
AB The title compds., for example, 18-thiomarcfortine A derivs.,  
18-thiomarcfortine C derivs., 18-thioparaherquamide derivs., and their  
uses as insecticides, for the prevention of helminth and arthropod  
infestations, and as nematocides are claimed. The title compds. were  
isolated from fermentation of Penicillium charlessi or Penicillium roqueforti.

IT **150014-91-8P**, 1-(Pyrrolidinylcarbonyl)marcfortine A  
**150015-37-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(preparation of, as parasiticide)

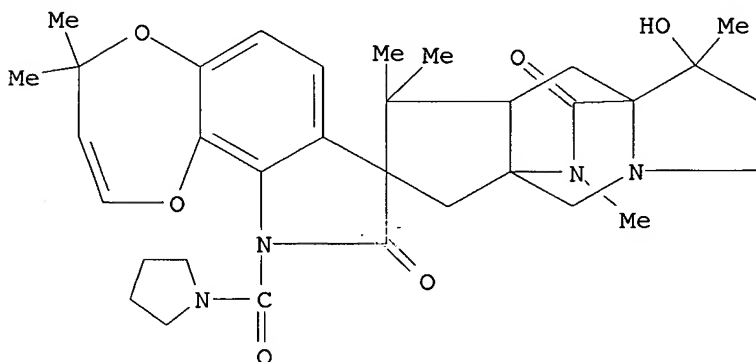
RN 150014-91-8 CAPLUS

CN Marcfortine A, 1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 150015-37-5 CAPLUS

CN Paraherquamide, 1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 117 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:495414 CAPLUS

DOCUMENT NUMBER: 119:95414

TITLE: Some reactions of fluorosulfonyldifluoroacetic acid with N-heterocyclic compounds

AUTHOR(S): Chen, Qingyun; Yang, Guoying; Wu, Shengwen

CORPORATE SOURCE: Shanghai Inst. Org. Chem., Chin. Acad. Sci., Shanghai, 200032, Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (1992), 10(4), 350-4

CODEN: CJOCEV; ISSN: 1001-604X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:95414

AB Difluorocarbene generated from the decomposition of fluorosulfonyldifluoroacetic acid (2) reacted with various sodium salts of N-heterocyclic compds. 1 giving the corresponding difluoromethylated products in acetonitrile at 10-40°. Benzotriazole (1a), benzimidazole (1b) and imidazole (1c) were converted into 1-(difluoromethyl)benzotriazole (3a), 1-(difluoromethyl)benzimidazole (3b)



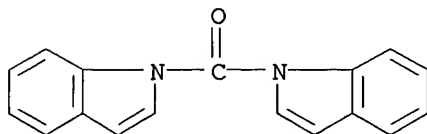
and 1-(difluoromethyl)imidazole (3c) resp. Indole (1d) reacted with 2 to give N-(fluorosulfonyldifluoroacetyl)indole rather than the expected difluoromethylated derivative

IT **65610-66-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 65610-66-4 CAPLUS

CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 118 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:473119 CAPLUS

DOCUMENT NUMBER: 119:73119

TITLE: Peptides with tachykinin antagonist activity

INVENTOR(S): Matsuo, Masaaki; Hagiwara, Daijiro; Miyake, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9222569	A1	19921223	WO 1992-JP780	19920618
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
EP 590152	A1	19940406	EP 1992-913210	19920618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 07503701	T2	19950420	JP 1992-500803	19920618
PRIORITY APPLN. INFO.:			GB 1991-13219	A 19910619
			WO 1992-JP780	W 19920618

OTHER SOURCE(S): MARPAT 119:73119

GI For diagram(s), see printed CA Issue.

AB Peptides I [R1 = alkyl, aryl, aralkyl, arylamino, pyridyl, pyrrolyl, pyrazolopyridyl, quinolyl, heterocyclic group II (X = CH, N; Z = O, S, NH); R2 = H or alkyl; R3 = H or suitable substituent; R4 = (un)substituted alkyl; R5 (un)substituted aralkyl or pyridylalkyl; R4R5 = benzene-condensed alkylene; A = amino acid residue; Y = bond, alkylene, alkenylene, alkylimino] were prepared as tachykinin antagonists. Thus, indole-3-carboxylic acid III was coupled with H-(2S,4R)-Pro(4-OH)-2-Nal(6-Cl)-N(CH2Ph)Me.HCl [2-Nal = 3(2-naphthyl)alanine] by EtN:C:N(CH2)3NMe2/1-hydroxybenzotriazole in the presence of Et3N in CH2Cl2 to give peptide derivative IV. The 3H-substance P receptor-binding activity of test compound V was determined

IT **148357-29-3P**

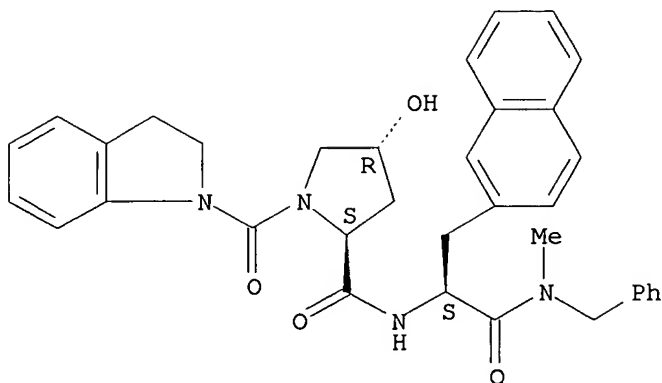
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as tachykinin antagonist)

RN 148357-29-3 CAPLUS

CN L-Alaninamide, 1-[(2,3-dihydro-1H-indol-1-yl)carbonyl]-trans-4-hydroxy-L-prolyl-N-methyl-3-(2-naphthalenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

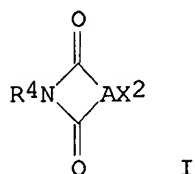
Absolute stereochemistry.



L4 ANSWER 119 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1993:124192 CAPLUS  
 DOCUMENT NUMBER: 118:124192  
 TITLE: Preparation of diaryl ethers using guanidinium salts as phase-transfer catalysts  
 INVENTOR(S): Brunelle, Daniel J.; Haitko, Deborah A.; Barren, James P.; Singh, Sunita  
 PATENT ASSIGNEE(S): General Electric Co., USA  
 SOURCE: U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 474,869, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5132423	A	19920721	US 1991-668560	19910313
PRIORITY APPLN. INFO.:			US 1990-474869	B2 19900205
			US 1990-626150	B2 19901212
OTHER SOURCE(S):			CASREACT 118:124192; MARPAT 118:124192	

GI



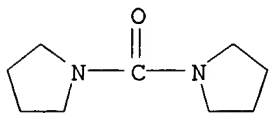
AB Etherification reactions between an alkali metal salt of a hydroxyarom. compound and, e.g., imides I (A = divalent aromatic radical; R<sub>4</sub> = H, Cl-13 hydrocarbyl; X<sub>2</sub> = halo, NO<sub>2</sub>) are conducted in a nonpolar organic solvent in the presence of e.g., R<sub>5</sub>R<sub>6</sub>N(R<sub>7</sub>R<sub>8</sub>)C+NR<sub>9</sub>R<sub>10</sub>X<sup>-</sup> (II; R<sub>5</sub> - R<sub>10</sub> = alkyl; NR<sub>5</sub>R<sub>6</sub>, NR<sub>8</sub>R<sub>7</sub> = heterocyclyl; X<sup>-</sup> = anion). Thus, bisphenol a di-Na salt was refluxed with 4-nitro-N-methylphthalimide in PhMe containing II (R<sub>5</sub>-R<sub>10</sub> = Et, X = Cl) to give 94.7% 2,2-bis[4-(3,4-dicarboxyphenoxy)phenyl]propane bis N-methylimide.

IT **81759-25-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of guanidinium salt phase-transfer catalysts)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 120 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:174747 CAPLUS

DOCUMENT NUMBER: 116:174747

TITLE: A new coupling reagent for peptide synthesis.

Benzotriazolyloxybis(pyrrolidino)carbonium  
hexafluorophosphate (BBC)

AUTHOR(S): Chen, Shaoqing; Xu, Jiecheng

CORPORATE SOURCE: Shanghai Inst. Org. Chem., Acad. Sin., Shanghai,  
200032, Peop. Rep. China

SOURCE: Tetrahedron Letters (1992), 33(5), 647-50

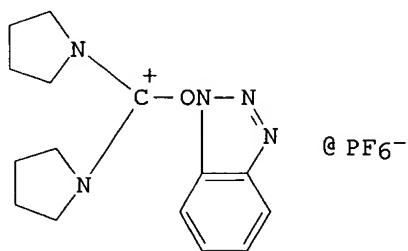
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

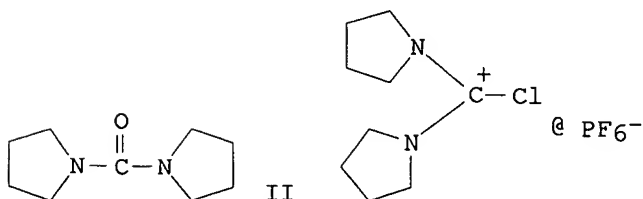
LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:174747

GI



I



II

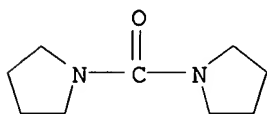
III

AB BBC (I) is a new excellent peptide coupling reagent devoid of cytotoxic byproduct instead of O-benzotriazolyl-N,N',N'-tetramethyluronium hexafluorophosphate (HBTU) and 1H-benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate (BOP). I was prepared by treating 1,1'-carbonyldipyrrolidine (II) with COCl<sub>2</sub> and KPF<sub>6</sub> and treating the resulting carbonium compound III with hydroxybenzotriazole in the presence of Et<sub>3</sub>N. I was applied to the synthesis of peptides by solution and solid-phase methods.

IT 81759-25-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with phosgene)

RN 81759-25-3 CAPLUS  
CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 121 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1992:174007 CAPLUS  
DOCUMENT NUMBER: 116:174007  
TITLE: Preparation of aryloxyuronium salts as coupling agents  
for peptide preparation  
INVENTOR(S): Von Baehr, Ruediger; Beyermann, Michael; Euthin, Elke;  
Pipkorn, Ruediger; Baehr, Ruediger Von  
PATENT ASSIGNEE(S): Humboldt-Universitaet zu Berlin, Germany  
SOURCE: Ger. (East), 7 pp.  
CODEN: GEXXA8  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 295376	A5	19911031	DD 1990-342442	19900702
DE 4122094	A1	19920220	DE 1991-4122094	19910701
PRIORITY APPLN. INFO.:			DD 1990-342442	A 19900702

OTHER SOURCE(S): MARPAT 116:174007

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; X = pyrid-2-one oxide, pentafluorophenoxy; Y = Cl, BF<sub>4</sub>, PF<sub>6</sub>, etc.; Z = (CH<sub>2</sub>)<sub>n</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>; n = 4-6), were prepared. Thus, 1,1,3,3-bis(pentamethylene)urea was treated with COCl<sub>2</sub> or (COCl)<sub>2</sub> to give the uronium chloride, which was treated with NaBF<sub>4</sub> and then 2-pyridone to give title compound II. II was used in solution and solid-phase peptide coupling; e.g., Z-Val-Gly-OEt was prepared in 91% yield.

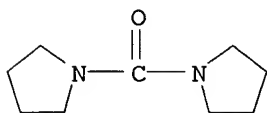
IT 81759-25-3

RL: PROC (Process)

(conversion of, to aryloxyuronium salt coupling agent)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



IT 140164-36-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as peptide coupling agent)

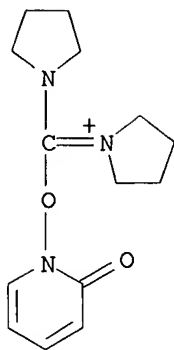
RN 140164-36-9 CAPLUS

CN Pyrrolidinium, 1-[[ (2-oxo-1(2H)-pyridinyl)oxy]-1-pyrrolidinylmethylene]-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 140164-35-8

CMF C14 H20 N3 O2

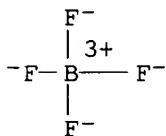


CM 2

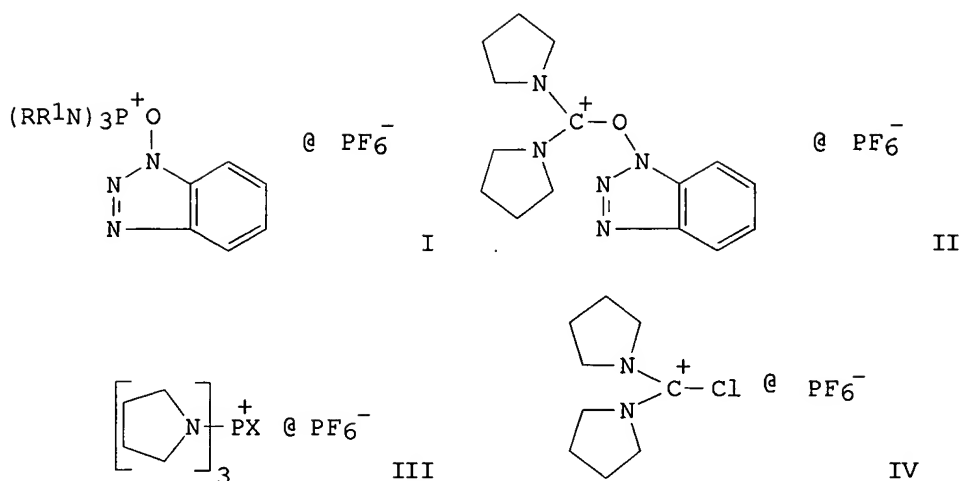
CRN 14874-70-5

CMF B F4

CCI CCS



L4 ANSWER 122 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1991:515069 CAPLUS  
 DOCUMENT NUMBER: 115:115069  
 TITLE: Oxybenzotriazole free peptide coupling reagents for  
 N-methylated amino acids  
 AUTHOR(S): Coste, Jacques; Frerot, Eric; Jouin, Patrick; Castro,  
 Bertrand  
 CORPORATE SOURCE: Cent. Pharmacol. Endocrinol., CNRS, Montpellier,  
 34094, Fr.  
 SOURCE: Tetrahedron Letters (1991), 32(17), 1967-70  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 115:115069  
 GI



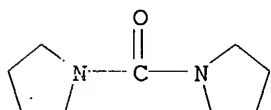
AB The main product of N-methylated amino acid coupling when oxybenzotriazole is present in the reagent, e.g. BOP (I, R = R1 = Me), PyBOP [I, RR1 = (CH2)4], HBPYU (II), or as an additive (DCC/1-hydroxybenzotriazole), is the weakly reactive benzotriazolyl ester. On the other hand, the corresponding new halogenated reagents PyBroP (III, X = Br), PyClO (III, X = Cl), and PyClV (IV) give very good results and can be recommended.

IT **81759-25-3**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with phosphoryl chloride)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 123 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:163978 CAPLUS

DOCUMENT NUMBER: 114:163978

TITLE: Preparation of azetidinedicarboxamides and azetidinedicarbothioamides as anticonvulsants and antiepileptics

INVENTOR(S): Taylor, Chandler R., Jr.; Cale, Albert D., Jr.; Stauffer, Harold F., Jr.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA

SOURCE: U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 706,621, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

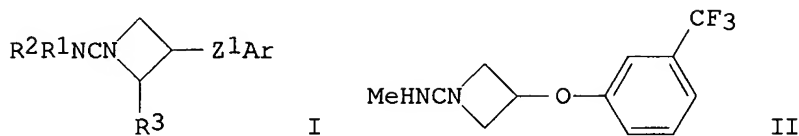
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4956359	A	19900911	US 1986-921466	19861022
ZA 8601210	A	19871028	ZA 1986-1210	19860218
AU 8653887	A1	19860904	AU 1986-53887	19860221

AU 599585	B2	19900726		
DK 8600900	A	19860829	DK 1986-900	19860227
DK 169267	B1	19940926		
JP 61210031	A2	19860918	JP 1986-43905	19860228
JP 06099306	B4	19941207		
PRIORITY APPLN. INFO.:			US 1985-706621	B2 19850228
OTHER SOURCE(S):	MARPAT 114:163978			
GI				



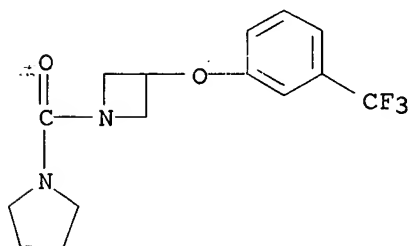
AB The title compds. I [Ar = (substituted) pyridyl, Ph; Z1 = O, S; Z2 = O, S; R1, R2 = H, alkyl, aryl, (substituted) allyl, etc.; or NR1R2 = heterocyclcyl; R3 = H, alkyl, aryl, arylalkyl] were prepared. A mixture of 3-[3-(trifluoromethyl)phenoxy]azetidine and methylisothiocyanate in CH2Cl2 was stirred for 16 h and let stand over the weekend to give azetidine II. Several I exhibited ED50 values of 5-30 mg/kg in anticonvulsant tests using mice and metrazole. Capsule and tablet formulations comprising I are given.

IT **132923-63-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as anticonvulsant)

RN 132923-63-8 CAPLUS

CN Pyrrolidine, 1-[[3-[3-(trifluoromethyl)phenoxy]-1-azetidiny]carbonyl]-  
(9CI) (CA INDEX NAME)



L4 ANSWER 124 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:611359 CAPLUS

DOCUMENT NUMBER: 113:211359

TITLE: Ortho amides. XLVI. Chemistry of  
bis(dialkylamino)malononitriles

AUTHOR(S): Kantlehner, Willi; Greiner, Ulrich

CORPORATE SOURCE: Inst. Org. Chem. Biochem. Isotopenforsch., Univ.  
Stuttgart, Stuttgart, D-7000/80, Germany

SOURCE: Liebigs Annalen der Chemie (1990), (10), 965-73  
CODEN: LACHDL; ISSN: 0170-2041

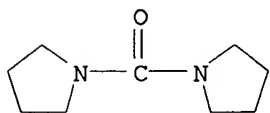
DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 113:211359

AB Treatment of [(R2N)2CCl]Cl with NaCN gave 64-89% (R2N)2C(CN)2 [R = Me (I), Et; R2N = 1-pyrrolidino, piperidino, morpholino]. I underwent condensation reactions with amines and activated H compds., substitution reaction with alkoxides, self-cyclization, etc.

IT 81759-25-3, 1,1'-Carbonyldipyrrolidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (quaternization of, with phosgene)  
 RN 81759-25-3 CAPLUS  
 CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

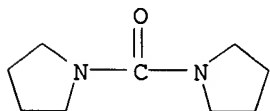


L4 ANSWER 125 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:562450 CAPLUS  
 DOCUMENT NUMBER: 113:162450  
 TITLE: Silver halide photographic material containing an urea derivative and an anionic surfactant to improve dispersity of emulsion to be coated  
 INVENTOR(S): Tachibana, Noriki; Nishizeki, Masahito; Kagawa, Nobuaki  
 PATENT ASSIGNEE(S): Konica Co., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02093639	A2	19900404	JP 1988-246790	19880930
PRIORITY APPLN. INFO.:			JP 1988-246790	19880930

AB The claimed photog. material contains in  $\geq 1$  of supported layer(s)  
 (1) a compound of the formula  $RR_1NC(:C)NR_2R_3$  (R,  $R_1$ -3 = C1-6 organic group; R and  $R_1$  and  $R_2$  and  $R_3$  may be combined to form rings) and (2) an anionic surface active agent. The combination of the two additives improves the dispersity of the emulsion, consequently improves the coating characteristics without any adverse effect on the photog. properties. Thus, N,N,N',N'-tetramethylurea, Na dodecylbenzenesulfonate, saponin and polyoxyethylene-nonylphenyl ether were added to a Ag(Br,I) emulsion (AgI 7 mol%, gelatin 7 mol%), then the composite was coated on a triacetyl cellulose film base to make a photog. film. It had the mentioned advantages. In another example, a combination of butylene-urea and di-octyl- $\beta$ -sulfosuccinate were applied successfully to emulsion layers in multilayer color neg. films which contain coupler dispersions.

IT 81759-25-3  
 RL: TEM (Technical or engineered material use); USES (Uses)  
 (photog. material containing, for good coating property and dispersing stability)  
 RN 81759-25-3 CAPLUS  
 CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 126 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN



ACCESSION NUMBER: 1990:552387 CAPLUS  
 DOCUMENT NUMBER: 113:152387  
 TITLE: CC-1065 analogs having two CPI subunits useful as antitumor agents and ultraviolet light absorbers  
 INVENTOR(S): Kelly, Robert C.; Aristoff, Paul A.  
 PATENT ASSIGNEE(S): Upjohn Co., USA  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 359454	A1	19900321	EP 1989-308920	19890904
EP 359454	B1	20001227		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9002746	A1	19900322	WO 1989-US3329	19890807
W: AU, DK, FI, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8941922	A1	19900402	AU 1989-41922	19890807
AU 632288	B2	19921224		
JP 04500664	T2	19920206	JP 1989-509236	19890807
JP 3380237	B2	20030224		
CA 1340215	A1	19981215	CA 1989-608908	19890821
AT 198335	E	20010115	AT 1989-308920	19890904
ES 2153814	T3	20010316	ES 1989-308920	19890904
KR 137959	B1	19980515	KR 1990-700977	19900511
DK 9100417	A	19910308	DK 1991-417	19910308
DK 175458	B1	20041101		
US 5541339	A	19960730	US 1991-659415	19910308
NO 9100958	A	19910510	NO 1991-958	19910311
NO 303498	B1	19980720		
FI 103668	B1	19990813	FI 1991-1193	19910311
GR 3035589	T3	20010629	GR 2001-400433	20010315
LV 12806	B	20020520	LV 2001-180	20011227
PRIORITY APPLN. INFO.:			US 1988-243350	A 19880912
			WO 1989-US3329	A 19890807

OTHER SOURCE(S): MARPAT 113:152387

GI For diagram(s), see printed CA Issue.

AB CPI1-R5-T-R6-CPI2 [CPI1, CPI2 = Q, Q1; W = alkyl, pH, H; C = N3, halo, cyanato, thiocyanato, isocyanato, thioisocyanato, P(O)(OR)2, etc.; Y = C(O)R, C(S)R, C(O)OE1, C(O)NR2R3, etc.; Z = alkyl, alkenyl, alkynyl, (substituted) Ph, etc.; R1 = alkyl, (substituted) phenyl; R2, R3 = H, alkyl, (substituted) Ph, etc.; T = NHCO, CONH, C(O)O, OC(O), etc.; R5, R6 = bond, acyl etc.], useful as antitumors and UV absorbers in textile industry, were prepared Indole derivative I (R7 = OH) (preparation given) was condensed with benzodipyrrole derivative Q2CO2CMe3 to give I (R7 = Q2). This at 15 µg/kg i.v. effected 60% cure (surviving 30 days) in mice transplanted with L 1210 leukemia cells.

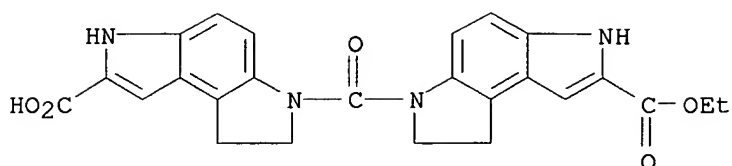
IT 129655-54-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antitumors and UV absorbers)

RN 129655-54-5 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-2-carboxylic acid, 6-[(2-carboxy-4,5-dihydrobenzo[1,2-b:4,3-b']dipyrrol-6-yl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT **129655-36-3P**

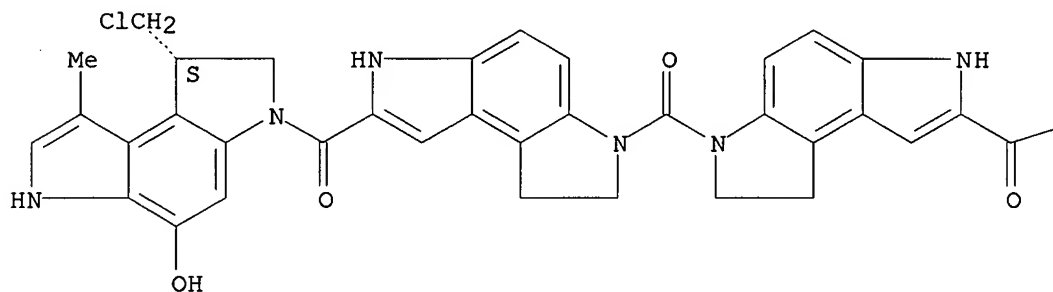
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antitumor and UV absorber)

RN 129655-36-3 CAPLUS

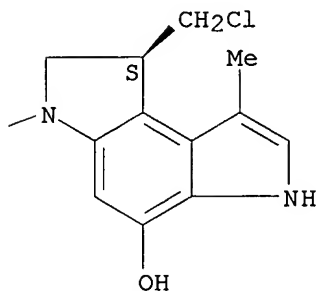
CN Benzo[1,2-b:4,3-b']dipyrrol-4-ol, 6,6'-[carbonylbis[(7,8-dihydrobenzo[1,2-b:4,3-b']dipyrrole-6,2(3H)-diyl)carbonyl]]bis[8-(chloromethyl)-3,6,7,8-tetrahydro-1-methyl-, [S-(R\*,R\*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

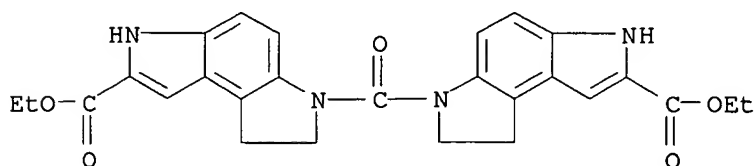


IT **129655-39-6**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of antitumors and UV absorbers)

RN 129655-39-6 CAPLUS

CN Benzo[1,2-b:4,3-b']dipyrrole-2-carboxylic acid, 6,6'-carbonylbis[3,6,7,8-tetrahydro-, diethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 127 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:531438 CAPLUS

DOCUMENT NUMBER: 113:131438

TITLE: The origin of color and EPR spectral phenomena during the reaction between acetone and the tetrabutylammonium tetramethylsuccinimide/N-bromotetramethylsuccinimide complex

AUTHOR(S): Eberson, Lennart; Kubacek, Pavel

CORPORATE SOURCE: Chem. Cent., Univ. Lund, Lund, S-221 00, Swed.

SOURCE: Acta Chemica Scandinavica (1990), 44(4), 384-93

CODEN: ACHSE7; ISSN: 0904-213X

DOCUMENT TYPE: Journal

LANGUAGE: English

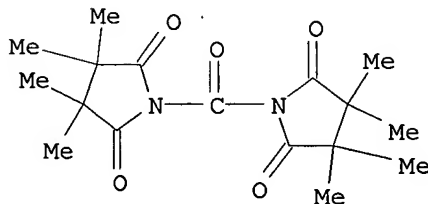
AB The reaction between the tetrabutylammonium tetramethylsuccinimide/N-bromo-tetramethylsuccinimide complex (the T complex) and acetone produces a transient purple color and an EPR signal (a quintet). These phenomena accompany the main reaction, which consists of successive brominations/tetramethylsuccinimido substitutions of acetone. With two of the consecutively formed products, tetramethylsuccinimidoacetone and 1,3-bis(tetramethylsuccinimido)acetone, the same phenomena appear with successively increasing intensity and also earlier during the reaction course. It is suggested that the purple color originates in the monobromination of 1,3-bis(tetramethylsuccinimido)acetone, followed by proton abstraction and bromide loss to give the 1,3-bis(tetramethylsuccinimido)-2-oxidoalyl species. This oxyallyl derivative is a true zwitterionic chromogen, analog of which are known to display similar color. The EPR signal was shown to originate from a related oxyallylic species, 1,3-dibromo-1,3-bis(tetramethylsuccinimido)-2-oxidoalyl radical cation, a representative of a novel class of intermediate, ylids. The same signal could be produced by treating either 1,1,3,3-tetrabromoacetone or pentabromoacetone with the T complex. A related ylidion, the radical cation of 2,3-bis(N,N-diethylamino)cyclopropanone, was generated as a model. Suitable six-carbon 1,4-diketones, such as hexane-2,5-dione or cyclohexane-1,4-dione, upon treatment with the T complex gave solids containing high concns. of the radical anion of tetrakis(tetramethylsuccinimido)-1,4-benzoquinone.

IT 129277-86-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, warning, very poisonous compound)

RN 129277-86-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1,1'-carbonylbis[3,3,4,4-tetramethyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 128 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:198399 CAPLUS

DOCUMENT NUMBER: 112:198399

TITLE: Preparation of N-acyl cyclic imine derivatives from amides and dihalo-substituted compounds

INVENTOR(S): Ito, Hiroshi; Nakagawa, Toshimi; Nitta, Atsuhiko

PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01287078	A2	19891117	JP 1988-311986	19881212
JP 04008425	B4	19920217		

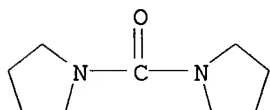
PRIORITY APPLN. INFO.: JP 1988-311986 19881212

AB The title compds., useful as intermediates for adhesives, coating materials, plastic additives, agrochems., drugs, amino acids, natural products, etc., as prepared by contacting simultaneously a strong base, on amide, and a dihalo-substituted compound in an aprotic polar solvent, wherein the reaction initially starts under a suspension of the strong base and with a H2O content ≤5 weight% in the reaction system. Thus, a mixture of 14 g CH2:CHCOMH2, KOH, and 38 g Cl(CH2)4Cl in Me2SO was stirred 4 h at 40° to give, after distillation at 106-108° and 10 mmHg, 19 g N-acryloylpyrrolidine. Also prepared were N-acryloylmorpholine, N,N'-carbonyldipiperidine, N-acryloylpiperidine, etc.

IT **81759-25-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4: ANSWER 129 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:54132 CAPLUS

DOCUMENT NUMBER: 110:54132

TITLE: Functionalization of particulate bonded phase chromatographic supports prepared by silanization of silica gel or controlled pore glass and containing pendant primary alkyl amine groups

INVENTOR(S): Stolowitz, Mark L.; Taketomo, Amy Gwyn

PATENT ASSIGNEE(S): Analytichem International, Inc., USA

SOURCE: PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

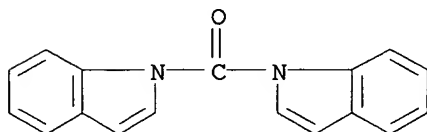
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8706586	A1	19871105	WO 1987-US901	19870421
W: JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4837348	A	19890606	US 1986-859148	19860430
EP 265495	A1	19880504	EP 1987-903147	19870421
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				

PRIORITY APPLN. INFO.: US 1986-859148 A 19860430

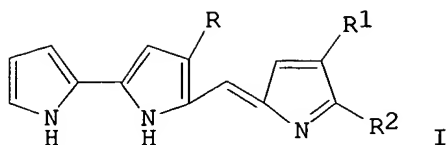
AB Functionalization results from the activation of the amines by reaction with N,N'-carbonyldiimidazole (CDI), or a related azolide, in anhydrous organic

solvent, followed by derivatization of the support. Derivatization results from reaction of the activated support with a functionalizing reagent consisting of a primary or secondary, alkyl or arylamine in an organic solvent, or from an aqueous solution of the amine or its salt. A urea linkage results through which the functionalizing reagent is covalently attached to the support. Derivatization can result from addition of an excess of a single reagent, or as a consequence of the sequential addition of  $\geq 2$  functionalizing reagents. Chromatog. support preparation in this manner yields materials suitable for affinity, covalent, ion-exchange, and hydrophobic interaction chromatog. of biomols. as well as for the preparation of immobilized reagents. The residual silanol activity associated with the particulate silica or controlled pore glass substrate is effectively masked by application of a hydrophilic barrier. This eliminates the irreversible adsorption of biol. macromols. and low mol. weight amines observed with bonded phase supports which are not further derivatized. The effective hydrophilic barrier results in masking residual silanol activity and the hydrophobic nature of the silane backbone. Aminopropyl silica gel was activated with CDI and triethylamine in  $\text{CH}_2\text{Cl}_2$  and the activated gel was filtered and washed and treated with glycine in 0.1 N  $\text{NaCO}_3$  buffer to give N-carboxymethyl-N'-propylsilylurea silica for preparative ion exchange chromatog.

IT **65610-66-4D**, reaction products with aminopropyl silica gel  
 RL: ANST (Analytical study)  
 (in functionalization of particulate bonded phase chromatog. supports prepared by silanization)  
 RN 65610-66-4 CAPLUS  
 CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 130 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1988:150117 CAPLUS  
 DOCUMENT NUMBER: 108:150117  
 TITLE: Total synthesis of prodigiosin, prodigiosene, and desmethoxyprodigiosin: Diels-Alder reactions of heterocyclic azadienes and development of an effective palladium(II)-promoted 2,2'-bipyrrrole coupling procedure  
 AUTHOR(S): Boger, Dale L.; Patel, Mona  
 CORPORATE SOURCE: Dep. Chem., Purdue Univ., West Lafayette, IN, 47907, USA  
 SOURCE: Journal of Organic Chemistry (1988), 53(7), 1405-15  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 108:150117  
 GI



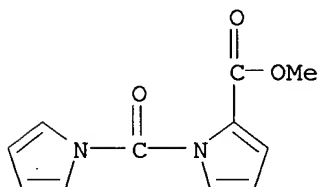
AB Prodigiosin (I, R = OMe, R1 = pentyl, R2 = Me) was prepared via an inverse electron demand Diels-Alder reaction of di-Me 1,2,4,5-tetrazine-3,6-dicarboxylate in a 1,2,4,5-tetrazine to 1,2-diazine to pyrrole strategy for preparation of ring B and an intramol. Pd(II)-promoted 2,2'-diaryl coupling for construction of the AB ring system. In situ generated activated ester derivs. of pyrrole-1-carboxylic acid or pyrrole-1-carboxylic acid anhydride gave mixed 1,1'-carbonyldipyrrole compds. for use in the Pd(II)-promoted mixed 2,2'-bipyrrole coupling. This approach was extended to the preparation of prodigiosene (I, R-R2 = H) and 2-methyl-3-pentylprodigiosene desmethoxyprodigiosin (I, R = H, R1 = pentyl, R2 = Me). Prodigiosin had a ED of  $1.2 + 10^{-6}$   $\mu\text{g/mL}$  against 9PS (P388) mouse leukemia which may be attributed to the presence of the methoxy substituent.

IT 107962-26-5P 112373-18-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and ring closure of)

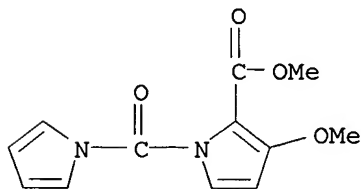
RN 107962-26-5 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-(1H-pyrrol-1-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 112373-18-9 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 3-methoxy-1-(1H-pyrrol-1-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

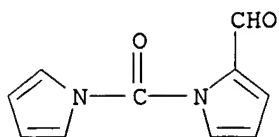


IT 107962-25-4P

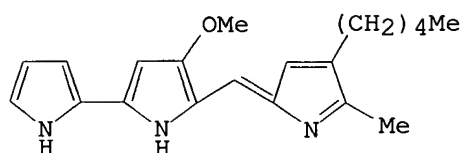
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 107962-25-4 CAPLUS

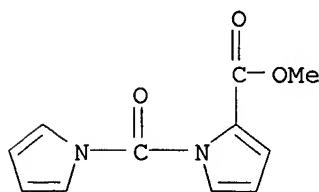
CN 1H-Pyrrole-2-carboxaldehyde, 1-(1H-pyrrol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



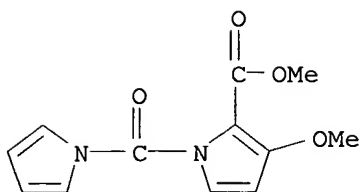
L4 ANSWER 131 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1988:75098 CAPLUS  
 DOCUMENT NUMBER: 108:75098  
 TITLE: Total synthesis of prodigiosin  
 AUTHOR(S): Boger, Dale L.; Patel, Mona  
 CORPORATE SOURCE: Dep. Chem., Purdue Univ., West Lafayette, IN, 47907, USA  
 SOURCE: Tetrahedron Letters (1987), 28(22), 2499-502  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 108:75098  
 GI



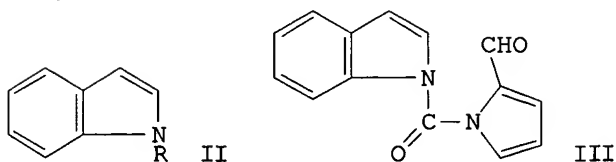
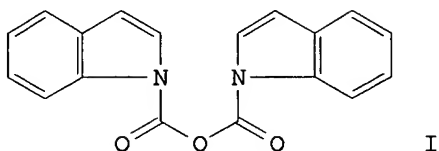
AB The total synthesis of prodigiosin (I) is detailed. The approach is based on an inverse-electron-demand Diels-Alder reaction of di-Me 1,2,4,5-tetrazine-3,6-dicarboxylate in a 1,2,4,5-tetrazine → 1,2-diazine → pyrrole strategy for preparation of ring B and the subsequent implementation of an intramol. palladium(II)-promoted 2,2'-diaryl (2,2'-bipyrrole) coupling for construction of the 2,2'-bipyrrole AB ring system.  
 IT **107962-26-5P 112373-18-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of)  
 RN 107962-26-5 CAPLUS  
 CN 1H-Pyrrole-2-carboxylic acid, 1-(1H-pyrrol-1-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 112373-18-9 CAPLUS  
 CN 1H-Pyrrole-2-carboxylic acid, 3-methoxy-1-(1H-pyrrol-1-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 132 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1987:598001 CAPLUS  
 DOCUMENT NUMBER: 107:198001  
 TITLE: Indole N-carbonyl compounds: preparation and coupling of indole-1-carboxylic acid anhydride  
 AUTHOR(S): Boger, Dale L.; Patel, Mona  
 CORPORATE SOURCE: Dep. Chem. Med. Chem., Purdue Univ., West Lafayette, IN, 47907, USA  
 SOURCE: Journal of Organic Chemistry (1987), 52(17), 3934-6  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:198001  
 GI



AB The title compound (I) was prepared by treating indole (II, R = H) with BuLi and then CO<sub>2</sub> to give II (R = CO<sub>2</sub>H), which was treated with Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>N:C:NEt·HCl to give 86% I. A number of N and O nucleophiles were acylated with I to give ureas and carbamates. Thus, PhOH was treated with NaH and then I in THF to give 93% II (R = CO<sub>2</sub>Ph). Similarly, the sodium salt of 2-formylpyrrole reacted with I to give 89% the formylpyrrolecarbonyl indole III.

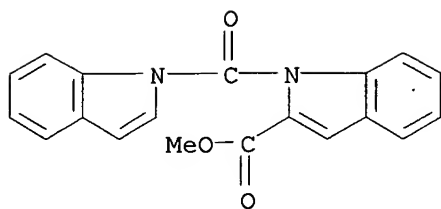
IT **109242-00-4P 109242-01-5P 109242-02-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

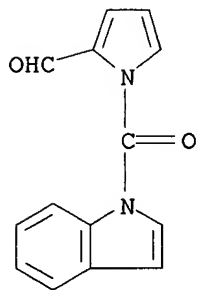
RN 109242-00-4 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-(1H-indol-1-ylcarbonyl)-, methyl ester  
 (9CI) (CA INDEX NAME)

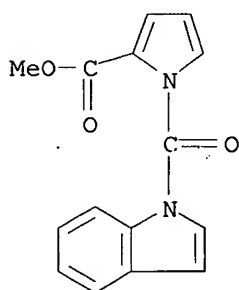




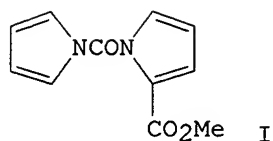
RN 109242-01-5 CAPLUS  
 CN 1H-Indole, 1-[(2-formyl-1H-pyrrol-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 109242-02-6 CAPLUS  
 CN 1H-Pyrrole-2-carboxylic acid, 1-(1H-indol-1-ylcarbonyl)-, methyl ester  
 (9CI) (CA INDEX NAME)



L4 ANSWER 133 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1987:423194 CAPLUS  
 DOCUMENT NUMBER: 107:23194  
 TITLE: Activation and coupling of pyrrole-1-carboxylic acid  
 in the formation of pyrrole N-carbonyl compounds:  
 pyrrole-1-carboxylic acid anhydride  
 AUTHOR(S): Boger, Dale L.; Patel, Mona  
 CORPORATE SOURCE: Dep. Chem. Med. Chem., Purdue Univ., West Lafayette,  
 IN, 47907, USA  
 SOURCE: Journal of Organic Chemistry (1987), 52(11), 2319-23  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:23194  
 GI



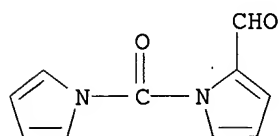
AB Methods for the activation and coupling of pyrrole-1-carboxylic acid, including the preparation and use of pyrrole-1-carboxylic acid anhydride, leading to the preparation of pyrrole N-carbonyl compds. are detailed. The preparation of mixed, electron-deficient 1,1'-carbonyldipyrrole compds., suitable for incorporation into the total synthesis of the prodigiosenes, is described. Thus, 1-pyrrolecarboxylic was treated with ClCOCOCl and the resulting acid chloride treated with the Na salt of Me 2-pyrrolecarboxylate to give the carbonyldipyrrole I.

IT **107962-25-4P 107962-26-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

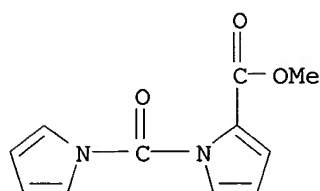
RN 107962-25-4 CAPLUS

CN 1H-Pyrrole-2-carboxaldehyde, 1-(1H-pyrrol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 107962-26-5 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-(1H-pyrrol-1-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 134 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:135238 CAPLUS

DOCUMENT NUMBER: 106:135238

TITLE: The structure of convosine

AUTHOR(S): Aripova, S. F.; Yunusov, S. Yu.

CORPORATE SOURCE: Inst. Khim. Rastit. Veshchestv, Tashkent, USSR

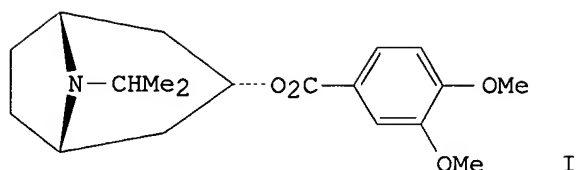
SOURCE: Khimiya Prirodnikh Soedinenii (1986), (5), 618-20

CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



AB Seven known alkaloids (convolvine, convolamine, phyllalbine, convolidine, confoline, convoline, and subhirsine) and the new tropane alkaloid convosine (I) were isolated from roots of *Convolvulus subhirsutum*. The structure of I was determined from spectral data (IR, NMR, mass), by comparison with other alkaloids of the same series, and by synthesis from convolvine.

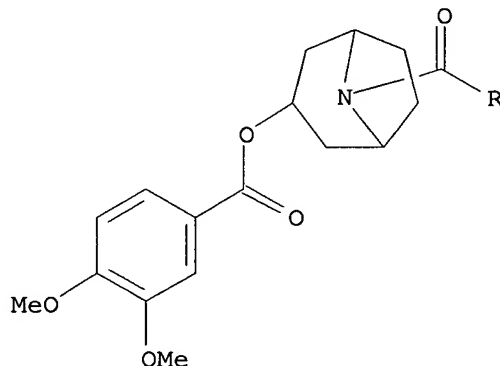
IT 85412-77-7, Subhirsine

RL: BIOL (Biological study)  
(from *Convolvulus subhirsutum*)

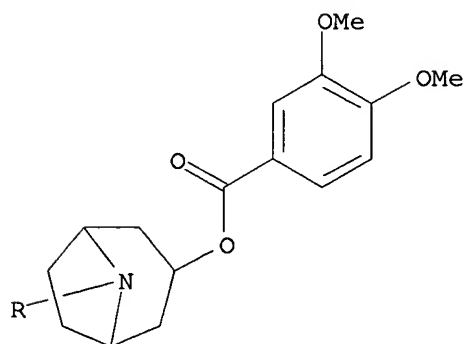
RN 85412-77-7 CAPLUS

CN Benzoic acid, 3,4-dimethoxy-, carbonylbis(8-azabicyclo[3.2.1]octane-8,3-diyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



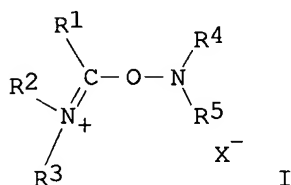
PAGE 2-A



L4 ANSWER 135 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1987:11139 CAPLUS  
 DOCUMENT NUMBER: 106:11139  
 TITLE: Photographic gelatin hardening method  
 INVENTOR(S): Okamura, Hisashi; Kawamoto, Hiroyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61100743	A2	19860519	JP 1984-223457	19841024
JP 05008814	B4	19930203		
US 4612280	A	19860916	US 1985-789810	19851021
PRIORITY APPLN. INFO.: GI			JP 1984-223457	A 19841024



AB Photog. gelatins are hardened by using  $\geq 1$  compound of the formula I  
 (R1 = H, alkyl, aralkyl, aryl, alkenyl, OR6, NR7R8, N:CR9R10, SR11; R2, R3  
 = alkyl, aryl, alkenyl; R4, R5 = alkyl, aralkyl, aryl, alkenyl, R12CO,  
 R13SO2, R14N:N; R1R2, R2R3, and/or R4R5 combinations may complete a ring;  
 NR4R5 group may be replaced by N:CR15R16 group; R6-R11 = alkyl, aralkyl,  
 aryl, alkenyl; R9, R10 may be H; R8R8 or R9R10 combination may form a  
 ring; R12-R16 = alkyl, aralkyl, aryl, alkenyl; R15, R16 may be H; R15R16  
 combination may form a ring; X- = anion).

IT 105832-36-8

RL: TEM (Technical or engineered material use); USES (Uses)  
 (photog. hardening agent)

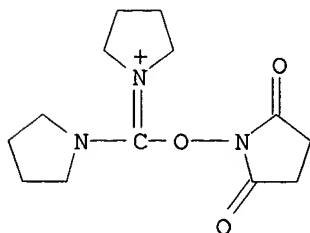
RN 105832-36-8 CAPLUS

CN Pyrrolidinium, 1-[[ (2,5-dioxo-1-pyrrolidinyl)oxy]-1-pyrrolidinylmethylene]-  
 , tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 105832-35-7

CMF C13 H20 N3 O3

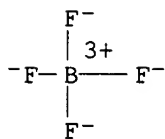


CM 2

CRN 14874-70-5

CMF B F4

CCI CCS

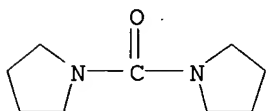


IT 81759-25-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, photog. hardening agent from)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 136 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:4794 CAPLUS

DOCUMENT NUMBER: 106:4794

TITLE: The synthesis and protonation of 1,1-di(1-pyrrolyl)alkenes

AUTHOR(S): Schaerer, Jean Claude; Etienne, Robert; Burger, Ulrich

CORPORATE SOURCE: Dep. Org. Chem., Univ. Geneva, Geneva, CH-1211, Switz.

SOURCE: Helvetica Chimica Acta (1985), 68(8), 2282-6

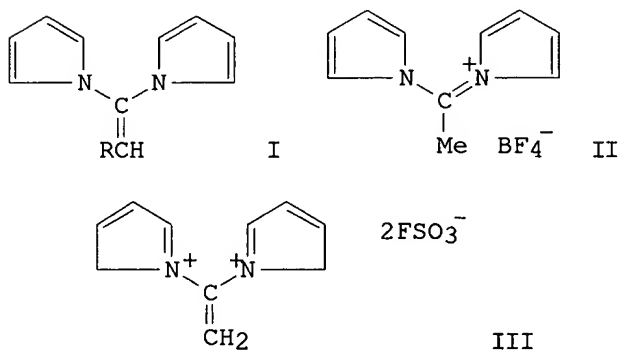
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:4794

GI

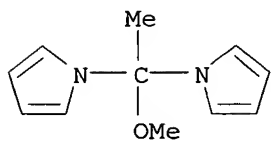


AB Lithiation of di(1-pyrrolyl)methane in THF followed by reaction with RCHO (R = H, Me) and dehydration of the resulting alkanols gave title compds. I (R = H, Me). Protonation of I (R = H) in HBF<sub>4</sub>.Me<sub>2</sub>O gave azoniafulvene type ion II, whereas in FSO<sub>3</sub>H the sym. dication III is formed.

IT 105566-38-9P

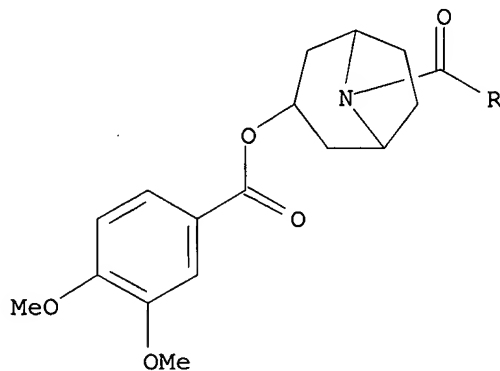
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

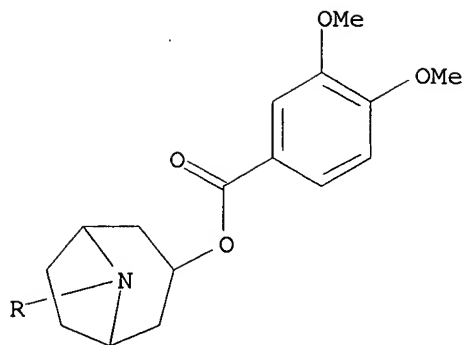
RN 105566-38-9 CAPLUS  
CN 1H-Pyrrole, 1,1'-(1-methoxyethylidene)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 137 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1986:424479 CAPLUS  
DOCUMENT NUMBER: 105:24479  
TITLE: NMR studies of alkaloids. IX. Carbon-13 NMR spectra and stereochemistry of convolvine, convolamine, convoline, convolidine, subhirsine, and 6-hydroxyhyoscyamine  
AUTHOR(S): Yagudaev, M. R.; Aripova, S. F.  
CORPORATE SOURCE: Inst. Khim. Rastit. Veshchestv, Tashkent, USSR  
SOURCE: Khimiya Prirodnikh Soedinenii (1986), (1), 80-4  
CODEN: KPSUAR; ISSN: 0023-1150  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB An investigation of the <sup>13</sup>C NMR spectra of the tropane alkaloids convolvine, convolamine, convoline, convolidine, subhirsine, and 6-hydroxyhyoscyamine was conducted. The N-CH<sub>3</sub> group in convolamine and N-OH in convoline were established to be in an equatorial configuration. The N-CH<sub>3</sub> group in 6-hydroxyhyoscyamine was positioned axially.  
IT **85412-77-7**  
RL: PRP (Properties)  
(carbon-13 NMR of)  
RN 85412-77-7 CAPLUS  
CN Benzoic acid, 3,4-dimethoxy-, carbonylbis(8-azabicyclo[3.2.1]octane-8,3-diyl) ester (9CI) (CA INDEX NAME)

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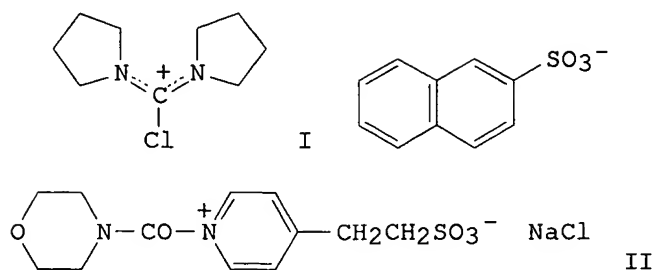




L4 ANSWER 138 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1986:159540 CAPLUS  
 DOCUMENT NUMBER: 104:159540  
 TITLE: Hardening method for gelatin  
 INVENTOR(S): Okamura, Hisashi; Kawamoto, Hiroshi; Shiraishi, Hisashi  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd. , Japan  
 SOURCE: Eur. Pat. Appl., 62 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 162308	A2	19851127	EP 1985-104867	19850422
EP 162308	A3	19880831		
EP 162308	B1	19900801		
R: DE, GB				
JP 60225148	A2	19851109	JP 1984-82215	19840423
JP 05040299	B4	19930617		
US 4673632	A	19870616	US 1985-726441	19850423
PRIORITY APPLN. INFO.:			JP 1984-82215	A 19840423

GI



AB A hardening agent which has a high H<sub>2</sub>O solubility and can be added to an aqueous

photog. emulsion without an aid of an organic solvents has a formula  
 $\text{NRR1C+XNR2R3 Y-}$  (R-R<sub>3</sub> = alkyl, alkenyl R, R<sub>1</sub> and R<sub>2</sub>, R<sub>3</sub> may combine to  
 form a ring or  $\geq 3$  of R-R<sub>3</sub> can combine with each other to form a  
 condensed ring; X = a group which is released during reaction with a  
 nucleophilic reagent; Y<sup>-</sup> = an anion which can combine with any of X or

R-R3 (or when  $\geq 2$  of R-R3 combine with each other to form a ring, Y-may bond with a ring) to form an intramol. salt. Thus, a cellulose triacetate support was coated with a composition containing aqueous 7% gelatin and I 20

mmol/100 g of gelatin to give 8  $\mu\text{m}$  (dry) thickness, and kept for 2 h at 50% relative humidity to show a crosslinking coefficient  $\delta$  [(the crosslinked unit number)/(weight mean mol. weight of gelatin before crosslinking)]

of 6.6 vs. 1.2 for a control with a comparison compound II. After 7 days  $\delta$  equaled 7 vs. 6.4 for a control.

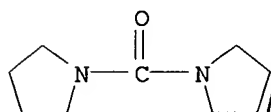
IT 81759-25-3

RL: USES (Uses)

(reaction with oxalyl chloride, in preparation of hardening agent for photog. gelatin)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 139 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:140908 CAPLUS

DOCUMENT NUMBER: 104:140908

TITLE: Synthesis of macrocyclic nickel(II) complexes containing the 2,2'-biindolyl moiety

AUTHOR(S): Black, David St C.; Kumar, Naresh; Wong, Laurence C. H.

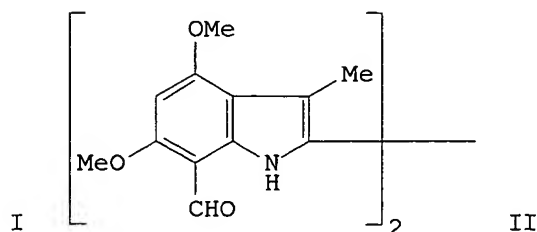
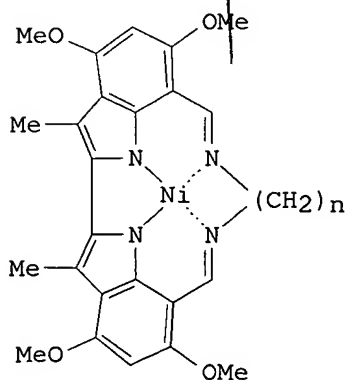
CORPORATE SOURCE: Sch. Chem., Univ. New South Wales, Kensington, 2033, Australia

SOURCE: Journal of the Chemical Society, Chemical Communications (1985), (17), 1174-5  
CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

LANGUAGE: English

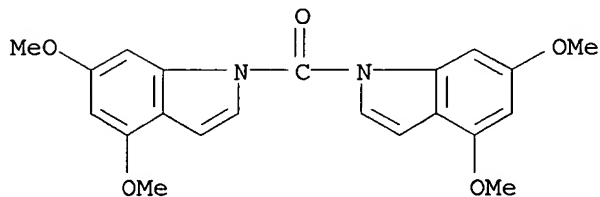
GI



AB I ( $n = 2, 3$ ) were prepared from the biindole II by treatment with  $\text{H}_2\text{N}(\text{CH}_2)_n\text{NH}_2$ ,  $\text{Ni}(\text{OAc})_2$ , and  $\text{Et}_3\text{N}$ . II was prepared from 4,6-dimethoxyindole in 8 steps via 4,4',6,6'-tetramethoxy-2,2'-biindolyl.



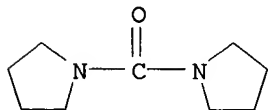
IT 100997-62-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative coupling of)  
RN 100997-62-4 CAPLUS  
CN 1H-Indole, 1,1'-carbonylbis[4,6-dimethoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 140 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1986:58816/ CAPLUS  
DOCUMENT NUMBER: 104:58816/  
TITLE: Electronic structure and conformational properties of  
the amide linkage. Part 4. Photoelectron spectra,  
electronic structure and conformation of  
tetramethylurea and cyclic carbonylbisamines  
AUTHOR(S): Treschanke, L.; Rademacher, P.  
CORPORATE SOURCE: Inst. Org. Chem., Univ. Essen, Essen, D-4300, Fed.  
Rep. Ger.  
SOURCE: Journal of Molecular Structure (1985), 131(1-2), 61-70  
CODEN: JMOSB4; ISSN: 0022-2860  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Photoelectron spectra of tetramethylurea (I) and the cyclic  
carbonylbisamines were obtained. Based on band shape analyses and MNDO  
calcs., the ionization potentials were assigned to MOs. The sequence of  
the 3 highest occupied orbitals was found to be:  $\pi N^+$  (HOMO,  $\pi N^-$  and  
nO. The spectra of I and carbonylbispiperidine indicate significant reduction  
of amide resonance due to torsion of the functional group. This is  
clearly indicated by the absolute values and the energy differences of the 1st  
3 ionization potentials, the best indicator being IP(nO). For  
carbonylbispyrrolidine, a torsion of 1 amine ring of .apprx.90° was  
calculated, but only small torsions are in accord with the photoelectron  
spectrum. Carbonylbisaziridine and carbonylbisazetidines show no  
restriction of amide resonance.

IT 81759-25-3  
RL: PRP (Properties)  
(photoelectron spectrum and conformational properties of amide linkage  
in relation to)  
RN 81759-25-3 CAPLUS  
CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 141 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1985:541737 CAPLUS  
DOCUMENT NUMBER: 103:141737  
TITLE: Azetidines

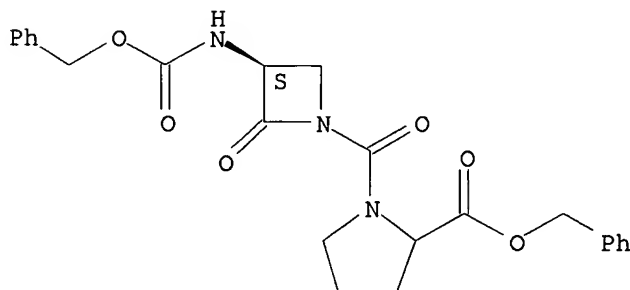
US Pat 4,576,749

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrogenolysis of)

RN 98265-51-1 CAPLUS

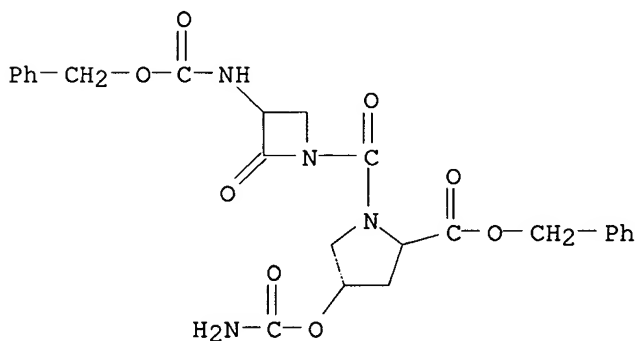
CN Proline, 1-[[ (3S)-2-oxo-3-[[ (phenylmethoxy) carbonyl] amino]-1-azetidiny] carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 98303-21-0 CAPLUS

CN L-Proline, 4-[(aminocarbonyl)oxy]-1-[[2-oxo-3-[[ (phenylmethoxy) carbonyl] amino]-1-azetidiny] carbonyl]-, phenylmethyl ester, [1(R\*),2α,4β]- (9CI) (CA INDEX NAME)

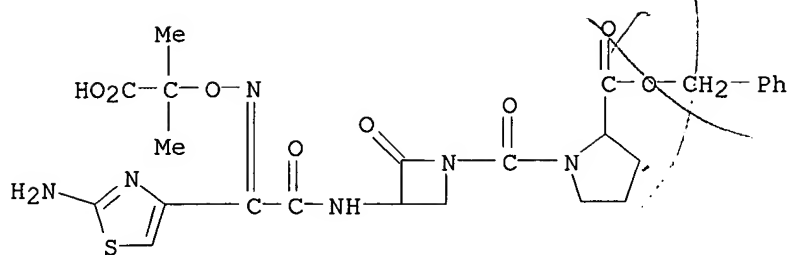


IT 98265-63-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and hydrolysis of)

RN 98265-63-5 CAPLUS

CN L-Proline, 1-[[3-[[ (2-amino-4-thiazolyl) [(1-carboxy-1-methylethoxy) imino] acetyl] amino]-2-oxo-1-azetidiny] carbonyl]-, 2-(phenylmethyl) ester, monopotassium salt, [S-(Z)]- (9CI) (CA INDEX NAME)



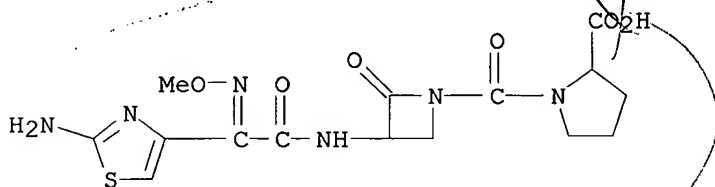
● K

IT 98265-54-4P 98265-64-6P 98265-66-8P  
 98265-68-0P 98265-70-4P 98303-16-3P  
 98303-17-4P 98303-19-6P 98303-22-1P  
 98303-23-2P 98303-24-3P 98391-69-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 98265-54-4 CAPLUS

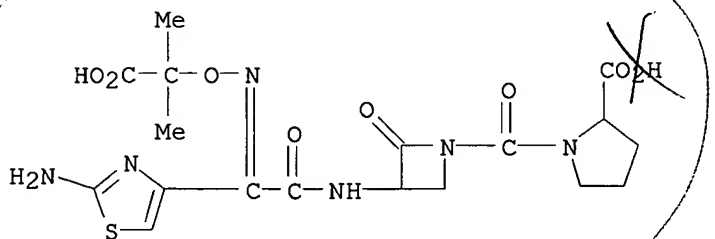
CN Proline, 1-[[3-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-, monopotassium salt, [S-(Z)]- (9CI) (CA INDEX NAME)



● K

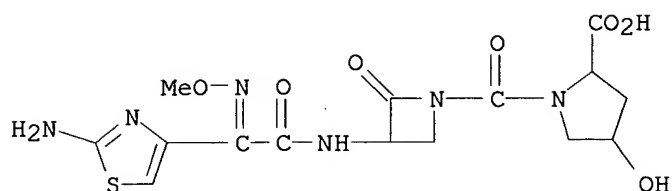
RN 98265-64-6 CAPLUS

CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-, [S-(Z)]- (9CI) (CA INDEX NAME)



RN 98265-66-8 CAPLUS

CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-4-hydroxy-, monopotassium salt, [1[R\*(Z)],2α,4β]- (9CI) (CA INDEX NAME)

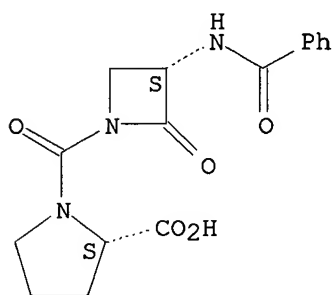


● K

RN 98265-68-0 CAPLUS

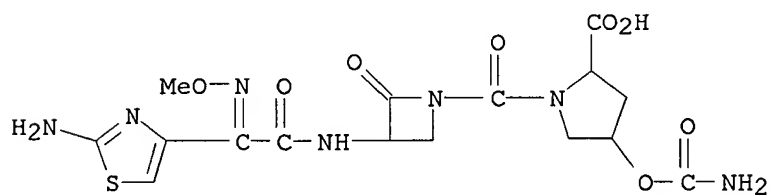
CN L-Proline, 1-[[3-(benzoylamino)-2-oxo-1-azetidinyl]carbonyl]-, (S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 98265-70-4 CAPLUS

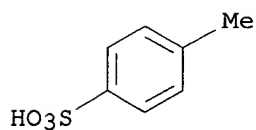
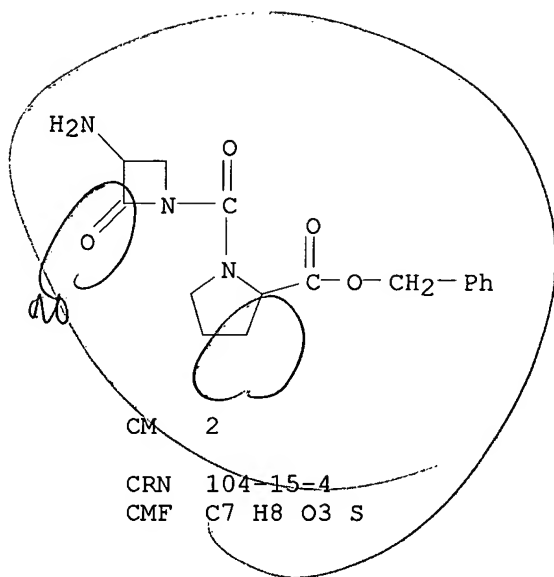
CN L-Proline, 4-[(aminocarbonyl)oxy]-1-[[3-[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidinyl]carbonyl]-, monopotassium salt, [1[R\*(Z)],2 $\alpha$ ,4 $\alpha$ ]- (9CI) (CA INDEX NAME)



● K

RN 98303-16-3 CAPLUS

CN D-Proline, 1-[[3-[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidinyl]carbonyl]-, monopotassium salt, [S-(Z)]- (9CI) (CA INDEX NAME)



RN 98266-12-7 CAPLUS

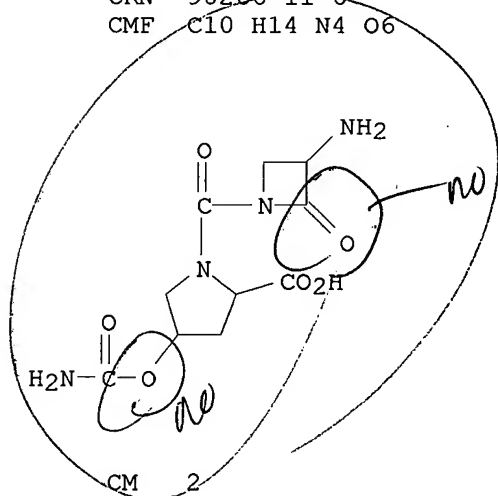
CN L-Proline, 4-[(aminocarbonyl)oxy]-1-[(3-amino-2-oxo-1-azetidiny)carbonyl]-, [1(R\*),2α,4β]-, mono(4-methylbenzenesulfonate) (9CI) (CA)

INDEX NAME)

CM 1

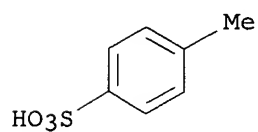
CRN 98266-11-6

CMF C10 H14 N4 O6

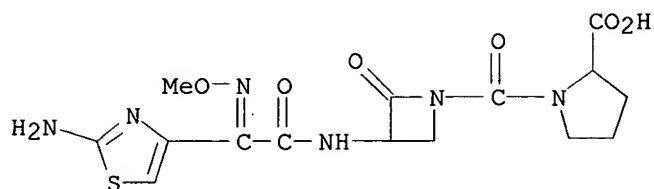


CRN 104-15-4

CMF C7 H8 O3 S



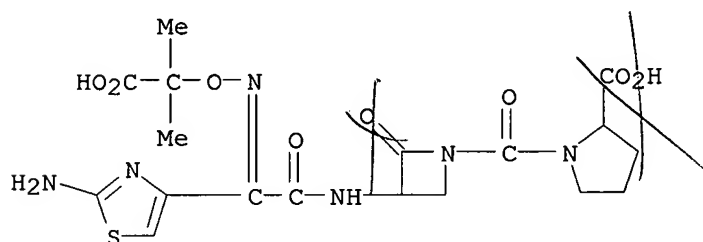
IT 98265-51-1P 98303-21-0P



● K

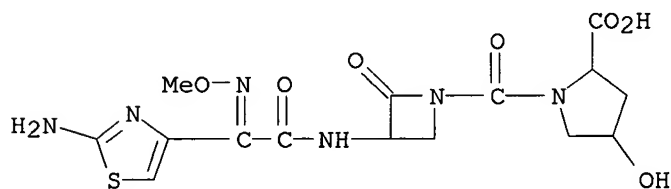
RN 98303-17-4 CAPLUS

CN D-Proline, 1-[[3-[[[(2-amino-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-, [S-(Z)]-(9CI) (CA INDEX NAME)



RN 98303-19-6 CAPLUS

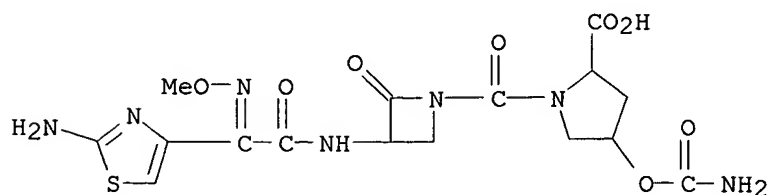
CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-4-hydroxy-, monopotassium salt, [1[R\*(Z)],2 $\alpha$ ,4 $\alpha$ ]- (9CI) (CA INDEX NAME)



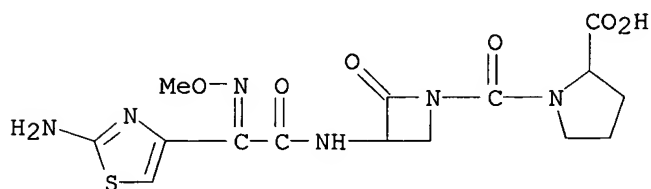
● K

RN 98303-22-1 CAPLUS

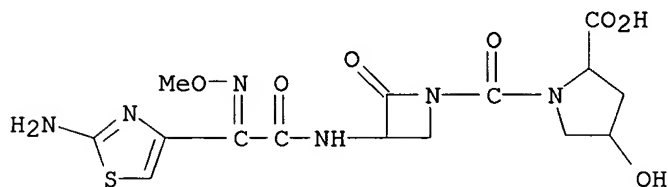
CN L-Proline, 4-[(aminocarbonyl)oxy]-1-[[3-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-2-oxo-1-azetidiny]carbonyl]-, [1[R\*(Z)],2 $\alpha$ ,4 $\beta$ ]- (9CI) (CA INDEX NAME)



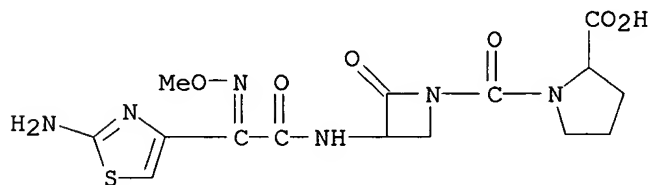
RN 98303-23-2 CAPLUS  
 CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-2-oxo-1-azetidiny] carbonyl]-, [S-(Z)]- (9CI) (CA INDEX NAME)



RN 98303-24-3 CAPLUS  
 CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-2-oxo-1-azetidiny] carbonyl]-4-hydroxy-, [1[R\*(Z)], 2 $\alpha$ , 4 $\beta$ ]- (9CI) (CA INDEX NAME)

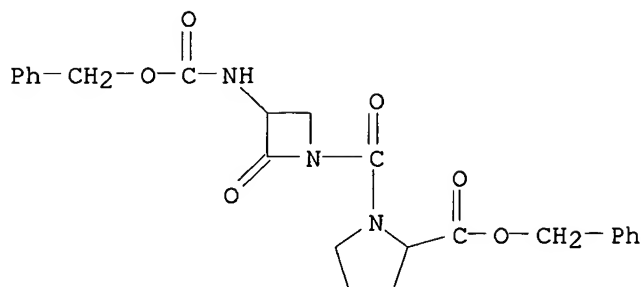


RN 98391-69-6 CAPLUS  
 CN L-Proline, 1-[[3-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-2-oxo-1-azetidiny] carbonyl]-, monopotassium salt, [S-(Z)]- (9CI) (CA INDEX NAME)



● K

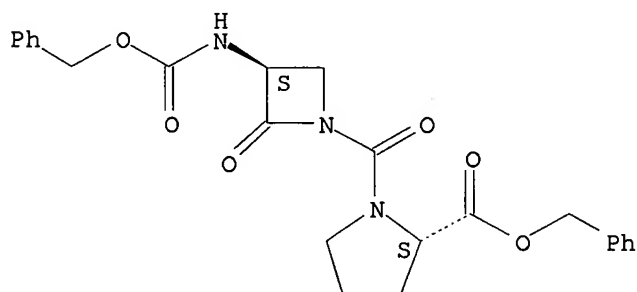
IT 98265-61-3P 98265-62-4P 98265-65-7P  
 98265-69-1P 98303-18-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, hydrogenolysis, and acylation of)  
 RN 98265-61-3 CAPLUS  
 CN D-Proline, 1-[[2-oxo-3-[[[(phenylmethoxy) carbonyl] amino]-1-azetidiny] carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



RN 98265-62-4 CAPLUS

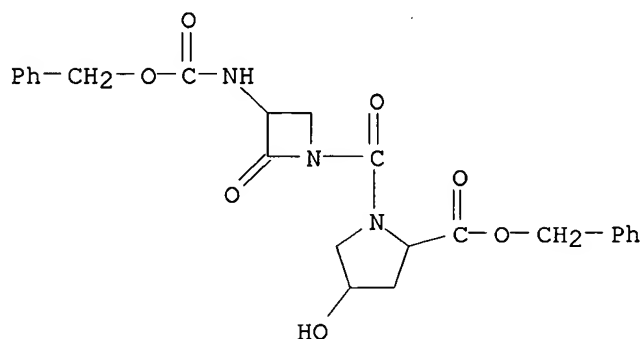
CN L-Proline, 1-[[2-oxo-3-[[phenylmethoxy]carbonyl]amino]-1-azetidiny]carbonyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 98265-65-7 CAPLUS

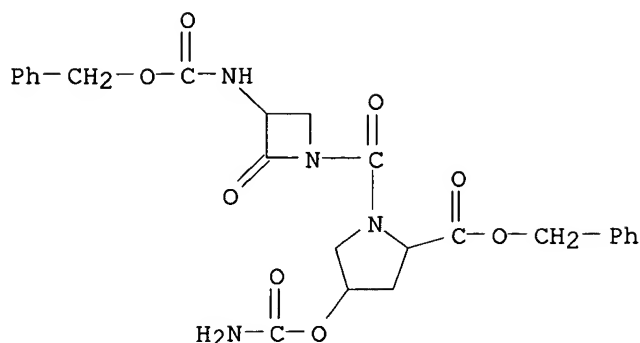
CN L-Proline, 4-hydroxy-1-[[2-oxo-3-[[phenylmethoxy]carbonyl]amino]-1-azetidiny]carbonyl]-, phenylmethyl ester, [1(R\*),2α,4β]- (9CI)  
(CA INDEX NAME)



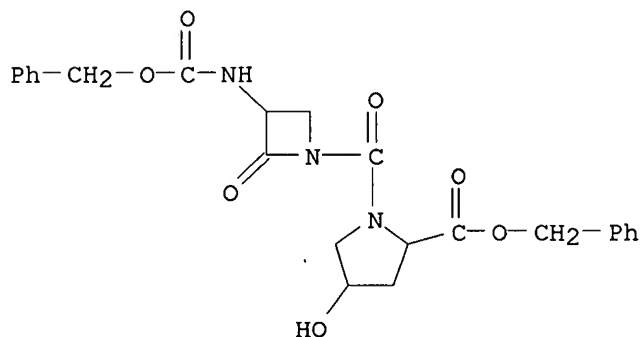
RN 98265-69-1 CAPLUS

CN L-Proline, 4-[(aminocarbonyl)oxy]-1-[[2-oxo-3-[[phenylmethoxy]carbonyl]amino]-1-azetidiny]carbonyl]-, phenylmethyl ester, [1(R\*),2α,4α]- (9CI) (CA INDEX NAME)





RN 98303-18-5 CAPLUS  
 CN L-Proline, 4-hydroxy-1-[[[2-oxo-3-[[[phenylmethoxy]carbonyl]amino]-1-azetidiny]carbonyl]-, phenylmethyl ester, [1(R\*),2α,4α]-(9CI) (CA INDEX NAME)



L4. ANSWER 142 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1984:6088 CAPLUS  
 DOCUMENT NUMBER: 100:6088  
 TITLE: 2-Hydroxypropylamine aryl ester derivatives  
 INVENTOR(S): Kam, Sheung Tsam; Matier, William L.  
 PATENT ASSIGNEE(S): American Hospital Supply Corp., USA  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8301770	A1	19830526	WO 1982-US1536	19821028
W: AU, DK, JP, NO, RO, SU				
RW: AT, BE, CH, DE, FR, GB, LU, NL, SE				
US 4582855	A	19860415	US 1981-320773	19811112
ZA 8207749	A	19830831	ZA 1982-7749	19821022
AU 8210120	A1	19830601	AU 1982-10120	19821028
EP 93765	A1	19831116	EP 1982-903569	19821028
EP 93765	B1	19861210		
R: BE, CH, DE, FR, GB, LI, LU, NL, SE				
AU 562862	B2	19870618	AU 1983-10120	19821028
CA 1201438	A1	19860304	CA 1982-415282	19821110
ES 517296	A1	19831201	ES 1982-517296	19821111

IL 67243	A1	19870331	IL 1982-67243	19821112
ES 523804	A1	19841101	ES 1983-523804	19830701
ES 523805	A1	19841101	ES 1983-523805	19830701
NO 8302526	A	19830711	NO 1983-2526	19830711
NO 170926	B	19920921		
NO 170926	C	19921230		
JP 58501724	T2	19831013	JP 1982-503552	19830712
JP 63020424	B4	19880427		
ES 530788	A1	19850601	ES 1984-530788	19840320
US 4810717	A	19890307	US 1986-838082	19860310
US 4935421	A	19900619	US 1989-318147	19890301
PRIORITY APPLN. INFO.:			US 1981-320773	A 19811112
			WO 1982-US1536	A 19821028
			US 1986-838082	A3 19860310

OTHER SOURCE(S): CASREACT 100:6088

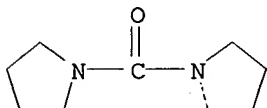
AB  $\beta$ -Blockers  $\text{RCO}_2\text{CH}_2\text{CH}(\text{OH})\text{CH}_2\text{NH-X-R}_1$  [ $\text{R} = (\text{un})\text{substituted aryl, heterocyclic; X} = \text{C}_1\text{-C}_{10}\text{ alkylene; R}_1 = \text{NR}_2\text{COR}_3, \text{NR}_2\text{CONR}_3\text{R}_4, \text{NR}_2\text{SO}_2\text{R}_3, \text{NR}_2\text{SO}_2\text{NR}_3\text{R}_4, \text{NR}_2\text{CO}_2\text{R}_3; \text{R}_2\text{-R}_4 = \text{H, alkyl, alkoxyalkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl; NR}_3\text{R}_4 = 5\text{-7 membered heterocycle}]$  were prepared. Thus EtOAc reacted with  $\text{H}_2\text{NCH}_2\text{CMe}_2\text{NH}_2$  to give 57.4%  $\text{AcNHCH}_2\text{CMe}_2\text{NH}_2$  (I). 2-FC6H4COCl reacted with glycidol to give 2-FC6H4CO2R5 ( $\text{R}_5 = 2,3\text{-epoxypropyl}$ ), which was treated with I to give  $\text{AcNHCH}_2\text{CMe}_2\text{NHCH}_2\text{CH}(\text{OH})\text{CH}_2\text{O}_2\text{CC}_6\text{H}_4\text{F-4}$  (II). At 2.7 mg/kg II 3 h after administration gave 61% inhibition of heart rate response to isoproterenol in dogs. The aryl esters of this invention were also useful in the treatment of glaucoma (no data).

IT 81759-25-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(carbonylation by, of morpholine)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 143 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:160979 CAPLUS

DOCUMENT NUMBER: 98:160979

TITLE: Subhirsine - a new alkaloid from the roots of *Convolvulus subhirsutus*

AUTHOR(S): Aripova, S. F.; Sharova, E. G.; Yunusov, S. Yu.

CORPORATE SOURCE: Inst. Khim. Rast. Veshchestv, Tashkent, USSR

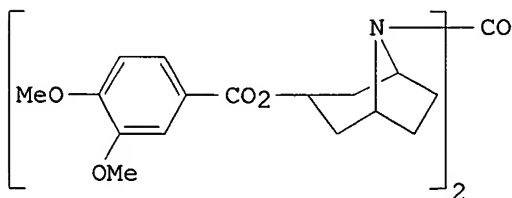
SOURCE: Khimiya Prirodnikh Soedinenii (1982), (5), 640-2

CODEN: KPSUAR; ISSN: 0023-1150

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



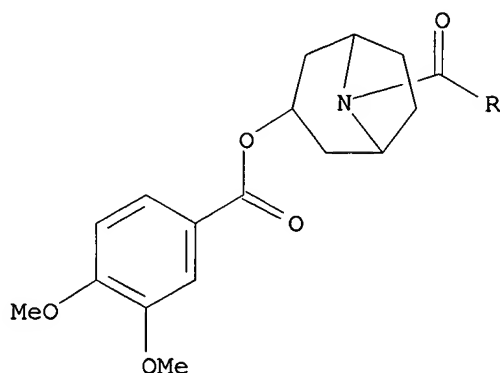
AB Subhirsine (I) was isolated from *C. subhirsutus* and its mol. structure was determined by spectral anal. and chemical transformation.

IT **85412-77-7P**  
 RL: PREP (Preparation)  
 (from *Convolvulus subhirsutus*, mol. structure determination of)

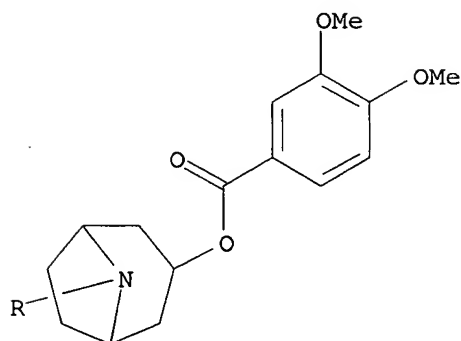
RN 85412-77-7 CAPLUS

CN Benzoic acid, 3,4-dimethoxy-, carbonylbis(8-azabicyclo[3.2.1]octane-8,3-diyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT **85403-66-3P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and acetylation of)

RN 85403-66-3 CAPLUS

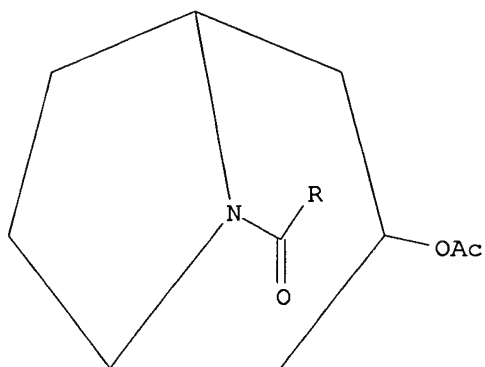
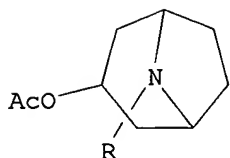
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8,8'-carbonylbis- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **85403-67-4P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 85403-67-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octan-3-ol, 8,8'-carbonylbis-, diacetate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 144 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1983:126790 CAPLUS  
 DOCUMENT NUMBER: 98:126790  
 TITLE: Polycarbonates  
 PATENT ASSIGNEE(S): Toshiba Corp., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57167321	A2	19821015	JP 1981-53017	19810410
PRIORITY APPLN. INFO.:			JP 1981-53017	19810410

AB Polycarbonates are prepared at relatively low temps. from bisphenols and compds. having N,N'-carbonyl linkages in the presence of transesterification catalysts. Thus, a mixture of 4,4'-butylidenebisphenol 45, 1,1'-carbonylbis(1H-tetrazole) 58, and EtONa [141-52-6] 3 g was heated in vacuo at  $\leq 180^\circ$  to remove 1H-tetrazole and kept at  $180^\circ$  and 5 mm for 5-6 h to give a copolymer [85119-50-2] having relative viscosity in CH<sub>2</sub>Cl<sub>2</sub> 1.33.

IT **85119-48-8P**

RL: PREP (Preparation)  
 (preparation of, catalysts for)

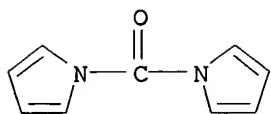
RN 85119-48-8 CAPLUS

CN 1H-Pyrrole, 1,1'-carbonylbis-, polymer with 4,4'-(1-methylethylidene)bis[phenol] (9CI) (CA INDEX NAME)

CM 1

CRN 54582-33-1

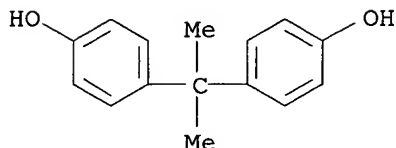
CMF C9 H8 N2 O



CM 2

CRN 80-05-7

CMF C15 H16 O2



L4 ANSWER 145 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1982:583053 CAPLUS

DOCUMENT NUMBER: 97:183053

TITLE: Polycarbonates

PATENT ASSIGNEE(S): Toshiba Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57085819	A2	19820528	JP 1980-159610	19801114
PRIORITY APPLN. INFO.:			JP 1980-159610	19801114

AB Polycarbonates are prepared by polymerization of bisphenols with compds. having N,N'-carbonyl linkages. Thus, a solution of 55 g N,N'-carbonylbis(1H-1,2,4-triazole) in 80 g pyridine was added to a solution of 45 g bisphenol A in 110 g pyridine for 60 min at room temperature and stirred 110 addnl. min to give copolymer [24936-68-3] having relative viscosity 1.02.

IT **83560-39-8P**

RL: PREP (Preparation)  
(preparation of)

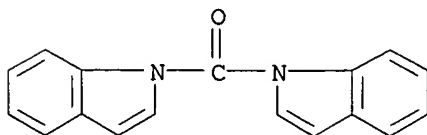
RN 83560-39-8 CAPLUS

CN Phenol, 4,4'-ethylidenebis-, polymer with 1,1'-carbonylbis[1H-indole]  
(9CI) (CA INDEX NAME)

CM 1

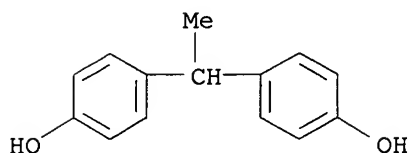
CRN 65610-66-4

CMF C17 H12 N2 O

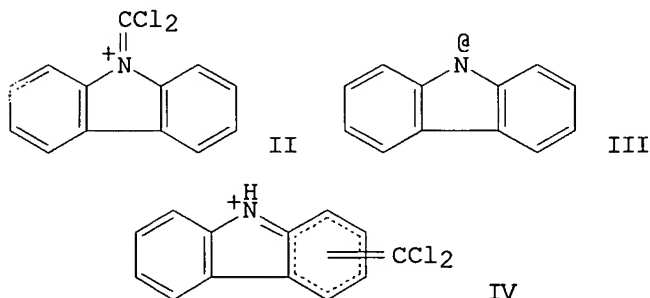


CM 2

CRN 2081-08-5  
CMF C14 H14 O2



L4 ANSWER 146 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1982:509355 CAPLUS  
DOCUMENT NUMBER: 97:109355  
TITLE: One-electron photooxidation of carbazole in the presence of carbon tetrachloride. Part I. Carbon tetrachloride and ethanol used as reaction media  
AUTHOR(S): Zelent, Bogumil; Durocher, Gilles  
CORPORATE SOURCE: Dep. Chim., Univ. Montreal, Montreal, QC, H3C 3V1, Can.  
SOURCE: Canadian Journal of Chemistry (1982), 60(8), 945-56  
CODEN: CJCHAG; ISSN: 0008-4042  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

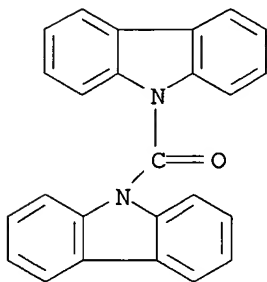


AB The photochem. reaction products of carbazole (I) with CCl<sub>4</sub> in EtOH were isolated and identified, along with photoproducts in the irradiated solution of I in pure CCl<sub>4</sub> using H<sub>2</sub>O and EtOH added after the irradiation. Electron transfer from I to CCl<sub>4</sub> in the excited charge-transfer complex, 1(C8+...CCl4δ-)\*, is the primary photochem. reaction, followed by heterolytic dissociation of a C-Cl bond to give the primary photoproducts in the solvent cage [C<sup>+</sup>·Cl<sup>-</sup>·ovrhd·CCl<sub>3</sub>]. Secondary photochem. reactions initiate transformation of I<sup>•+</sup> in the solvent cage, giving the intermediate species II, III and IV. The probability of formation and further transformations of these transient products II-IV depends strongly on the reaction medium. These results also explain the changes observed in the fluorescence spectrum of I on irradiation of its EtOH solution containing CCl<sub>4</sub>.

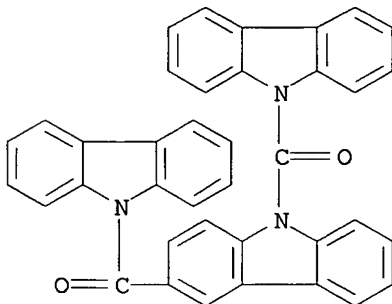
IT 65610-69-7P 82408-92-2P

RL: FORM (Formation, nonpreparative); PREP (Preparation)  
(formation of, in 1-electron photooxidn. of carbazole in presence of

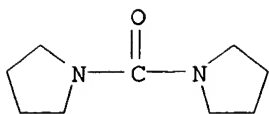
carbon tetrachloride)  
RN 65610-69-7 CAPLUS  
CN 9H-Carbazole, 9,9'-carbonylbis- (9CI) (CA INDEX NAME)



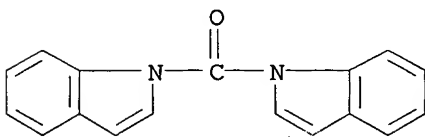
RN 82408-92-2 CAPLUS  
CN 9H-Carbazole, 3,9-bis(9H-carbazol-9-ylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 147 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1982:217212 CAPLUS  
DOCUMENT NUMBER: 96:217212  
TITLE: Orthoamides. XXXVIII. Chemistry of orthocarbonic acid esters and  $\alpha,\alpha,\alpha$ -trialkoxycetonitriles  
AUTHOR(S): Kantlehner, Willi; Maier, Thomas; Loeffler, Wolfgang; Kapassakalidis, Joanis J.  
CORPORATE SOURCE: Inst. Org. Chem. Biochem. Isotopenforsch., Univ. Stuttgart, Stuttgart, D-7000/80, Fed. Rep. Ger.  
SOURCE: Liebigs Annalen der Chemie (1982), (3), 507-29  
CODEN: LACHDL; ISSN: 0170-2041  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 96:217212  
AB The reactions of  $(\text{EtO})_4\text{C}$  with carboxylic acids and anhydrides, alcs., diols, anilines, amines and their HCl salts, cyclic imides, hydrazides, imidazoles, and amino acids were given and discussed. Also studied were the reactions of  $(\text{EtO})_3\text{CCN}$  with alcs., Na alkoxides, phenols, and amines.  
IT **81759-25-3P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 81759-25-3 CAPLUS  
CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



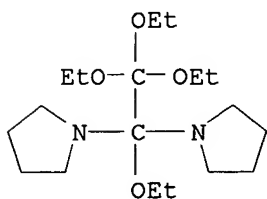
L4 ANSWER 148 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1981:121220 CAPLUS  
 DOCUMENT NUMBER: 94:121220  
 TITLE: Synthesis of 2,2'-biindolyis by coupling reactions  
 AUTHOR(S): Bergman, Jan; Eklund, Nils  
 CORPORATE SOURCE: Dep. Org. Chem., R. Inst. Technol., Stockholm, S-100 44, Swed.  
 SOURCE: Tetrahedron (1980), 36(10), 1439-43  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 94:121220  
 AB N,N'-Dimethyl-2,2'-biindolyl was prepared (66%) by reaction of 2-iodo-N-methylindole with unactivated Cu bronze (under N, 205°, 15 min). 2,2'-Biindolyl was obtained by coupling of N-benzenesulfonyl-2-lithioindole with CuCl<sub>2</sub> (EtO, reflux, 2 h) followed by hydrolysis.  
 IT **65610-66-4**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (coupling of, with palladium diacetate)  
 RN 65610-66-4 CAPLUS  
 CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 149 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1980:6345 CAPLUS  
 DOCUMENT NUMBER: 92:6345  
 TITLE: Orthoamides. XXIX. Studies in the series of amide derivatives of orthoaxalic acid. II  
 AUTHOR(S): Kantlehner, Willi; Dinkeldein, Udo; Bredereck, Hellmut  
 CORPORATE SOURCE: Inst. Org. Chem. Biochem. Isotopenforsch., Univ. Stuttgart, Stuttgart, D-7000/80, Fed. Rep. Ger.  
 SOURCE: Liebigs Annalen der Chemie (1979), (9), 1354-61  
 CODEN: LACHDL; ISSN: 0170-2041  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 92:6345  
 GI For diagram(s), see printed CA Issue.  
 AB Pyrrolidinylcarbonium salt I reacted with NaCN to give propionitrile II (R = R<sub>1</sub> = EtO) which reacted with pyrrolidine to give a mixture of II (R = EtO, R<sub>1</sub> = 1-pyrrolidinyl) and II (R = 1-pyrrolidinyl, R<sub>1</sub> = EtO). II (R's the same) were treated with NaOEt to give the novel III. Cl<sub>3</sub>CCN and HNR<sub>3</sub>R<sub>4</sub> (R<sub>3</sub> = R<sub>4</sub> = Me, NR<sub>3</sub>R<sub>4</sub> = 1-pyrrolidinyl) gave Cl<sub>3</sub>CC(:NH)NR<sub>3</sub>R<sub>4</sub>, which were successively treated with Me<sub>3</sub>O+BF<sub>4</sub><sup>-</sup>, NEt<sub>3</sub>, and Me<sub>3</sub>O+BF<sub>4</sub><sup>-</sup> to give acetamidinium salts IV (R<sub>5</sub> = H), Cl<sub>3</sub>CC(:NMe)NR<sub>3</sub>R<sub>4</sub>, and IV (R<sub>5</sub> = Me), resp. Cleavage of IV (R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = Me) with NaOMe gave (Me<sub>2</sub>N)<sub>2</sub>CO, but NaCN reacted to give Cl<sub>3</sub>CC(CN)(NMe<sub>2</sub>)<sub>2</sub>. Treating Cl<sub>3</sub>CC(CN)(NMe<sub>2</sub>)<sub>2</sub> with NaOEt gave Cl<sub>2</sub>C:C(NMe<sub>2</sub>)<sub>2</sub>.



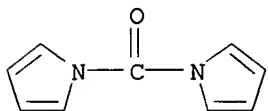
IT 72139-71-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 72139-71-0 CAPLUS  
 CN Pyrrolidine, 1,1'-(tetraethoxyethylidene)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 150 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1978:152330 CAPLUS  
 DOCUMENT NUMBER: 88:152330  
 TITLE: Pyrrole chemistry. XVI. Acylation of the pyrrolyl ambident anion  
 AUTHOR(S): Wang, Nam-Chiang; Anderson, Hugh J.  
 CORPORATE SOURCE: Dep. Chem., Mem. Univ. Newfoundland, St. John's, NF, Can.  
 SOURCE: Canadian Journal of Chemistry (1977), 55(23), 4103-11  
 CODEN: CJCHAG; ISSN: 0008-4042  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 88:152330

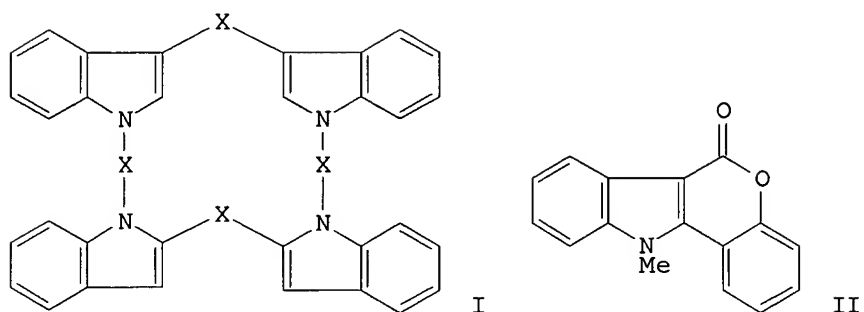
AB Acylation of the pyrrolyl ambident anion was investigated. The results were rationalized in terms of the "principle of hard and soft acids and bases". The metal cation, solvent or complexing agent, halide of the pyrrole Grignard reagent, and temperature were varied. As well, the reactions of acylating agents of the carbonyl, cyanide, and carbimine type with the pyrrole Grignard reagent were studied to determine N/C acylation ratios under the same conditions. Several new products were isolated and identified.

IT 54582-33-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 54582-33-1 CAPLUS  
 CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 151 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1978:89465 CAPLUS  
 DOCUMENT NUMBER: 88:89465  
 TITLE: The reaction of indole and the indole Grignard reagent with phosgene. A facile synthesis of indole-3-carboxylic acid derivatives  
 AUTHOR(S): Bergman, Jan; Carlsson, Rene; Sjoberg, Birger  
 CORPORATE SOURCE: Dep. Org. Chem., R. Inst. Technol., Stockholm, Swed.  
 SOURCE: Journal of Heterocyclic Chemistry (1977), 14(7), 1123-34  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DOCUMENT TYPE: Journal

LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 88:89465  
 GI



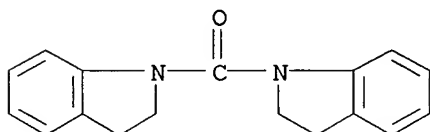
AB Indole-3-carboxylic and indole-3-glyoxylic acid derivs. were prepared from indoles or oxindoles and phosgene or oxalyl chloride. In this reaction, the indole Grignard reagent gave several products, including the cyclotetramers I (X = CO, COCO). Indolo-fused heterocycles were also prepared by this reaction. Thus, phosgene and 2-(2-hydroxyphenyl)-N-methylindole gave 5,6-dihydro-11-methyl-6-oxobenzo[a]pyrano[4,3-b]indole (II).

IT **65610-70-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and dehydrogenation of)

RN 65610-70-0 CAPLUS

CN 1H-Indole, 1,1'-carbonylbis[2,3-dihydro- (9CI) (CA INDEX NAME)

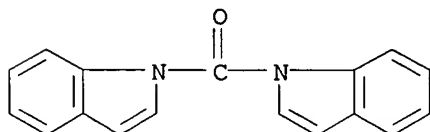


IT **65610-66-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and photolysis of)

RN 65610-66-4 CAPLUS

CN 1H-Indole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)

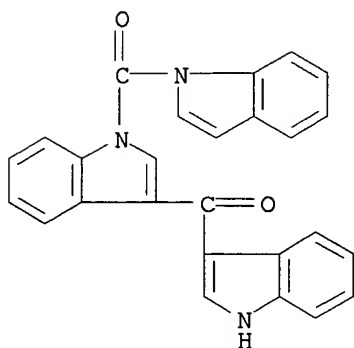


IT **65610-74-4P**

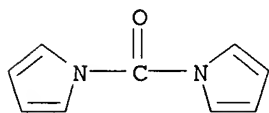
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reductive cleavage of)

RN 65610-74-4 CAPLUS

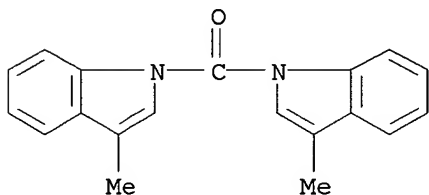
CN 1H-Indole, 1-(1H-indol-1-ylcarbonyl)-3-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



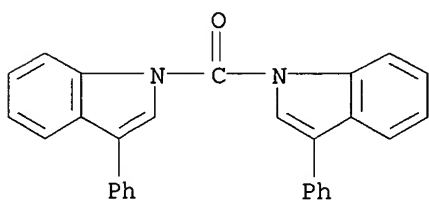
IT 54582-33-1P 65610-67-5P 65610-68-6P  
 65610-69-7P 65610-71-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 54582-33-1 CAPLUS  
 CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



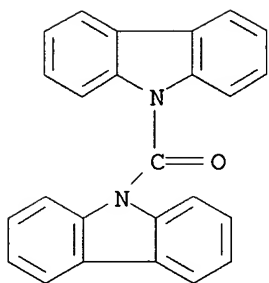
RN 65610-67-5 CAPLUS  
 CN 1H-Indole, 1,1'-carbonylbis[3-methyl- (9CI) (CA INDEX NAME)



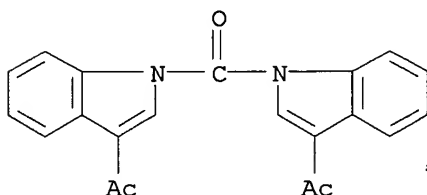
RN 65610-68-6 CAPLUS  
 CN 1H-Indole, 1,1'-carbonylbis[3-phenyl- (9CI) (CA INDEX NAME)



RN 65610-69-7 CAPLUS  
 CN 9H-Carbazole, 9,9'-carbonylbis- (9CI) (CA INDEX NAME)



RN 65610-71-1 CAPLUS  
 CN 1H-Indole, 1,1'-carbonylbis[3-acetyl- (9CI) (CA INDEX NAME)



L4 ANSWER 152 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1977:90101 CAPLUS  
 DOCUMENT NUMBER: 86:90101  
 TITLE: Electrochemical oxidation of tropanes  
 AUTHOR(S): Laube, Bruce L.; Asirvatham, Margaret R.; Mann, Charles K.  
 CORPORATE SOURCE: Dep. Chem., Florida State Univ., Tallahassee, FL, USA  
 SOURCE: Journal of Organic Chemistry (1977), 42(4), 670-4  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Anodically induced dealkylation of tropane and nortropane did not show cleavage of C-N bonds due to the presence of bridgehead C atoms  $\alpha$  to the N, but reactions involving the formation of the C-N and N-N bonds were observed. These reactions involve the reaction of MeCN with the electrochem. generated intermediates. A reaction scheme involving the electrochem. reaction of the amine and the HO<sup>-</sup> was proposed. Also studied was N-(cyanomethyl)nortropane.

IT **61064-10-6P**  
 RL: FORM (Formation, nonpreparative); PREP (Preparation)  
 (formation of, in electrochem. oxidation of nortropane and tropane)

RN 61064-10-6 CAPLUS  
 CN 8-Azabicyclo[3.2.1]octane, 8,8'-carbonylbis- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L4 ANSWER 153 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1977:43319 CAPLUS  
 DOCUMENT NUMBER: 86:43319  
 TITLE: Gas chromatographic resolution of enantiomeric amphetamines and related amines. II. Effects of cyclic structures on diastereomer and enantiomer resolution  
 AUTHOR(S): Souter, Rex W.  
 CORPORATE SOURCE: Eli Lilly and Co., Indianapolis, IN, USA

SOURCE: Journal of Chromatography (1975), 114(2), 307-13  
CODEN: JOCRAM; ISSN: 0021-9673  
DOCUMENT TYPE: Journal  
LANGUAGE: English

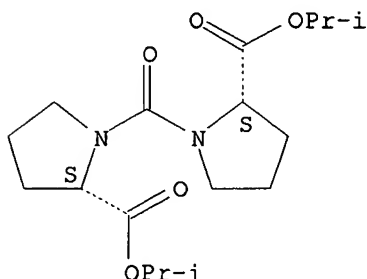
AB Proline and other cyclic amino acids were used as acylated acid chlorides for the resolution of enantiomers of amphetamine and related amines as diastereomers by gas chromatography. Variation of ring size or incorporation of a heteroatom into the ring of the cyclic resolving agent changed retention times and separation factors, depending on the racemic amine derivatized. Separations were achieved on a short, packed Carbowax 20M column. Carbonylbis(L-proline isopropyl ester) was prepared and used as a new optically active stationary phase. For the enantiomeric derivs. examined, the new proline ester phase gave separations essentially equivalent to those obtained on the commercially available phase, carbonylbis(L-valine isopropyl ester). The fact that resolution was achieved on the new phase is evidence that the ester carbonyls of this type of phase are the significant sites of interaction with the antipodes undergoing separation.

IT **61578-75-4**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(stationary phase, for chromatog. resolution of amines)

RN 61578-75-4 CAPLUS

CN L-Proline, 1,1'-carbonylbis-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 154 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1976:90364 CAPLUS

DOCUMENT NUMBER: 84:90364

TITLE: Demethylation of tropane derivatives with phosgene

AUTHOR(S): Banholzer, Rolf; Heusner, Alex; Schulz, Werner

CORPORATE SOURCE: Hauptabt. Forsch., C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1975), (12), 2227-31  
CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 84:90364

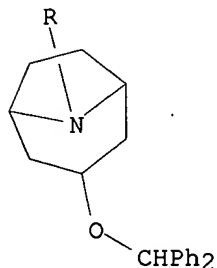
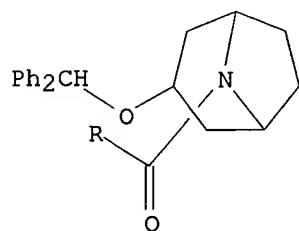
GI For diagram(s), see printed CA Issue.

AB Tropane was demethylated to 90% nortropine by treatment with COCl<sub>2</sub> and alkaline hydrolysis of the intermediate N-chloroformylnortropine. Scopolamine and 3-benzhydryloxytropine were similarly demethylated. N-chloroformyl-3-benzhydryloxytropine was isolated and esterified or treated with 3-benzhydryloxynortropine to give the urea I.

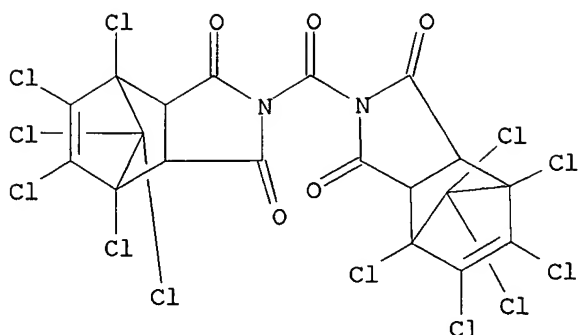
IT **58380-78-2P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 58380-78-2 CAPLUS

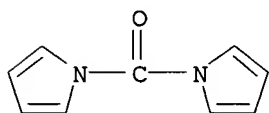
CN 8-Azabicyclo[3.2.1]octane, 8,8'-carbonylbis[3-(diphenylmethoxy)-, [endo(endo)]- (9CI) (CA INDEX NAME)



L4 ANSWER 155 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1975:482378 CAPLUS  
 DOCUMENT NUMBER: 83:82378  
 TITLE: Chloroorganic additives for lubricating oils  
 AUTHOR(S): Perveev, V. F.; Gordash, Yu. T.; Murygina, K. P.; Srebrodol'skaya, I. I.  
 CORPORATE SOURCE: Vses. Nauchno-Issled. Proektno-Konstr. Inst. Neftepererab. Neftekhim. Prom., Kiev, USSR  
 SOURCE: Neftekhimiya (1974), 14(3), 479-83  
 CODEN: NEFTAH; ISSN: 0028-2421  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI For diagram(s), see printed CA Issue.  
 AB Antiwear additives (I and II, R = Bu, n-C5H11, n-C6H13, Ph, cyclohexyl, CH2CH2OH, CONH2, CSNH2, etc.) containing 50% Cl, were heat-resistant and noncorrosive. The thermal stability of the imides of chlorendic acid increased with the length of the alkyl chain of the original amine. The higher antiwear effect of the imides appeared at >250°.  
 IT **53715-23-4**  
 RL: USES (Uses)  
 (lubricating oil antiwear additive)  
 RN 53715-23-4 CAPLUS  
 CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2,2'-carbonylbis[4,5,6,7,8,8-hexachloro-3a,4,7,7a-tetrahydro- (9CI) (CA INDEX NAME)

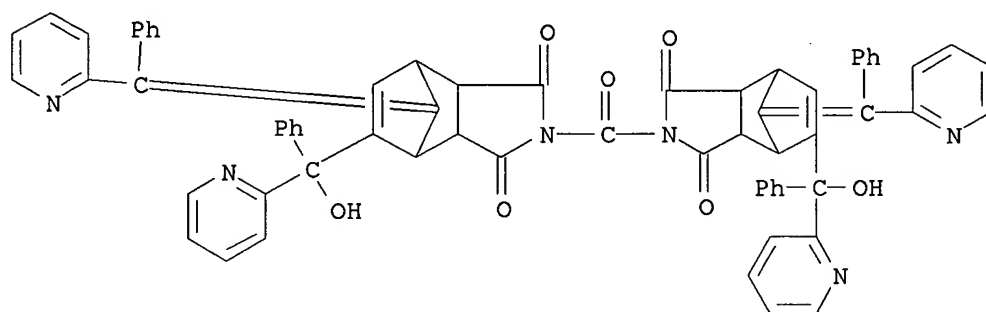


L4 ANSWER 156 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1975:85657 CAPLUS  
 DOCUMENT NUMBER: 82:85657  
 TITLE: Mechanism of the transamidation of ureas  
 AUTHOR(S): Becker, H. G. O.; Richter, H. J.  
 CORPORATE SOURCE: Sekt. Verfahrenschem., Tech. Hochsch. Chem. Carl  
 Schorlemmer Leuna-Merseburg, Merseburg, Ger. Dem. Rep.  
 SOURCE: Journal fuer Praktische Chemie (Leipzig) (1974),  
 316(6), 1013-29  
 CODEN: JPCEAO; ISSN: 0021-8383  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 AB Kinetic studies of the transamidation of benzylurea (I),  $\text{CO}(\text{NHMe})_2$  (II),  
 $\text{Me}_2\text{NCONHMe}$  (III) and carbonyldipyrrole (IV) with  $\text{PhCH}_2\text{NH}_2$  derivs.,  $\text{PhNHMe}$ ,  
 and (or) piperidine in Tetralin showed that I, II, and IV reacted in a 2nd  
 order carbonyl addition mechanism with competing urea-amine complex formation  
 by excess amine. III reacted in a 1st order isocyanate mechanism.  
 IT 54582-33-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (transamidation of, by arylamines, kinetics and mechanism of)  
 RN 54582-33-1 CAPLUS  
 CN 1H-Pyrrole, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



L4 ANSWER 157 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1972:59465 CAPLUS  
 DOCUMENT NUMBER: 76:59465  
 TITLE: N,N'-carbonyl-bis-[5-[ $\alpha$ -hydroxy- $\alpha$ -(2-pyridyl)benzyl]-7-[ $\alpha$ -(2-pyridyl)benzylidene]-5-norbornene-2,3-di-carboxamide]  
 INVENTOR(S): Takacs, Kalman; Kovacs, Jeno; Harsanyi, Kalman;  
 Korbonits, Dezso; Simay, Antal; Bodnar, Janos; Kovacs,  
 Mrs. Gabor  
 PATENT ASSIGNEE(S): Chinoin Gyogyszer es Vegyeszeti Termek Gyara Rt.  
 SOURCE: Hung. Teljes, 11 pp.  
 CODEN: HUXXB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	HU 3006		19711122	HU	19700323
GI	For diagram(s), see printed CA Issue.				
AB	The title compound (I), LD100 24-6 mg/kg body weight for rats and >1000 mg/kg for mice, was prepared. Thus, 2-[ $\alpha$ -hydroxy- $\alpha$ -(2-pyridyl)benzyl]-6-phenyl-6-(2-pyridyl)fulvene and N,N'-carbonylbismaleimide in CCl <sub>4</sub> was refluxed 6 hr and added to petroleum ether to precipitate I. I was also prepared by treating 5-[ $\alpha$ -hydroxy- $\alpha$ -(2-pyridyl)benzyl]-7-[ $\alpha$ -(2-pyridyl)benzylidene]-5-norbornene-2,3-dicarboxamide in PhMe with NaNH <sub>2</sub> and then with COCl <sub>2</sub> .				
IT	<b>34941-70-3P</b> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	34941-70-3 CAPLUS				
CN	4,7-Methano-1H-isoindole-1,3(2H)-dione, 2,2'-carbonylbis[3a,4,7,7a-tetrahydro-5-(hydroxyphenyl-2-pyridinylmethyl)-8-(phenyl-2-pyridinylmethylene)- (9CI) (CA INDEX NAME)				



L4 ANSWER 158 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1971:99863 CAPLUS

DOCUMENT NUMBER: 74:99863

TITLE: 1-Substituted-3-phenylpyrrolidines and their pharmacological effects on the central nervous system

INVENTOR(S): Helsley, Grover C.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc.

SOURCE: Ger. Offen., 36 pp.  
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2017255	A	19701008	DE 1970-2017255	19700410
US 3644414	A	19720222	US 1969-815495	19690411
CH 556336	A	19741129	CH 1970-2502	19700220
BE 748392	A	19700916	BE 1970-748392	19700402
ES 378317	A1	19720601	ES 1970-378317	19700407
GB 1289089	A	19720913	GB 1970-1289089	19700407
AT 294815	B	19711210	AT 1970-3255	19700409
SE 366040	B	19740408	SE 1970-4844	19700409
NL 7005191	A	19701013	NL 1970-5191	19700410
FR 2042322	A5	19710212	FR 1970-13078	19700410
FR 2042322	B1	19730608		



NO 131544	B	19750310	NO 1970-1331	19700410
CA 974995	A1	19750923	CA 1970-79859	19700410
JP 49014229	B4	19740405	JP 1970-30699	19700411
PRIORITY APPLN. INFO.:			US 1969-815495	A 19690411

GI For diagram(s), see printed CA Issue.

AB I and II were used to prepare the title compds. III, muscle relaxants and anticonvulsants. Thus, a mixture of I (R = PhCH<sub>2</sub>, R1 = H), concentrated HCl, absolute

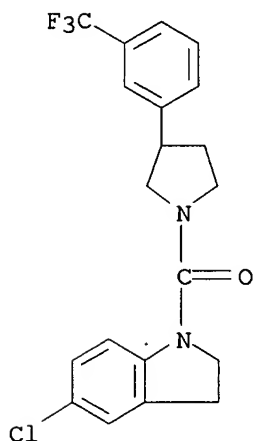
EtOH, and 10% Pd/C was shaken 6 hr at 70° in H to give I (R = R1 = H). Similarly prepared was I (R = H, R1 = 3-CF<sub>3</sub>) (Ia). A mixture of Ia, 6N HCl, and 10% Pd/C was shaken 5 hr at 70° in H to give III (R = H, R1 = 3-CF<sub>3</sub>) (IIIa). A solution of Ia in concentrated HCl was refluxed 16 hr to give II (R = H, R1 = 3-CF<sub>3</sub>). A mixture of IIIa, nitrourea, and 95% EtOH was heated with stirring at 60° to give 1-carbamoyl-3-(3-trifluoromethylphenyl)pyrrolidine. Similarly prepared were 19 other III. Pharmaceutical preps. were also given.

IT **31086-23-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 31086-23-4 CAPLUS

CN Indoline, 5-chloro-1-[[3-( $\alpha,\alpha,\alpha$ -trifluoro-m-tolyl)-1-pyrrolidinyl]carbonyl]- (8CI) (CA INDEX NAME)



L4 ANSWER 159 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:96079 CAPLUS

DOCUMENT NUMBER: 70:96079

TITLE: Synthesis and stability of tri-sec-aminomethanes

AUTHOR(S): Scheeren, J. W.; Nivard, R. J. F.

CORPORATE SOURCE: Catholic Univ., Nijmegen, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1969), 88(3), 289-300

CODEN: RTCPA3; ISSN: 0165-0513

DOCUMENT TYPE: Journal

LANGUAGE: English

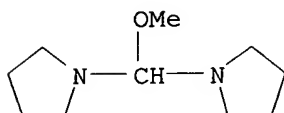
AB Tri-secondary aminomethanes and dialkoxysecondary-aminomethanes are obtained from CHCl<sub>3</sub>, NaOR, and secondary amines. The tri-secondary-aminomethanes are converted into tetra-secondary-aminoethylenes by thermolysis. Some interesting properties of the compds. synthesized are discussed.

IT **5208-02-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 5208-02-6 CAPLUS

CN Pyrrolidine, 1,1'-(methoxymethylene)di- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 160 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:412553 CAPLUS

DOCUMENT NUMBER: 65:12553

ORIGINAL REFERENCE NO.: 65:2325h,2326a-b

TITLE: Steroids. XCVII. Isolation and structure of some secondary formed weak bases from *Holarrhena antidysenterica*

AUTHOR(S): Labler, L.; Samek, Z.; Smolikova, J.; Sorm, F.

CORPORATE SOURCE: Ceskoslov. Akad. Ved, Prague

SOURCE: Collection of Czechoslovak Chemical Communications (1966), 31(5), 2034-47

CODEN: CCCCCA; ISSN: 0010-0765

DOCUMENT TYPE: Journal

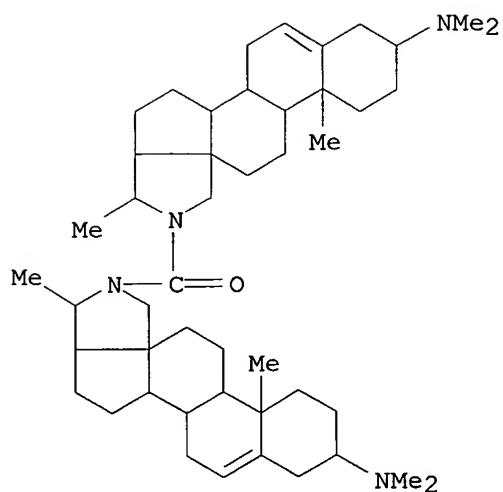
LANGUAGE: English

AB cf. preceding abstract The methylated mother liquors after the separation of conessine (Ia) (CA 53, 10271g) were evaporated, the residue dissolved in CHCl<sub>3</sub>, the solution shaken with 5% HCl and the organic layer repeatedly chromatographed on silica gel to yield (20R)-3 $\alpha$ -(dimethylamino)-18,20-oxidopregn-5-ene (I), m. 142-3° (Me<sub>2</sub>CO), [ $\alpha$ ]<sub>22</sub>D -52° (c 1.2); (20R)-3 $\beta$ -(dimethylamino)-18,20-oxidopregn-5-ene, m. 152° (Me<sub>2</sub>CO), [ $\alpha$ ]<sub>21</sub>D -52° (c 1.1); 3 $\beta$ -(dimethylamino)pregn-5-ene-20-one, m. 117-19° (Me<sub>2</sub>CO), [ $\alpha$ ]<sub>22</sub>D 25° (c 0.9), and carbonyl-N,N-bis(3 $\beta$ -dimethylamino-N-demethylconan-5-ene) (II), m. 204-6° (CH<sub>2</sub>Cl<sub>2</sub>/Me<sub>2</sub>CO), [ $\alpha$ ]<sub>20</sub>D 42° (c 1.1). I was identified by the Hofmann degradation yielding (20R)-18,20-oxidopregna-3,5-diene, m. 94-5° (Me<sub>2</sub>CO), II was hydrogenated over PtO<sub>2</sub> in AcOH to give carbonyl-N,N-bis(3 $\beta$ -dimethylamino-N-demethyl-5 $\alpha$ -conanine), m. 190-3° (Me<sub>2</sub>CO). [ $\alpha$ ]<sub>21</sub>D 65° (c 1.0) while degradation gave carbonyl-N,N-bis(N-demethylcona-3,5-diene), decomposing .apprx.300°, [ $\alpha$ ]<sub>21</sub>D  $\pm$ 0°. Reduction of II with LiAlH<sub>4</sub> and LiAlD<sub>4</sub> in tetrahydrofuran gave Ia, m. 125-6°, and conessimine (III), m. 98-9°, with all D atoms located in the Ia mol. The identity of II was further confirmed by a synthesis from III and COCl<sub>2</sub> by the method of Marckwald [Chemical Ber. 23, 3207(1890)].

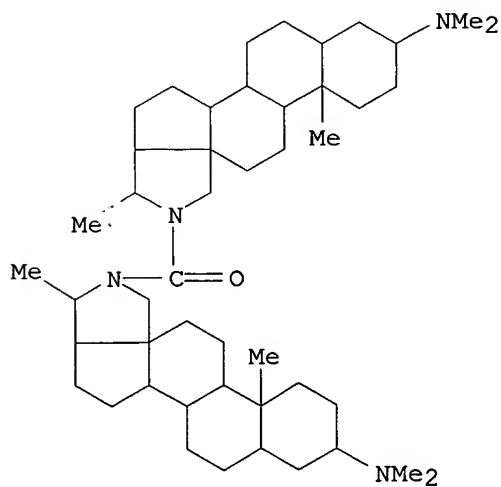
IT 6377-50-0, Norcon-5-enine, N,N'-carbonylbis[3 $\beta$ -(dimethylamino)- (as artifact from *Holarrhena antidysenterica*)

RN 6377-50-0 CAPLUS

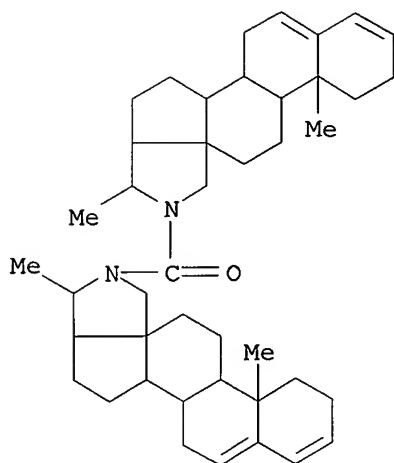
CN Norcon-5-enine, N,N'-carbonylbis[3 $\beta$ -(dimethylamino)- (7CI, 8CI) (CA INDEX NAME)



IT **6701-78-6**, 5α-Norconanine, N,N'-carbonylbis[3β-(dimethylamino)- **7044-81-7**, Norcona-3,5-dienine, N,N'-carbonylbis-(preparation of)  
 RN 6701-78-6 CAPLUS  
 CN 5α-Norconanine, N,N'-carbonylbis[3β-(dimethylamino)- (7CI, 8CI)  
 (CA INDEX NAME)



RN 7044-81-7 CAPLUS  
 CN Norcona-3,5-dienine, N,N'-carbonylbis- (7CI, 8CI) (CA INDEX NAME)

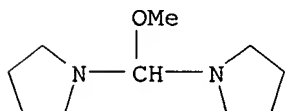


L4 ANSWER 161 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1966:92941 CAPLUS  
 DOCUMENT NUMBER: 64:92941  
 ORIGINAL REFERENCE NO.: 64:17425c-e  
 TITLE: Tetrakis(alkylamino)ethylenes and  
 bis(dihydrocarbylamino)-hydrocarbyloxymethanes  
 INVENTOR(S): Winberg, Hilmer E.  
 PATENT ASSIGNEE(S): E. I. du Pont de Nemours & Co.  
 SOURCE: 5 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3239534		19660308	US	19630213

AB A mixture of Me<sub>2</sub>NCHO 73 and Me<sub>2</sub>SO<sub>4</sub> 126 parts was heated at 60-80° for 2.25 hrs. to form Me<sub>2</sub>NCH(OMe)OSO<sub>3</sub>Me (I). The mixture was cooled and 50.5 parts Me<sub>2</sub>NH was bubbled in with stirring below 30°. The mixture was then heated to 70° for 15 min. and the pressure lowered to 10 mm. MeOH was removed by distillation To the cooled, stirred residue of (Me<sub>2</sub>N)<sub>2</sub>CHOSO<sub>3</sub>Me (II) was added 54 parts NaOMe in portions with cooling <40°. After standing 12 hrs., the mixture was heated at 100° and 15 mm. while Me<sub>2</sub>NCH(OMe)<sub>2</sub>, b. 102.5-5°, was removed. Continued distillation gave 33.8 parts (Me<sub>2</sub>N)<sub>2</sub>CHOMe (III), b. 126°, n<sub>D</sub><sup>20</sup> 1.4180. Further distillation of the residue gave 9.7 parts (Me<sub>2</sub>N)<sub>2</sub>C:C(NMe<sub>2</sub>)<sub>2</sub> (IV), b<sub>25</sub> 93°. Several examples of compds. I-IV are given.

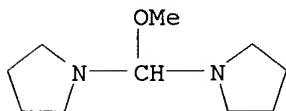
IT **5208-02-6**, Pyrrolidine, 1,1'-(methoxymethylene)di-  
 (preparation of)  
 RN 5208-02-6 CAPLUS  
 CN Pyrrolidine, 1,1'-(methoxymethylene)di- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 162 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1966:92940 CAPLUS

DOCUMENT NUMBER: 64:92940  
 ORIGINAL REFERENCE NO.: 64:17425b-c  
 TITLE: O,O-Dialkyl O-[1-alkyl-2-(alkylthio)vinyl]phosphates  
 PATENT ASSIGNEE(S): Boehringer Ingelheim, G.m.b.H.  
 SOURCE: 5 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1020809		19660223	GB	
PRIORITY APPLN. INFO.:			DE	19631113
AB Esters of the general formula (RO) <sub>2</sub> P(O)OCR <sub>1</sub> :CHS(O)nR <sub>2</sub> (I) are prepared and can be used as pesticides. Thus, 22 g. (iso-PrO) <sub>3</sub> P is added dropwise to 16.6 g. ClCH(SET)COEt at 20-30°, N is passed over the mixture, and the mixture heated 10 hrs. at 90-100° to give 91.2% O,O-di-isopropyl O-[1-ethyl-2-(ethylthio)vinyl] phosphate. Similarly prepared are the following I (R <sub>1</sub> = Me, n = 0) (R and R <sub>2</sub> given): Me, iso-PrO <sub>2</sub> CCH <sub>2</sub> ; Me, dodecyl; Et, ClC <sub>6</sub> H <sub>4</sub> ; Me, MeO <sub>2</sub> CCH <sub>2</sub> ; Et, dodecyl; Et, MeO <sub>2</sub> CCH <sub>2</sub> ; Et, iso-PrO <sub>2</sub> CCH <sub>2</sub> ; Me, BuO <sub>2</sub> CCH <sub>2</sub> ; Me, EtO <sub>2</sub> CCH <sub>2</sub> ; Et, EtO <sub>2</sub> CCH <sub>2</sub> ; Et, p-O <sub>2</sub> NC <sub>6</sub> H <sub>4</sub> ; Et, 2,4,5-Cl <sub>3</sub> C <sub>6</sub> H <sub>2</sub> . Similarly prepared are the following I (R, R <sub>1</sub> , n, and R <sub>2</sub> given): Et, Me, 2, Ph; Et, Et, O, Et (b0.05 92-3°); Me, Me, 1, Et; Et, Pr, O, Et; Et, Me, 1, p-tolyl.				
IT	5208-02-6, Pyrrolidine, 1,1'-(methoxymethylene)di- (preparation of)			
RN	5208-02-6 CAPLUS			
CN	Pyrrolidine, 1,1'-(methoxymethylene)di- (7CI, 8CI) (CA INDEX NAME)			

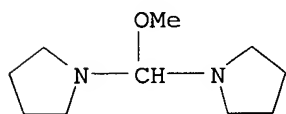


L4 ANSWER 163 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1966:84510 CAPLUS  
 DOCUMENT NUMBER: 64:84510  
 ORIGINAL REFERENCE NO.: 64:15854h,15855a-c  
 TITLE: Bis(dialkylamino)alkoxymethanes and tetrakis(dialkylamino)ethylenes  
 INVENTOR(S): Winberg, Hilmer E.  
 PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co.  
 SOURCE: 9 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

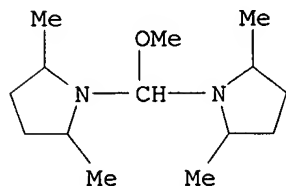
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3239519		19660308	US	19590826
GI For diagram(s), see printed CA Issue.				
AB In a glass reactor with a short packed distillation column, condensing means, a distillation take-off head, a mixture of 15.5 parts α,α-dimethoxytrimethylamine and 18.5 anhydrous pyrrolidine was heated by an oil bath at 102-10°, and the Me <sub>2</sub> NH and MeOH formed were removed through the distillation head. The residue was purified by further distillation to give bis(1-pyrrolidinyl)methoxymethane (I), b0.85 73-4°. Similarly				

prepared were: 1-(dimethoxymethyl)pyrrolidine, b25 63°, and tetrakis(1-pyrrolidinyl)ethylene, m. 94-5°, tetrakis(1-pyrrolidinyl)ethylene, m. 91-3°; tetrakis(1-pyrrolidinyl)ethylene, m. 95-6°; 1-(dimethoxymethyl)piperidine, b22 76°; 1-(dimethoxymethyl)piperidine, b4 105°, n25D 1.4798, m. 59-61°; tetrakis(piperidino)ethylene, m. 64-7.5; tetrakis(morpholino)ethylene, m. 170-1°; bis(1-methyl-4-piperazinyl)methoxymethane, b0.9 106-10°; tetrakis(1-methyl-4-piperazinyl)ethylene, m. 79-80.5°; 1,1',3,3'-tetramethyl-Δ2,2'-biimidazoline (II), b8 96-7°, 1,1',3,3'-tetraethyl-Δ2,2'-biimidazolidine, b0.5 79-82°; 1,3'-diethyl-1',3'-dimethyl-Δ2,2'-biimidazolidine, b0.25, 80-2°; 1,3-dimethyl-2-methoxypiperidine, b25 62-6°; 1,1',3,3'-tetramethyl-Δ2,2'-bi(hexahydropyrimidine), b8.5 104-5°; 1-dimethoxymethyl-2,5-dimethylpyrrolidine, b49 90-1°; bis(2,5-dimethyl-1-pyrrolidinyl)methoxymethane, b24 134-5°; dipropylaminodimethoxymethane, b13 68-70°; bis(dipropylamino)methoxymethane, b7 105°; 1-dimethoxymethyl-2,5-dimethylpyrrolidine, b49 90-1°; bis(2,5-dimethyl-1-pyrrolidinyl)methoxymethane, b24 134-8°; bis[bis(dimethylamino)methyleneamino]methoxymethane, b0.9 96-8°, n25D 1.4863; tetrakis[bis(dimethylamino)methyleneamino]ethylene, m. 70-2°; 1,-1',3,3'-tetrabenzyl-Δ2,2'-biimidazolidine, m. 162-4°.

IT 5208-02-6, Pyrrolidine, 1,1'-(methoxymethylene)di-  
5564-76-1, Pyrrolidine, 1,1'-(methoxymethylene)bis[2,5-dimethyl-  
(preparation of)  
RN 5208-02-6 CAPLUS  
CN Pyrrolidine, 1,1'-(methoxymethylene)di- (7CI, 8CI) (CA INDEX NAME)



RN 5564-76-1 CAPLUS  
CN Pyrrolidine, 1,1'-(methoxymethylene)bis[2,5-dimethyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 164 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1964:75046 CAPLUS  
DOCUMENT NUMBER: 60:75046  
ORIGINAL REFERENCE NO.: 60:13148e-f  
TITLE: N,N1-Carbonylbis(cyclic imides)  
INVENTOR(S): Harvey, Merlin P.  
PATENT ASSIGNEE(S): United States Rubber Co.  
SOURCE: 6 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
PATENT INFORMATION:

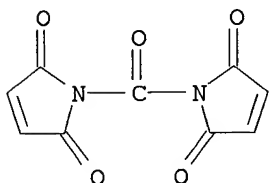
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 629757		19630715	BE	
FR 1351754			FR	
PRIORITY APPLN. INFO.:			US	19620528

AB COCl<sub>2</sub>, CSCl<sub>2</sub>, COBr<sub>2</sub>, or CSBr<sub>2</sub> was treated with the Na salt of a cyclic imide to give the title compds., which could be used as fungicides. Thus, 98 g. maleimide in 500 ml. EtOH was added to 23 g. Na in 500 ml. EtOH at 0° and the mixture filtered to give 104 g. Na salt (I) of maleimide. A suspension of 102 g. I in 800 ml. anhydrous PhMe was treated at -10° with 41.5 g. COCl<sub>2</sub> and the mixture kept 2 hrs. at -10 to 0°, kept 16 hrs., heated 3 hrs. at 100°, and filtered to give 58.5 g. N,N1-carbonyldimaleimide, m. 282-5°. Similarly prepared was N,N1-thiocarbonyldmaleimide.

IT **92403-59-3**, Maleimide, N,N'-carbonyldi-  
(preparation of)

RN 92403-59-3 CAPLUS

CN Maleimide, N,N'-carbonyldi- (7CI) (CA INDEX NAME)



L4 ANSWER 165 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1963:66176 CAPLUS

DOCUMENT NUMBER: 58:66176

ORIGINAL REFERENCE NO.: 58:11243b-d

TITLE: The chemistry of xylylenes. XVIII. The gas phase synthesis of  $\alpha,\alpha'$ -bis(trichloromethyl)-p-xylene via coaxial pyrolysis of p-xylene and chloroform

AUTHOR(S): Errede, L. A.; Cassidy, J. P.

CORPORATE SOURCE: Minnesota Mining and Manuf. Co., St. Paul

SOURCE: Journal of Organic Chemistry (1963), 28, 1059-63  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

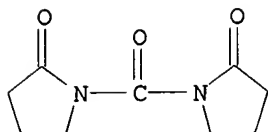
GI For diagram(s), see printed CA Issue.

AB cf. CA 58, 8875b. Fast flowing streams of p-xylene and chloroform were pyrolyzed in separate concentric tubes. The resulting pyrolyzates were allowed to mix at a predetd. point downstream where coupling of the chlorocarbon and hydrocarbon radicals occurred to produce a mixture of products. The composition of reactive species in the resp. gas streams changed with distance away from the point of generation via pyrolysis. Hence, the product distribution changed accordingly with the blend point. Thus, p-methylbenzyl chloride and  $\beta,\beta$ -dichloro-p-methylstyrene were isolated as major products when the two streams were made to blend within the pyrolysis zone, whereas p-methylbenzyl chloride and  $\alpha,\alpha'$ -bis(trichloromethyl)-p-xylene (I) were isolated as major products when the pyrolyzates were allowed to blend five inches beyond the pyrolysis zone.

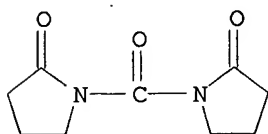
IT **90437-89-1**, 2-Pyrrolidinone, 1,1'-carbonyldi-  
(preparation of)

RN 90437-89-1 CAPLUS

CN 2-Pyrrolidinone, 1,1'-carbonyldi- (7CI) (CA INDEX NAME)



L4 ANSWER 166 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1963:66175 CAPLUS  
 DOCUMENT NUMBER: 58:66175  
 ORIGINAL REFERENCE NO.: 58:11243a-b  
 TITLE: Chemistry of carbonyl fluoride. I. Fluorination of organic compounds  
 AUTHOR(S): Fawcett, F. S.; Tullock, C. W.; Coffman, D. D.  
 CORPORATE SOURCE: E. I. du Pont de Nemours Co., Wilmington, DE  
 SOURCE: Journal of the American Chemical Society (1962), 84, 4275-85  
 CODEN: JACSAT; ISSN: 0002-7863  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 OTHER SOURCE(S): CASREACT 58:66175  
 AB Carbonyl fluoride reacts with carbonyl compds. such as cyclohexanone, benzaldehyde, and benzophenone to give the gem-difluorides, while HCONMe<sub>2</sub> yields  $\alpha,\alpha$ -difluorotrimethylamine. Metal fluoride-catalyzed addition at the ethylenic bond in perfluoro olefins forms perfluoroacyl fluorides, while the C-N unsatd, compds. CF<sub>3</sub>N: CF<sub>2</sub>, PhNCO, and CF<sub>3</sub>CN give, resp., (CF<sub>3</sub>)<sub>2</sub>NCOF, PhN(COF)<sub>2</sub>, and CF<sub>3</sub>CF<sub>2</sub>NCO. The exptl. technique, infrared and nuclear magnetic resonance spectra are given.  
 IT **90437-89-1**, 2-Pyrrolidinone, 1,1'-carbonyldi-  
 (preparation of)  
 RN 90437-89-1 CAPLUS  
 CN 2-Pyrrolidinone, 1,1'-carbonyldi- (7CI) (CA INDEX NAME)



L4 ANSWER 167 OF 167 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1960:2321 CAPLUS  
 DOCUMENT NUMBER: 54:2321  
 ORIGINAL REFERENCE NO.: 54:573c-e  
 TITLE: Acetylene solvent  
 INVENTOR(S): Franz, Raymond A.  
 PATENT ASSIGNEE(S): Monsanto Chemical Co.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
	US 2868328		19590113	US	
AB	1-(1-Pyrrolidylcarbonyl)pyrrolidine (I), a solvent for C <sub>2</sub> H <sub>2</sub> , was prepared from 1-pyrrolidylcarbonyl chloride (II) and pyrrolidine (III) in an organic diluent in the presence of an HCl acceptor. Thus, 400 cc. C <sub>6</sub> H <sub>6</sub> saturated with				



100 g. COCl<sub>2</sub>, refluxed with a stream of COCl<sub>2</sub>, 71 g. III in 100 cc. C<sub>6</sub>H<sub>6</sub> added over 1 hr. while stirring and refluxing, the refluxing continued 2 hrs., the C<sub>6</sub>H<sub>6</sub> distilled, and the residue distilled in vacuo to give 65 g. II, b<sub>5</sub> 94-6°, n<sub>25D</sub> 1.4908, d<sub>20</sub> 1.2120. III (30 moles) in 100 cc. C<sub>6</sub>H<sub>6</sub> was added over 0.5 hr. to 0.31 mole II and 0.35 mole Et<sub>3</sub>N in refluxing C<sub>6</sub>H<sub>6</sub>, the mixture refluxed 2 hrs., an EtOH solution of 0.35 mole KOH added, the solution refluxed 2 hrs., cooled, washed 3 times with 5% NaOH solution, the

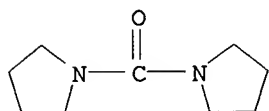
C<sub>6</sub>H<sub>6</sub>

and EtOH distilled, and the residue vacuum distilled to give I, b<sub>0.2</sub> 115-20°, n<sub>25D</sub> 1.5090, d<sub>20</sub> 1.0854. These compds. were useful in extracting C<sub>2</sub>H<sub>2</sub> from dilute solns.

IT **81759-25-3**, Pyrrolidine, 1,1'-carbonyldi-  
(for acetylene solvent)

RN 81759-25-3 CAPLUS

CN Pyrrolidine, 1,1'-carbonylbis- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
827.96	989.50

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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SESSION  
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FILE LAST UPDATED: 9 Jun 2005 (20050609/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s pyrrolidinyl(4a)carbonyl(5a)pyrrolidinyl

9867 PYRROLIDINYL

1 PYRROLIDINYLS

9867 PYRROLIDINYL

(PYRROLIDINYL OR PYRROLIDINYLS)

162004 CARBONYL

26912 CARBONYLS

169998 CARBONYL

(CARBONYL OR CARBONYLS)

9867 PYRROLIDINYL

1 PYRROLIDINYLS

9867 PYRROLIDINYL

(PYRROLIDINYL OR PYRROLIDINYLS)

L1 196 PYRROLIDINYL(4A)CARBONYL(5A)PYRROLIDINYL

=> s L1 and carboxamid?

21282 CARBOXAMID?

L2 35 L1 AND CARBOXAMID?

=> d L2 ibib abs 1-10

L2 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:369223 CAPLUS

DOCUMENT NUMBER: 142:430270

TITLE: Preparation of 1,7-disubstituted azabenzimidazoles as Rho-kinase inhibitors, and their pharmaceutical compositions and methods of use

INVENTOR(S): Lee, Dennis; Stavenger, Robert; Goodman, Krista B.; Hilfiker, Mark A.; Cui, Haifeng; Marino, Joseph P.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037198	A2	20050428	WO 2004-US32825	20041006
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2003-508893P	P 20031006
			US 2003-532085P	P 20031223

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a group of novel azabenzimidazoles I, which are inhibitors of Rho-kinases. In compds. I, R1 is (un)substituted alkyl, Ph, heteroaryl, NH2, etc.; R2 is H, halo, (un)substituted alkyl, Ph, heteroaryl, heterocyclyl, CONH2, SONH2, NH2, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I as active ingredients, as well as to the use of the compns. for the treatment of disorders involving Rho-kinases. For instance, invention compound II was prepared in 8 steps: (1) chlorination of 3-nitro-4-pyridinol with POCl3, (2) substitution of the resultant chloride with 4-methoxyaniline, (3) hydrogenation of nitro to amino, (4) cyclocondensation of the diamine with Et cyanoacetate to give imidazopyridine derivative III, (5) treatment of III with HCl, NaNO2, NaOH, and NH2OH, to give the furazan derivative IV, (6) O-demethylation of IV, (7) Mitsunobu reaction of the resultant phenol with N-BOC-4-hydroxypiperidine, and (8) removal of BOC with TFA. Eight addnl. preps. are given, and 72 specific examples of I are claimed by name. ROCK kinase inhibitory activity was determined using human recombinant ROCK1 kinase domain (amino acid 2-543) expressed in Sf9 cells (no data).

L2 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:346805 CAPLUS

DOCUMENT NUMBER: 142:392411

TITLE: Preparation of 1,6,7-trisubstituted azabenzimidazoles as Rho-kinase inhibitors

INVENTOR(S): Lee, Dennis; Stavenger, Robert A.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 28 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005034866	A2	20050421	WO 2004-US32909	20041006
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-509123P	P 20031006
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a group of novel azabenzimidazoles I, which are inhibitors of Rho-kinases. In compds. I, R1 is H or C1-6 alkyl; R2 is halo or optionally substituted Ph, heteroaryl, or **carboxamide**; R3 is halo, (un)substituted C1-6 alkoxy, (un)substituted phenoxy, heteroaryloxy, or heterocyclyloxy. The invention also relates to the preparation of I, pharmaceutical compns. containing I as active ingredients, as well as to the use of the compns. for the treatment of disorders involving Rho-kinases. II, prepared by bromination of 3-nitro-4-pyridone followed by chlorination, was oxidized to the corresponding 2-pyridone, which was chlorinated and substituted with ethylamine to give III, which underwent substitution with 4-fluorophenol, reduction, and cyclization with cyanoacetic acid to form IV. Nitrous acid resulted in the transformation of IV into an oxime, which, upon heterocyclization with hydroxylamine, gave the aminofurazan-containing structure V. The compds. of the invention were tested for their inhibition of Rho-kinases (no data).

L2 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2005:120747 CAPLUS  
DOCUMENT NUMBER: 142:219283  
TITLE: Preparation of 1H-imidazo[4,5-c]pyridin-2-yl derivatives as inhibitors of Akt activity  
INVENTOR(S): Heerding, Dirk A.; Clark, Tammy J.; Drewry, David H.; Leber, Jack Dale; Safonov, Igor; Yamashita, Dennis S.  
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
SOURCE: PCT Int. Appl., 212 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011700	A1	20050210	WO 2004-US24340	20040728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-490851P P 20030729  
 US 2003-491055P P 20030730  
 US 2003-493101P P 20030806  
 US 2003-494752P P 20030813  
 US 2003-507014P P 20030929  
 US 2003-530847P P 20031218

OTHER SOURCE(S): MARPAT 142:219283  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein Het = 4-furazan-3-yl, 4-pyridinyl, 2-aminopyridin-4-yl, 2-amino-pyrimidin-5-yl, etc.; R1 = H, (un)substituted alkyl, cycloalkyl containing 1-4 heteroatoms; R4 = H, halo, (un)substituted alkyl, cycloalkyl, poly/cyclic aromatic ring; R7 = H, CONR9R10 and derivs., SO2NR9R10 and derivs., N(CH2)mNR9R10etc.; m = 6, where the carbon chain formed by m is optionally substituted; R9, R10 = independently H, (un)substituted alkyl, cycloalkyl etc.; with the exception of one compound; and their pharmaceutically acceptable salts, hydrates, solvates, and prodrugs] were prepared as inhibitors of protein kinase B activity. For example, II•xTFA was prepared via cyclocondensation of N-(1-Benzylpiperidin-4-yl)-2-chloropyridin-3,4-diamine (preparation given) with Et cyanoacetate, followed by Pd-coupling with Ph boronic acid, reaction with NaNO2 and NH2OH of acetonitrile intermediate, and Bn-deprotection. In an Akt inhibitory activity assay, III displayed IC50 values of 0.069, 0.038, and 0.032, against delta-PH domain of Akt1, Akt2, and Akt3, resp. Thus, I are useful in the treatment of cancer and arthritis (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99357 CAPLUS

DOCUMENT NUMBER: 142:198088

TITLE: Preparation of pyrimidinecarboxamides, pyrimidinylcarbamates and related compounds as inhibitors of T cell activation for the treatment of inflammatory diseases

INVENTOR(S): Nunes, Joseph J.; Zhu, Xiaotian; Amouzegh, Patricia; Ghiron, Chiara; Johnston, David N.; Power, Eoin Christopher

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 462 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009443	A1	20050203	WO 2004-US20243	20040624

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-482375P

P 20030624

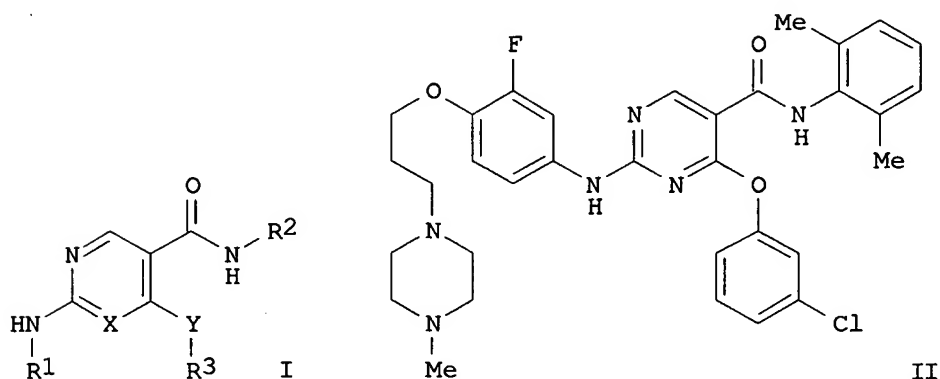
US 2004-875896

A 20040623

OTHER SOURCE(S):

MARPAT 142:198088

GI



AB Pyrimidine and pyridine **carboxamides** I [wherein X = N or CH; Y = NH, O or S; R1 - R3 = certain (un)substituted monocyclic or bicyclic ring; or pharmaceutically acceptable salts thereof] as well as pyrimidinylcarbamates were prepared as inhibitors of T cell activation. For example, 2,4-dichloropyrimidine-5-carbonyl chloride, obtained by globally chlorination of uracil-5-carboxylic acid monohydrate with PCl5 in POCl3, underwent amidation with 2,6-dimethylaniline, followed by etherification with 3-chlorophenol and subsequent amination with 3-fluoro-4-(3-(4-methyl-1-piperazinyl)propoxy)aniline to give pyrimidinecarboxamide II. Representative compds. I exhibited inhibition with IC50 values of <10  $\mu$ M in the LCK-homogeneous time resolved fluorescent kinase assay. Therefore, I and pharmaceutical compns. thereof are useful in the treatment of many diseases such as inflammation.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1082026 CAPLUS

DOCUMENT NUMBER: 142:38288

TITLE: Preparation of dibenzo[b,e][1,4]diazepin-11-ones as kinase inhibitors for treatment of cancer

INVENTOR(S): Hasvold, Lisa A.; Hexamer, Laura; Li, Gaoquan; Lin, Nan-horng; Sham, Hing; Sullivan, Gerard M.; Wang, Le; Xia, Ping

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 137 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004254159	A1	20041216	US 2004-785120	20040225
WO 2004076424	A1	20040910	WO 2004-US5728	20040226

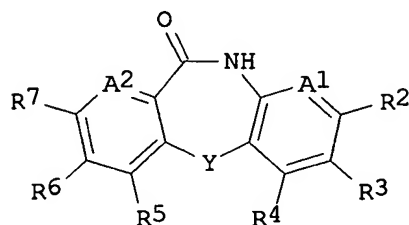
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

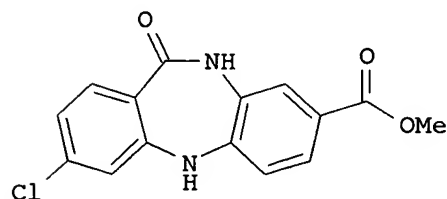
PRIORITY APPLN. INFO.:

US 2003-450476P P 20030227  
 US 2003-375412 A 20030227  
 US 2004-785120 A 20040225

OTHER SOURCE(S): MARPAT 142:38288  
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I



II

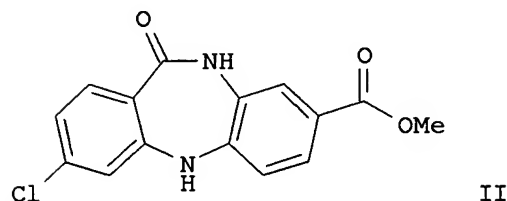
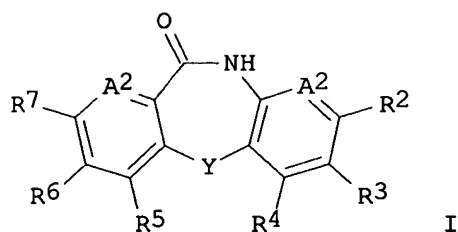
AB Title heterocycles and analogs I [wherein A1 = CR1, N; A2 = CR8, N; R1, R8 = independently H, alkoxy, (hydroxy)alkyl, amino(alkyl), CN, halo, OH, NO2; R2-R5 = independently H, alkenyl, (alkoxy)alkoxy(alkoxy), (alkoxy)alkyl, alkoxycarbonyl(alkyl), alkylcarbonyl(alkyl), amino(alkoxy), aminoalkyl, aminocarbonyl(alkyl), aminosulfonyl, aryl(alkoxy), aryl(oxy)alkyl, carboxy(alkyl), cyano(alkyl), cycloalkyl(alkyl), halo(alkoxy), haloalkyl, heterocyclyl(alkoxy), heterocyclyl(carbonyl)alkyl, heterocyclyloxyalkyl, hydroxy(alkoxy), hydroxyalkyl, nitro(alkyl), carbamoyl(alkyl); one of R6 and R7 = H and the other = H, aryl, cycloalkyl, halo, heterocyclyl, XR13; R13 = aryl, cycloalkyl, heterocyclyl; X = O, NR14, CO, S, SO2, (CH2)n, CONR14, NR14CO, SO2NR14, NR14SO2, O(CH2)m, (CH2)mO, CH=CH, C.tplbond.C; R14 = H, alkenyl, (amino)alkyl, hydroxyalkyl; Y = NR15, O; R15 = H, alkoxycarbonyl, (cyclo)alkyl, alkylcarbonyl, arylalkyl, cycloalkylalkyl; m = 0-3; n = 1-3; and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, N-alkylation of Me 3,4-diaminobenzoate

with Me 4-chloro-2-iodobenzoate using Cu and K<sub>2</sub>CO<sub>3</sub> in PhCl gave Me 2-[[2-amino-4-(methoxycarbonyl)phenyl]amino]-4-chlorobenzoate (68%), which was cyclized with 37% HCl in MeOH to provide II (87%). In enzymic assays using recombinant Chk1 kinase domain protein and human cdc25c peptide substrate, compds. of the invention inhibited Chk1 at IC<sub>50</sub> values between about 0.2 nM and about 280µM. Thus, I and their pharmaceutical compns. are useful for treatment of cancer (no data).

L2 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:740305 CAPLUS  
 DOCUMENT NUMBER: 141:260782  
 TITLE: Preparation of dibenzo[b,e][1,4]diazepin-11-ones as kinase inhibitors for treatment of cancer  
 INVENTOR(S): Hasvold, Lisa A.; Hexamer, Laura; Li, Gaoquan; Lin, Nan-horng; Sham, Hing; Sowin, Tom; Sullivan, Gerard M.; Wang, Le; Xia, Ping Xia  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: PCT Int. Appl., 382 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004076424	A1	20040910	WO 2004-US5728	20040226
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004254159	A1	20041216	US 2004-785120	20040225
PRIORITY APPLN. INFO.:			US 2003-375412	A 20030227
			US 2004-785120	A 20040225
			US 2003-450476P	P 20030227
OTHER SOURCE(S):	MARPAT	141:260782		
GI				





AB Title heterocycles and analogs I [wherein A1 = CR1, N; A2 = CR8, N; R1, R8 = independently H, alkoxy, (hydroxy)alkyl, amino(alkyl), CN, halo, OH, NO2; R2-R5 = independently H, alkenyl, (alkoxy)alkoxy(alkoxy), (alkoxy)alkyl, alkoxycarbonyl(alkyl), alkylcarbonyl(alkyl), amino(alkoxy), aminoalkyl, aminocarbonyl(alkyl), aminosulfonyl, aryl(alkoxy), aryl(oxy)alkyl, carboxy(alkyl), cyano(alkyl), cycloalkyl(alkyl), halo(alkoxy), haloalkyl, heterocyclyl(alkoxy), heterocyclyl(carbonyl)alkyl, heterocyclyloxyalkyl, hydroxy(alkoxy), hydroxyalkyl, nitro(alkyl), carbamoyl(alkyl); one of R6 and R7 = H and the other = H, aryl, cycloalkyl, halo, heterocyclyl, XR13; R13 = aryl, cycloalkyl, heterocyclyl; X = O, NR14, CO, S, SO2, (CH2)n, CONR14, NR14CO, SO2NR14, NR14SO2, O(CH2)m, (CH2)mO, CH=CH, C.tplbond.C; R14 = H, alkenyl, (amino)alkyl, hydroxyalkyl; Y = NR15, O; R15 = H, alkoxycarbonyl, (cyclo)alkyl, alkylcarbonyl, arylalkyl, cycloalkylalkyl; m = 0-3; n = 1-3; and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, N-alkylation of Me 3,4-diaminobenzoate with Me 4-chloro-2-iodobenzoate using Cu and K2CO3 in PhCl gave Me 2-[[2-amino-4-(methoxycarbonyl)phenyl]amino]-4-chlorobenzoate (68%), which was cyclized with 37% HCl in MeOH to provide II (87%). In enzymic assays using recombinant Chk1 kinase domain protein and human cdc25c peptide substrate, compds. of the invention inhibited Chk1 at IC50 values between about 0.2 nM and about 280µM. Thus, I and their pharmaceutical compns. are useful for treatment of cancer (no data).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:652634 CAPLUS

DOCUMENT NUMBER: 141:174087

TITLE: Preparation of fused azabicyclic compounds that inhibit vanilloid receptor subtype 1 (VR1)

INVENTOR(S): Lee, Chih-Hung; Bayburt, Erol K.; Didomenico, Stanley; Drizin, Irene; Gomtsyan, Arthur R.; Koenig, John R.; Perner, Richard J.; Schmidt, Robert G.; Turner, Sean C.; White, Tammie K.; Zheng, Guo Zhu

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 93 pp., Cont.-in-part of U.S. Ser. No. 364,210.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

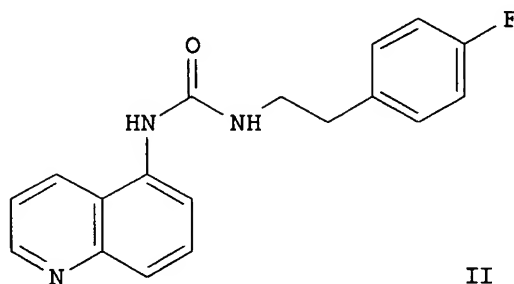
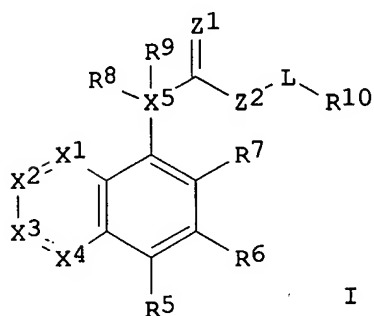
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157849	A1	20040812	US 2003-634678	20030805
US 2003158198	A1	20030821	US 2003-364210	20030211
WO 2005016890	A1	20050224	WO 2004-US25109	20040804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

## PRIORITY APPLN. INFO.:

US 2003-364210 A2 20030211  
US 2002-358220P P 20020220  
US 2003-634678 A 20030805

OTHER SOURCE(S): MARPAT 141:174087  
GI



AB Compds. of formula I [X1-X5 = (substituted) N, (substituted) CH; Z1 = O, NH, S; Z2 = bond, NH, O; L = alkylene, cycloalkylene, piperazinediyl, etc.; R5-R9 = H, alkyl, alkenyl, alkoxy, carboxy, cycloalkyl, formyl, mercapto, etc.; R10 = H, aryl, cycloalkyl, heterocyclyl] are prepared as vanilloid receptor subtype 1 (VR1) antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity. Thus, II was prepared from 5-aminoisoquinoline and 2-(3-fluorophenyl)ethylamine. The prepared compds. were found to be antagonists of VR1 with IC50 of 0.1 nM to 1000 nM.

L2 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:606471 CAPLUS

DOCUMENT NUMBER: 141:157123

TITLE: Preparation of pyrrolopyridazines as inhibitors of phosphodiesterase IV (PDE IV) and production of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ )

INVENTOR(S): Abe, Yoshito; Inoue, Makoto; Mizutani, Tsuyoshi; Sawada, Kozo; Ohne, Kazuhiko; Okumura, Mitsuaki; Sawada, Yuki; Imamura, Kenichiro

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 360 pp.

CODEN: PIXXD2

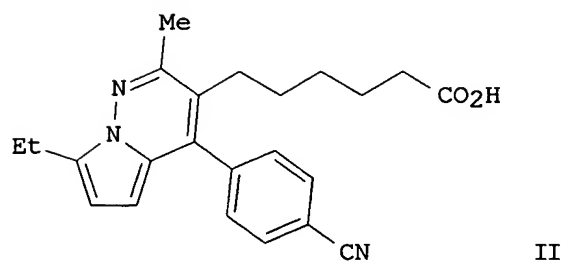
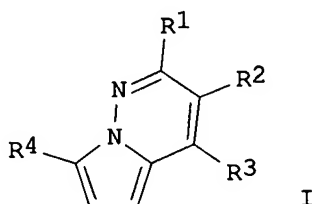
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063197	A1	20040729	WO 2003-JP17091	20031226
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 2005075342	A1	20050407	US 2003-747079	20031230
PRIORITY APPLN. INFO.:			AU 2003-900189	A 20030109
			AU 2003-903628	A 20030714
OTHER SOURCE(S):	MARPAT 141:157123			
GI				



AB Title compds. I [wherein R1 = (un)protected CO<sub>2</sub>H, CONH<sub>2</sub> and derivs., OH and lower alkoxy, mono/di/cyclo(lower)alkylamino, trihalo(lower)alkyl, (un)substituted lower alkyl, aryl, heterocyclyl; R2 = R7, or -(A1)<sub>p</sub>-XA2A7; p = 0-1; A1 = ethylene, HC:CH; A2 = (CH<sub>2</sub>)<sub>n</sub>, (HC:CH)<sub>m</sub>; n = 1-6; m = 1-3; X = a single bond, O, NH and derivs., C(:O), hydroxyalkylne, etc.; R7 = H, (un)substituted aryl, heterocyclyl, CO<sub>2</sub>H and derivs., acyl, CN, NH<sub>2</sub> and derivs., OH, aryloxy, acyloxy; R1R2 = (un)substituted lower alk(en)ylene, optionally interrupted by nH<sub>2</sub>, or sulfonyl, and optionally fused with benzene; R3 = (un)substituted aryl, heterocyclyl; R4 = H, halo, CN, carbamoyl, acyl, thiocyanate, lower alkylthio, lower alk(en)yl, hydroxy(lower)alkyl, trihalo(lower)alkyl; and their pharmaceutically acceptable salts or prodrugs] were prepared as inhibitors of phosphodiesterase IV (PDE IV) and production of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ). Thus, reacting Et 7-(4-cyanobenzoyl)-8-oxononanoate

(preparation given) with 2-ethyl-1H-pyrrol-1-amine in toluene in the presence of p-TSA at reflux, followed ester hydrolysis in the presence of KOH/MeOH gave pyrrolopyridazine II and its 4-(aminocarbonyl)phenyl derivative Pyrrolopyridazine II displayed an IC50 < 1  $\mu$ M for PDE IV inhibition. II gave an IC50 < 100  $\mu$ M for the inhibition of TNF- $\alpha$  production I are useful for treating asthma, COPD, fibrosis, hepatitis, Alzheimer's diseases, etc.

L2 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:390239 CAPLUS

DOCUMENT NUMBER: 140:406743

TITLE: Preparation of aryl and heteroaryl amides, in particular benzamides and pyridinyl amides, as apolipoprotein B (Apo B) secretion inhibitors

INVENTOR(S): Inoue, Yoshikazu; Terasawa, Takeshi; Takasugi, Hisashi; Nagayoshi, Akira; Ueshima, Koji; Sawada, Masae; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Fukumoto, Daisuke

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.; et al.

SOURCE: PCT Int. Appl., 331 pp.

CODEN: PIXXD2

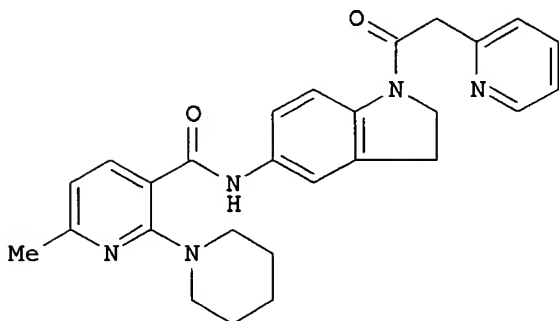
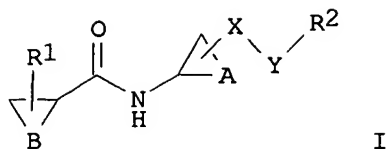
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039795	A2	20040513	WO 2003-JP13683	20031027
WO 2004039795	A3	20050324		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004133008	A1	20040708	US 2003-694091	20031028
PRIORITY APPLN. INFO.:			AU 2002-952331	A 20021029
			AU 2003-902622	A 20030527
OTHER SOURCE(S):	MARPAT 140:406743			
GI				



AB Title compds. I [wherein R1 = H, lower alk/en/yl, halo(lower)alkyl, cyclo(lower)alkyl, lower alkoxy, lower alkylthio, acyl, NH2 and derivs., (un)substituted aryl; R2 = H, (un)substituted hetero/aryl; X = a bond or bivalent residue derived from piperazine; Y is -(A1)n-(A2)m-; A1 = O, NH, CO, NHCO, CONH, CH2CONH, etc.; A2 = (un)substituted lower alkylene, n and m = independently 0 or 1; A = bivalent residue derived from hetero/arene; B = bivalent residue derived from (un)substituted hetero/arene; and their salts] were prepared as inhibitors of apolipoprotein B (Apo B) secretion, and as a medicament for prophylactic and treatment of diseases or conditions resulting from elevated circulating levels of Apo B. For example, II was prepared by acylation of N-(2,3-dihydro-1H-indol-5-yl)-6-methyl-2-(1-piperidinyl)nicotinamide (preparation given) with 2-pyridinyl acetic acid dihydrochloride. N-[4-[[2-(6-Amino-2-pyridinyl)ethyl]amino]phenyl]-4-chloro-2-(dimethylamino)benzamide (III) displayed 85.9% inhibition of Apo B secretion at 10<sup>-8</sup> M,. III, at a dose of 0.32 mg/kg lowered lipid levels in ddY-mice by 52% after 2 h. I are useful as hypolipemic, antidiabetic, and cardiovascular agents.

L2 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252512 CAPLUS

DOCUMENT NUMBER: 140:287376

TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase inhibitors for treatment of COPD, asthma, or allergic rhinitis

INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Knowles, Richard Graham; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Trivedi, Naimisha; Ward, Peter

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 293 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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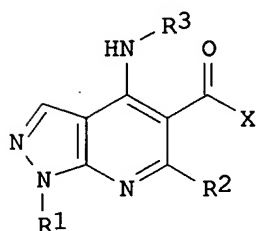
WO 2004024728 A2 20040325 WO 2003-EP11814 20030912  
 WO 2004024728 A3 20041021

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 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

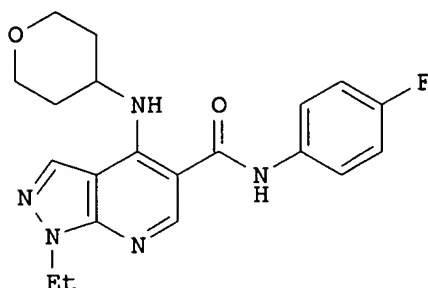
PRIORITY APPLN. INFO.:

GB 2002-21455 A 20020916  
 GB 2002-30045 A 20021223  
 GB 2003-6595 A 20030321  
 GB 2003-8017 A 20030407  
 GB 2003-19708 A 20030821  
 GB 2003-21074 A 20030909

OTHER SOURCE(S): MARPAT 140:287376  
 GI



I



II

AB Title compds. I [wherein R1 = (fluoro)alkyl, (CH2)2OH, (CH2)2CO2-alkyl; R2 = HMe, fluoroalkyl; R3 = (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; X = NR4R5, OR5a; R4 = H, (fluoro)alkyl, (un)substituted cycloalkyl(alkyl); R5 = substituted alkyl, acyl(alkyl), carboxy(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), alkylsulfonyl(alkyl), or cyano(alkyl); R5a = (fluoro)alkyl, cycloalkyl(alkyl), substituted Ph; and salts thereof] were prepared as phosphodiesterase (PDE) inhibitors, in particular PDE4 inhibitors. The invention also provides for the use of I or pharmaceutically acceptable salts thereof for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. For example, 4-chloro-1-ethyl-N-(4-fluorophenyl)1H-pyrazolo[3,4-b]pyridine-5-carboxamide (preparation given) was coupled with 4-aminotetrahydropyran in EtOH using TEA to give II. The latter inhibited human recombinant PDE 4B with a pIC50 of 7.9 and suppressed LPS-induced pulmonary neutrophilia in rats with an ED50 in the range of about 0.5 mg/kg to about 2 mg/kg. In the rat pica model of emesis, II exhibited pica response values (ED50 ranging from 4.8 mg/kg to 40 mg/kg) higher than the neutrophilia-inhibition doses and displayed a therapeutic index >2. Thus, II showed anti-inflammatory effects with low emetic side effects.

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L2 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:369223 CAPLUS

DOCUMENT NUMBER: 142:430270

TITLE: Preparation of 1,7-disubstituted azabenzimidazoles as

Rho-kinase inhibitors, and their pharmaceutical compositions and methods of use

INVENTOR(S): Lee, Dennis; Stavenger, Robert; Goodman, Krista B.; Hilfiger, Mark A.; Cui, Haifeng; Marino, Joseph P.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037198	A2	20050428	WO 2004-US32825	20041006
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
PRIORITY APPLN. INFO.:			US 2003-508893P	P 20031006
			US 2003-532085P	P 20031223

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a group of novel azabenzimidazoles I, which are inhibitors of Rho-kinases. In compds. I, R1 is (un)substituted alkyl, Ph, heteroaryl, NH2, etc.; R2 is H, halo, (un)substituted alkyl, Ph, heteroaryl, heterocyclyl, CONH2, SONH2, NH2, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I as active ingredients, as well as to the use of the compns. for the treatment of disorders involving Rho-kinases. For instance, invention compound II was prepared in 8 steps: (1) chlorination of 3-nitro-4-pyridinol with POCl3, (2) substitution of the resultant chloride with 4-methoxyaniline, (3) hydrogenation of nitro to amino, (4) cyclocondensation of the diamine with Et cyanoacetate to give imidazopyridine derivative III, (5) treatment of III with HCl, NaNO2, NaOH, and NH2OH, to give the furazan derivative IV, (6) O-demethylation of IV, (7) Mitsunobu reaction of the resultant phenol with N-BOC-4-hydroxypiperidine, and (8) removal of BOC with TFA. Eight addnl. prepn. are given, and 72 specific examples of I are claimed by name. ROCK kinase inhibitory activity was determined using human recombinant ROCK1 kinase domain (amino acid 2-543) expressed in Sf9 cells (no data).

IT 850850-30-5P, 4-[1-Ethyl-7-[[3-(methoxyloxy)phenyl]thio]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-31-6P, Phenylmethyl 4-[[4-[2-(4-aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]-1-piperidinecarboxylate 850850-32-7P, 4-[1-[4-[[2-Methyl-1,3-thiazol-4-yl)methyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-33-8P, 4-[1-[4-[[2-(4-Chlorophenyl)-1,3-thiazol-4-yl)methyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-34-9P, 4-[1-[4-[[5-Phenyl-1,2,4-oxadiazol-3-yl)methyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-35-0P, 4-[1-[4-[[5-Methyl-3-isoxazolyl)methyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-36-1P, 4-[1-[4-

[[(Methylsulfonyl)methyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-37-2P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-[4-(methyloxy)phenyl]-1H-imidazo[4,5-c]pyridin-7-amine 850850-38-3P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-(4-piperidinylmethyl)-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-39-4P, 4-[7-[[4-(Aminomethyl)-1-piperidinyl]sulfonyl]-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-40-7P, 4-[1-Ethyl-7-(1-piperazinylsulfonyl)-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-41-8P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-4-piperidinyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-42-9P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-(3-pyrrolidinyl)-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-43-0P, N-(trans-4-Aminocyclohexyl)-2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-44-1P, 4-[7-[[[(3R)-3-Amino-1-pyrrolidinyl]sulfonyl]-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-45-2P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-(phenylmethyl)-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-46-3P, N-[[4-(Aminomethyl)cyclohexyl]methyl]-2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-47-4P, 2-[4-[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]sulfonyl]-1-piperazinyl]ethanol 850850-48-5P, N-(2-Aminoethyl)-2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-49-6P, 4-[1-Ethyl-7-[(4-methyl-1-piperazinyl)sulfonyl]-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-50-9P, 4-[[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]sulfonyl]amino]methyl]benzoic acid 850850-51-0P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-[3-(methylamino)propyl]-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-52-1P, 2-(4-Aminofurazan-3-yl)-N-(3-aminopropyl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-53-2P, N-(4-Aminobutyl)-2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-sulfonamide 850850-54-3P, 4-[1-(1-Methyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-55-4P, 4-[1-Ethyl-7-(2-pyridinylthio)-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-57-6P, N-[2-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]ethyl]-N-methylglycine 850850-58-7P, 1,1-Dimethylethyl (3S)-3-[[[2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]amino]methyl]-1-pyrrolidinecarboxylate 850850-59-8P, 4-[1-[4-[(1-Methyl-3-pyrrolidinyl)oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-60-1P, 4-[1-Ethyl-7-(4-pyridinylthio)-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-62-3P, 4-[1-Ethyl-7-[[4-(methyloxy)phenyl]sulfinyl]-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-63-4P, 2-(4-Aminofurazan-3-yl)-N-[2-(2-chlorophenyl)-2-(dimethylamino)ethyl]-1-ethyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-64-5P, 2-(4-Aminofurazan-3-yl)-N-[4-(dimethylamino)butyl]-1-ethyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-65-6P, 4-[1-Ethyl-7-(1-pyrrolidinyl)-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-66-7P, [[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]acetic acid 850850-67-8P, 1,1-Dimethylethyl [[4-[2-(4-aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]acetate 850850-69-0P, 4-[7-[3-(1-Aminoethyl)phenyl]-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl] furazan-3-amine 850850-70-3P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-((3S)-3-pyrrolidinylmethyl)-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-71-4P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-((3R)-3-pyrrolidinylmethyl)-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-72-5P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-(tetrahydro-2H-pyran-4-yl)-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-73-6P, N-[[3-(Aminomethyl)cyclohexyl]methyl]-2-(4-aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-74-7P, 4-[[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]amino]methyl]benzoic acid 850850-75-8P, 2-(4-Aminofurazan-3-yl)-N-[4-(diethylamino)-1-methylbutyl]-1-ethyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 850850-76-9P, 2-(4-Aminofurazan-3-yl)-1-ethyl-N-[2-



[4-(methyloxy)phenyl]-2-phenylethyl]-1H-imidazo[4,5-c]pyridine-7-carboxamide 850850-77-0P, N-[2-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]ethyl]-N-methylacetamide 850850-78-1P, N-[2-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]ethyl]-N-methylmethanesulfonamide 850850-79-2P, N-[2-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]ethyl]-N'-phenylurea 850850-80-5P, N-[2-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]ethyl]-N'-ethylurea 850850-82-7P, 4-[1-[4-[2-(Phenylamino)ethyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-83-8P, [4-[[4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]phenyl]oxy]-1-piperidinyl]acetic acid 850850-84-9P, 1-[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]-4-piperidinamine 850850-85-0P, 2-[4-[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]-1-piperazinyl]ethanol 850850-86-1P, N-[4-[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]thio]phenyl]acetamide 850850-87-2P, N-[4-[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]sulfinyl]phenyl]acetamide 850850-88-3P, 4-[7-[[3S]-3-Amino-1-pyrrolidinyl]carbonyl]-1-[4-[[2-(dimethylamino)ethyl]oxy]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-89-4P, 1-[[2-(4-Aminofurazan-3-yl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]-4-piperidinecarboxamide 850850-90-7P, 4-[1-[4-[[2-(Dimethylamino)ethyl]oxy]phenyl]-7-(1-pyrrolidinylcarbonyl)-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-91-8P, 4-[7-[[3S]-3-Amino-1-pyrrolidinyl]carbonyl]-1-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-92-9P, 4-[1-Phenyl-7-(1-pyrrolidinylcarbonyl)-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-93-0P, 4-[1-[4-[[3,3-Dimethylbutyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-94-1P, 4-[1-[4-[[2-Methylpropyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-95-2P, 4-[1-[4-[[1-Methylethyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-96-3P, 4-[1-[4-[[3-Methylbutyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-97-4P, 4-[1-[4-[[Phenylmethyl]amino]phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850850-98-5P, 4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]-N-methylbenzamide 850850-99-6P, 4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]-N-(1-methylethyl)benzamide 850851-00-2P, 4-[1-[4-(1-Pyrrolidinylcarbonyl)phenyl]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850851-01-3P, 4-[2-(4-Aminofurazan-3-yl)-1H-imidazo[4,5-c]pyridin-1-yl]benzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 1,7-disubstituted azabenzimidazoles as Rho-kinase inhibitors)

L2 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:346805 CAPLUS

DOCUMENT NUMBER: 142:392411

TITLE: Preparation of 1,6,7-trisubstituted azabenzimidazoles as Rho-kinase inhibitors

INVENTOR(S): Lee, Dennis; Stavenger, Robert A.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005034866      A2      20050421      WO 2004-US32909      20041006  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.:  
GI

US 2003-509123P      P 20031006

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to a group of novel azabenzimidazoles I, which are inhibitors of Rho-kinases. In compds. I, R1 is H or C1-6 alkyl; R2 is halo or optionally substituted Ph, heteroaryl, or **carboxamide**; R3 is halo, (un)substituted C1-6 alkoxy, (un)substituted phenoxy, heteroaryloxy, or heterocyclyloxy. The invention also relates to the preparation of I, pharmaceutical compns. containing I as active ingredients, as well as to the use of the compns. for the treatment of disorders involving Rho-kinases. II, prepared by bromination of 3-nitro-4-pyridone followed by chlorination, was oxidized to the corresponding 2-pyridone, which was chlorinated and substituted with ethylamine to give III, which underwent substitution with 4-fluorophenol, reduction, and cyclization with cyanoacetic acid to form IV. Nitrous acid resulted in the transformation of IV into an oxime, which, upon heterocyclization with hydroxylamine, gave the aminofurazan-containing structure V. The compds. of the invention were tested for their inhibition of Rho-kinases (no data).
- AB The invention relates to a group of novel azabenzimidazoles I, which are inhibitors of Rho-kinases. In compds. I, R1 is H or C1-6 alkyl; R2 is halo or optionally substituted Ph, heteroaryl, or **carboxamide**; R3 is halo, (un)substituted C1-6 alkoxy, (un)substituted phenoxy, heteroaryloxy, or heterocyclyloxy. The invention also relates to the preparation of I, pharmaceutical compns. containing I as active ingredients, as well as to the use of the compns. for the treatment of disorders involving Rho-kinases. II, prepared by bromination of 3-nitro-4-pyridone followed by chlorination, was oxidized to the corresponding 2-pyridone, which was chlorinated and substituted with ethylamine to give III, which underwent substitution with 4-fluorophenol, reduction, and cyclization with cyanoacetic acid to form IV. Nitrous acid resulted in the transformation of IV into an oxime, which, upon heterocyclization with hydroxylamine, gave the aminofurazan-containing structure V. The compds. of the invention were tested for their inhibition of Rho-kinases (no data).
- IT 850180-79-9P, 4-[1-Ethyl-6-[(4-fluorophenyl)oxy]-7-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850180-89-1P, 4-[1-Ethyl-7-(4-fluorophenyl)-6-[(4-fluorophenyl)oxy]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850180-91-5P, (S)-4-[7-[(3-Amino-1-pyrrolidinyl)carbonyl]-1-ethyl-6-[(4-fluorophenyl)oxy]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine 850180-93-7P, 1,1-Dimethylethyl [3-[[2-(4-aminofurazan-3-yl)-7-bromo-1-ethyl-1H-imidazo[4,5-c]pyridin-6-yl]oxy]phenyl]carbamate 850180-94-8P, N-[3-[[2-(4-Aminofurazan-3-yl)-7-bromo-1-ethyl-1H-imidazo[4,5-c]pyridin-6-yl]oxy]phenyl]acetamide 850180-95-9P, 4-[1-Ethyl-7-[3-[(ethylamino)methyl]phenyl]-6-[(4-fluorophenyl)oxy]-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-amine  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of trisubstituted azabenzimidazoles as Rho-kinase inhibitors)

L2 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:120747 CAPLUS

DOCUMENT NUMBER: 142:219283

TITLE: Preparation of 1H-imidazo[4,5-c]pyridin-2-yl derivatives as inhibitors of Akt activity

INVENTOR(S): Heerding, Dirk A.; Clark, Tammy J.; Drewry, David H.; Leber, Jack Dale; Safonov, Igor; Yamashita, Dennis S.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 212 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005011700	A1	20050210	WO 2004-US24340	20040728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-490851P	P 20030729
			US 2003-491055P	P 20030730
			US 2003-493101P	P 20030806
			US 2003-494752P	P 20030813
			US 2003-507014P	P 20030929
			US 2003-530847P	P 20031218

OTHER SOURCE(S): MARPAT 142:219283  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein Het = 4-furazan-3-yl, 4-pyridinyl, 2-aminopyridin-4-yl, 2-amino-pyrimidin-5-yl, etc.; R1 = H, (un)substituted alkyl, cycloalkyl containing 1-4 heteroatoms; R4 = H, halo, (un)substituted alkyl, cycloalkyl, poly/cyclic aromatic ring; R7 = H, CONR9R10 and derivs., SO2NR9R10 and derivs., N(CH2)mNR9R10etc.; m = 6, where the carbon chain formed by m is optionally substituted; R9, R10 = independently H, (un)substituted alkyl, cycloalkyl etc.; with the exception of one compound; and their pharmaceutically acceptable salts, hydrates, solvates, and prodrugs] were prepared as inhibitors of protein kinase B activity. For example, II-xTFA was prepared via cyclocondensation of N-(1-Benzylpiperidin-4-yl)-2-chloropyridin-3,4-diamine (preparation given) with Et cyanoacetate, followed by Pd-coupling with Ph boronic acid, reaction with NaNO2 and NH2OH of acetoneitrile intermediate, and Bn-deprotection. In an Akt inhibitory activity assay, III displayed IC50 values of 0.069, 0.038, and 0.032, against delta-PH domain of Akt1, Akt2, and Akt3, resp.

Thus, I are useful in the treatment of cancer and arthritis (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 842149-45-5P, 4-[2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-7-[[3-[[2-[4-(methyloxy)phenyl]ethyl]amino]propyl]oxy]-1H-imidazo[4,5-c]pyridin-4-yl]-2-methyl-3-butyn-2-ol 842149-47-7P, 1-[2-(4-Aminofurazan-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]-1-(3-aminopyrrolidin-1-yl)methanone 842149-48-8P, [4-[4-(3-Chlorophenyl)-1-(piperidin-4-yl)-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-yl]amine 842149-49-9P, 4-[4-Phenyl-1-(3-piperidinylmethyl)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-50-2P, 4-[4-(3-Chlorophenyl)-1-[(3-piperidinyl)methyl]-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-51-3P, 4-[4-(4-Chlorophenyl)-1-[(3-piperidinyl)methyl]-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-52-4P, 4-[1-(3-Aminopropyl)-4-(2-thienyl)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-53-5P, 4-[1-(3-Aminopropyl)-4-(1-piperidinyl)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-54-6P, 1-[2-(4-Aminofurazan-3-yl)-1-ethyl-4-(thiophen-3-yl)-1H-imidazo[4,5-c]pyridin-7-yl]-1-(3-aminopyrrolidin-1-yl)methanone 842149-55-7P, 1-[2-(4-Aminofurazan-3-yl)-1-ethyl-4-pyridinyl-1H-imidazo[4,5-c]pyridin-7-yl]-1-(3-aminopyrrolidin-1-yl)methanone 842149-56-8P, 4-[1-Ethyl-4-phenyl-7-(4-piperidinyloxy)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-57-9P, 4-[4-(3-Chlorophenyl)-1-ethyl-7-(4-piperidinyloxy)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-58-0P, 4-[4-(2,5-Dichlorophenyl)-1-ethyl-7-(4-piperidinyloxy)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-59-1P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-(1-methylethyl)-4-phenyl-N-(3-pyrrolidinyl)-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-60-4P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-N-[3-(4-morpholinyl)propyl]-4-phenyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-61-5P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-N-[2-(1H-imidazol-4-yl)ethyl]-4-phenyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-62-6P, N-[1-[[2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]**carbonyl**]-3-pyrrolidinyl]-N-methylacetamide 842149-63-7P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-N-[3-(dimethylamino)propyl]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-64-8P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-cyclopentyl-4-phenyl-N-(3-pyrrolidinyl)-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-65-9P, (3R)-1-[[2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]**carbonyl**]-3-pyrrolidinol 842149-66-0P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-N-[3-(2-methyl-1-piperidinyl)propyl]-4-phenyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-67-1P, 4-[1-Methyl-7-[[3-(methylamino)-1-pyrrolidinyl]**carbonyl**]-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-68-2P, 4-[7-[(3-Amino-1-pyrrolidinyl)**carbonyl**]-1-methyl-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-69-3P, 4-[1-Butyl-7-[[3-(methylamino)-1-pyrrolidinyl]**carbonyl**]-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-70-6P, 4-[7-[(3-Amino-1-pyrrolidinyl)**carbonyl**]-1-butyl-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-71-7P, 1-[[2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]**carbonyl**]-3-piperidinecarboxamide 842149-72-8P, N-(2-Amino-3-hydroxypropyl)-2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-**carboxamide** 842149-73-9P, [4-[[2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]**carbonyl**]-2-piperazinyl]methanol 842149-74-0P, 4-[1-Ethyl-7-[[3-[(methyloxy)methyl]-1-piperazinyl]**carbonyl**]-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842149-75-1P, Diethyl 1-[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-7-hydroxy-4-phenyl-1H-imidazo[4,5-c]pyridin-6-yl]-1,2-hydrazinedicarboxylate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Akt inhibitor; preparation of 1H-imidazo[4,5-a]pyridin-2-yl derivs. as inhibitors of Akt activity for treating cancer and arthritis)

IT 73025-50-0P, (Z)-2-Nitro-1-phenylethanamine 562825-95-0P, Ethyl(3-nitropyridin-4-yl)amine 607371-01-7P, Ethyl(3-bromo-5-nitropyridin-4-yl)amine 607371-03-9P, 5-Bromo-N'-ethylpyridine-3,4-diamine 607373-60-4P, [4-(7-Bromo-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)furazan-3-yl]carbamic acid tert-butyl ester 842143-52-6P, (1-Benzylpiperidin-4-yl)(3-nitropyridin-4-yl)amine 842143-53-7P, N'-(1-Benzylpiperidin-4-yl)-2-chloropyridin-3,4-diamine 842143-54-8P, [1-(1-Benzylpiperidin-4-yl)-4-chloro-1H-imidazo[4,5-c]pyridin-2-yl]acetonitrile 842143-55-9P, [1-(1-Benzylpiperidin-4-yl)-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]acetonitrile 842143-56-0P, [4-[1-(1-Benzylpiperidin-4-yl)-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-yl]amine 842143-60-6P, N-(3-Nitropyridin-4-yl)-2,2-dimethyl-1,3-propanediamine 842143-61-7P, 2-[3-(3-Nitropyridin-4-ylamino)-2,2-dimethylpropyl]isoindole-1,3-dione 842143-62-8P, 2-[3-(3-Amino-2-chloropyridin-4-ylamino)-2,2-dimethylpropyl]isoindole-1,3-dione 842143-63-9P, [4-Chloro-1-[3-(1,3-dioxo-1,3-dihydroisoindol-2-yl)-2,2-dimethylpropyl]-1H-imidazo[4,5-c]pyridin-2-yl]acetonitrile 842143-65-1P, [4-Chloro-1-[3-(1,3-dioxo-1,3-dihydroisoindol-2-yl)-2,2-dimethylpropyl]-1H-imidazo[4,5-c]pyridin-2-yl]hydroxyiminoacetonitrile 842143-67-3P, 2-[4-Chloro-1-[3-(1,3-dioxo-1,3-dihydroisoindol-2-yl)-2,2-dimethylpropyl]-1H-imidazo[4,5-c]pyridin-2-yl]-N-hydroxy-2-hydroxyiminoacetamide 842143-69-5P, 2-[3-[2-(4-Aminofurazan-3-yl)-4-chloro-1H-imidazo[4,5-c]pyridin-1-yl]-2,2-dimethylpropyl]isoindole-1,3-dione 842143-71-9P, N-[3-[2-(4-Aminofurazan-3-yl)-4-(3-chlorophenyl)-1H-imidazo[4,5-c]pyridin-1-yl]-2,2-dimethylpropyl]phthalamic acid 842143-89-9P, N-(Cyclopropylmethyl)-3-nitro-4-pyridinamine 842143-90-2P, 2-Chloro-N'-(cyclopropylmethyl)-3,4-pyridinediamine 842143-91-3P, [4-Chloro-1-(cyclopropylmethyl)-1H-imidazo[4,5-c]pyridin-2-yl]acetonitrile 842143-92-4P, (2E)-[4-Chloro-1-(cyclopropylmethyl)-1H-imidazo[4,5-c]pyridin-2-yl](hydroxyimino)ethanenitrile 842143-97-9P, (7-Bromo-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)acetonitrile 842143-98-0P, [4-(7-Bromo-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-[1,2,5]oxadiazolidin-3-yl]amine 842143-99-1P, [4-(1-Ethyl-7-hydroxy-1H-imidazo[4,5-c]pyridin-2-yl)furazan-3-yl]carbamic acid tert-butyl ester 842144-00-7P, 4-[[2-[4-[(tert-Butoxycarbonyl)amino]furazan-3-yl]-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]oxy]piperidine-1-carboxylic acid tert-butyl ester 842144-03-0P, 5-Bromo-2-chloro-N'-ethylpyridine-3,4-diamine 842144-04-1P, N-(5-Bromo-2-chloro-4-ethylaminopyridin-3-yl)-2-cyanoacetamide 842144-05-2P, (7-Bromo-4-chloro-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)acetonitrile 842144-06-3P, (7-Bromo-4-chloro-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)hydroxyiminoacetonitrile 842144-08-5P, 1,1-Dimethylethyl [4-(7-bromo-4-chloro-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-1,2,5-oxadiazol-3-yl]carbamate 842144-09-6P, 4-Chloro-2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid 842144-10-9P, [4-[7-[1-[3-[(tert-Butoxycarbonyl)amino]pyrrolidin-1-yl]carbonyl]-4-chloro-1-ethyl-1H-imidazo[4,5-a]pyridin-2-yl]furazan-3-yl]carbamic acid tert-butyl ester 842144-11-0P, [4-[7-[3-[(tert-Butoxycarbonyl)amino]pyrrolidin-1-yl]methyl]-4-(3-chlorophenyl)-1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-yl]carbamic acid tert-butyl ester 842145-02-2P, 6-Chloro-4-(isopropylamino)-5-nitronicotinic acid ethyl ester 842145-03-3P, 4-Isopropylamino-5-nitro-6-phenylnicotinic acid ethyl ester 842145-04-4P, 5-Amino-4-isopropylamino-6-phenylnicotinic acid ethyl ester 842145-05-5P, 5-(2-Cyanoethanoylamino)-4-isopropylamino-6-phenylnicotinic acid ethyl ester 842145-06-6P, 2-Cyanomethyl-1-isopropyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid ethyl ester 842145-07-7P, 2-[1-Cyano-1-(hydroxyimino)methyl]-1-isopropyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid ethyl ester 842145-08-8P, Ethyl 2-(4-amino-1,2,5-oxadiazol-3-yl)-1-(1-methylethyl)-4-phenyl-1H-imidazo[4,5-

c]pyridine-7-carboxylate 842145-09-9P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-(1-methylethyl)-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid 842145-10-2P, 1,1-Dimethylethyl [1-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-(1-methylethyl)-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]-3-pyrrolidinyl]carbamate 842145-64-6P 842145-65-7P, Ethyl 4-hydroxy-5-nitro-6-phenyl-3-pyridinecarboxylate 842145-66-8P, Ethyl 4-chloro-5-nitro-6-phenyl-3-pyridinecarboxylate 842145-67-9P, Ethyl 4-(methylamino)-5-nitro-6-phenyl-3-pyridinecarboxylate 842145-68-0P, Ethyl 5-amino-4-(methylamino)-6-phenyl-3-pyridinecarboxylate 842145-70-4P, 1,1-Dimethylethyl [1-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-methyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]carbonyl]-3-pyrrolidinyl]methylcarbamate 842145-76-0P, Ethyl 2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842145-77-1P, Ethyl 2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842145-78-2P, 2-[4-[[[(1,1-Dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid 842145-79-3P, 1,1-Dimethylethyl [4-(7-amino-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl)-1,2,5-oxadiazol-3-yl]carbamate 842145-80-6P, Phenylmethyl 4-[[[2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]amino]carbonyl]-1-piperidinecarboxylate 842145-83-9P, 1,1-Dimethylethyl 3-[[[2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]amino]carbonyl]amino]-1-pyrrolidinecarboxylate 842145-88-4P, [2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]methanol 842145-89-5P, 4-[7-(Chloromethyl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842146-03-6P, 1,1-Dimethylethyl [4-(4-chloro-1-ethyl-7-hydroxy-1H-imidazo[4,5-c]pyridin-2-yl)-1,2,5-oxadiazol-3-yl]carbamate 842146-04-7P, 1,1-Dimethylethyl [4-(1-ethyl-7-hydroxy-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl)-1,2,5-oxadiazol-3-yl]carbamate 842146-05-8P, 4-[1-Ethyl-4-phenyl-7-[(4-piperidinylmethyl)oxy]-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842146-06-9P 842146-81-0P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-ol 842146-82-1P, 4-[1-Ethyl-7-[(2-oxiranylmethyl)oxy]-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842146-85-4P, 4-[7-[(2-Bromoethyl)oxy]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842148-12-3P, 1,1-Dimethylethyl 4-[4-chloro-2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]-1-piperazinecarboxylate 842148-13-4P, 1,1-Dimethylethyl 4-[2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-oxadiazol-3-yl]-1-ethyl-4-phenyl-1H-imidazo[4,5-c]pyridin-7-yl]-1-piperazinecarboxylate 842148-16-7P, Bis(1,1-dimethylethyl) [4-[7-[[[(1,1-dimethylethyl)oxy]carbonyl]oxy]-1-ethyl-4-(phenylethynyl)-1H-imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-yl]imidodicarbonate 842148-17-8P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-(phenylethynyl)-1H-imidazo[4,5-c]pyridin-7-ol 842148-18-9P, 1,1-Dimethylethyl [4-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-(phenylethynyl)-1H-imidazo[4,5-c]pyridin-7-yl]oxy]butyl]carbamate 842148-25-8P, [4-[7-[1-[3-[(tert-Butoxycarbonyl)amino]pyrrolidin-1-yl]methanoyl]-1-ethyl-4-phenylethynyl-1H-imidazo[4,5-c]pyridin-2-yl]furazan-3-yl]carbamic acid tert-butyl ester 842148-55-4P, Ethyl 6-chloro-4-[(3,3-dimethylbutyl)amino]-5-nitro-3-pyridinecarboxylate 842148-56-5P, Ethyl 4-[(3,3-dimethylbutyl)amino]-5-nitro-6-phenyl-3-pyridinecarboxylate 842148-57-6P, Ethyl 5-amino-4-[(3,3-dimethylbutyl)amino]-6-phenyl-3-pyridinecarboxylate 842148-58-7P, Ethyl 5-[(cyanoacetyl)amino]-4-[(3,3-dimethylbutyl)amino]-6-phenyl-3-pyridinecarboxylate 842148-59-8P, Ethyl 2-(cyanomethyl)-1-(3,3-dimethylbutyl)-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842148-60-1P, Ethyl 2-[(E)-cyano(hydroxyimino)methyl]-1-(3,3-dimethylbutyl)-4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842148-61-2P, Ethyl 2-(4-amino-1,2,5-oxadiazol-3-yl)-1-(3,3-dimethylbutyl)-

4-phenyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842148-62-3P,  
 2-(4-Amino-1,2,5-oxadiazol-3-yl)-1-(3,3-dimethylbutyl)-4-phenyl-1H-  
 imidazo[4,5-c]pyridine-7-carboxylic acid 842148-63-4P, 1,1-Dimethylethyl  
 [2-(4-amino-1,2,5-oxadiazol-3-yl)-1-(3,3-dimethylbutyl)-4-phenyl-1H-  
 imidazo[4,5-c]pyridin-7-yl] carbamate 842148-64-5P, 1,1-Dimethylethyl  
 [2-(4-amino-1,2,5-oxadiazol-3-yl)-1-(3,3-dimethylbutyl)-4-phenyl-1H-  
 imidazo[4,5-c]pyridin-7-yl] (3-bromopropyl) carbamate 842148-65-6P,  
 2-(4-Amino-1,2,5-oxadiazol-3-yl)-N-(3-bromopropyl)-1-(3,3-dimethylbutyl)-4-  
 phenyl-1H-imidazo[4,5-c]pyridin-7-amine 842148-74-7P, Ethyl  
 6-chloro-4-(ethylamino)-5-nitro-3-pyridinecarboxylate 842148-75-8P,  
 Ethyl 5-amino-6-chloro-4-(ethylamino)-3-pyridinecarboxylate  
 842148-76-9P, Ethyl 6-chloro-5-[(cyanoacetyl)amino]-4-(ethylamino)-3-  
 pyridinecarboxylate 842148-77-0P, Ethyl 4-(3-chlorophenyl)-2-  
 (cyanomethyl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-carboxylate  
 842148-78-1P, Ethyl 4-(3-chlorophenyl)-2-[(E)-cyano(hydroxyimino)methyl]-1-  
 ethyl-1H-imidazo[4,5-c]pyridine-7-carboxylate 842148-79-2P, Ethyl  
 2-(4-amino-1,2,5-oxadiazol-3-yl)-4-(3-chlorophenyl)-1-ethyl-1H-imidazo[4,5-  
 c]pyridine-7-carboxylate 842148-80-5P, 2-(4-Amino-1,2,5-oxadiazol-3-yl)-  
 4-(3-chlorophenyl)-1-ethyl-1H-imidazo[4,5-c]pyridine-7-carboxylic acid  
 842148-81-6P, 1,1-Dimethylethyl [2-(4-amino-1,2,5-oxadiazol-3-yl)-4-(3-  
 chlorophenyl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl] carbamate  
 842148-82-7P, 1,1-Dimethylethyl [2-(4-amino-1,2,5-oxadiazol-3-yl)-4-(3-  
 chlorophenyl)-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl] [3-[[[(1,1-  
 dimethylethyl)oxy]carbonyl]amino]propyl] carbamate 842148-90-7P, Methyl  
 N-[4-(aminosulfonyl)phenyl]imidothiocarbamate hydroiodide 842148-91-8P,  
 1,1-Dimethylethyl [3-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-1-ethyl-4-phenyl-  
 1H-imidazo[4,5-c]pyridin-7-yl]oxy]propyl] carbamate 842149-00-2P,  
 [3-[[[(4-Chlorophenyl)amino]carbonyl]amino]phenyl]boronic acid  
 842149-01-3P, 1,1-Dimethylethyl [3-[[2-(4-amino-1,2,5-oxadiazol-3-yl)-4-[3-  
 [[[(4-chlorophenyl)amino]carbonyl]amino]phenyl]-1-ethyl-1H-imidazo[4,5-  
 c]pyridin-7-yl]oxy]propyl] carbamate 842149-46-6P, 2-(4-Amino-1,2,5-  
 oxadiazol-3-yl)-4-chloro-1-ethyl-1H-imidazo[4,5-c]pyridin-7-ol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(intermediate; preparation of 1H-imidazo[4,5-a]pyridin-2-yl derivs. as  
 inhibitors of Akt activity for treating cancer and arthritis)

IT 51-41-2, 4-((1R)-2-Amino-1-hydroxyethyl)-1,2-benzenediol 51-45-6,  
 2-(1H-Imidazol-4-yl)ethanamine, reactions 51-61-6, 4-(2-Aminoethyl)-1,2-  
 benzenediol, reactions 51-67-2, 4-(2-Aminoethyl)phenol 55-81-2,  
 2-[4-(Methyloxy)phenyl]ethanamine 56-91-7, 4-(Aminomethyl)benzoic acid  
 85-44-9, Phthalic anhydride 98-80-6, Phenylboronic acid 104-12-1,  
 4-Chlorophenyl isocyanate 104-78-9, N,N-Diethyl-1,3-propanediamine  
 105-53-3, Diethyl malonate 105-56-6, Ethyl cyanoacetate 106-50-3,  
 1,4-Benzenediamine, reactions 106-89-8, Epichlorohydrin, reactions  
 107-11-9, Allylamine 107-19-7, Propargyl alcohol 108-01-0,  
 2-(Dimethylamino)ethanol 109-01-3, 1-Methylpiperazine 109-55-7,  
 N,N-Dimethyl-1,3-propanediamine 109-64-8, 1,3-Dibromopropane 109-90-0,  
 Ethyl isocyanate 110-52-1, 1,4-Dibromobutane 110-85-0, Piperazine,  
 reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine,  
 reactions 115-19-5, 2-Methyl-3-butyne-2-ol 123-00-2,  
 3-(4-Morpholinyl)-1-propanamine 124-09-4, 1,6-Diaminohexane, reactions  
 138-39-6, 4-(Aminomethyl)benzenesulfonamide 142-25-6,  
 N,N,N'-Trimethyl-1,2-ethanediamine 156-41-2, 2-(4-  
 Chlorophenyl)ethanamine 329-01-1, 3-(Trifluoromethyl)phenyl isocyanate  
 371-40-4, 4-Fluoroaniline 372-09-8, Cyanoacetic acid 404-84-2,  
 4-(2-Aminoethyl)-2-fluorophenol 462-94-2, 1,5-Diaminopentane 505-66-8,  
 Hexahydro-1H-1,4-diazepine 536-74-3, Phenylacetylene 586-39-0,  
 3-Nitrostyrene 608-07-1, 2-[5-(Methyloxy)-1H-indol-3-yl]ethanamine  
 616-30-8, 3-Amino-1,2-propanediol 627-41-8 700-87-8, 2-(Methoxy)phenyl  
 isocyanate 927-74-2, 3-Butyn-1-ol 1003-03-8, Cyclopentylamine  
 1520-27-0, 1-(4-Hydroxyphenyl)thiourea 1548-13-6, 4-  
 (Trifluoromethyl)phenyl isocyanate 1583-88-6, 2-(4-  
 Fluorophenyl)ethanamine 1679-18-1, 4-Chlorophenylboronic acid



1692-15-5, (4-Pyridyl)boronic acid 1692-25-7, (3-Pyridyl)boronic acid  
 1718-39-4 1795-48-8, Isopropyl isocyanate 1796-84-5,  
 4-Ethoxy-3-nitropyridine 2028-63-9, 3-Butyn-2-ol 2162-33-6,  
 3-[(Tetrahydro-2H-pyran-2-yl)oxy]-1-propanol 2516-47-4,  
 (Cyclopropylmethyl)amine 2706-56-1, 2-(2-Pyridinyl)ethanamine  
 2799-21-5, (3R)-3-Pyrrolidinol 2909-38-8, 3-Chlorophenyl isocyanate  
 3132-64-7, Epibromohydrin 3173-53-3, Cyclohexyl isocyanate 3173-56-6,  
 Benzyl isocyanate 3179-63-3 3320-83-0, 2-Chlorophenyl isocyanate  
 3696-22-8, 1-(4-Nitrophenyl)thiourea 3731-52-0, 1-(3-  
 Pyridinyl)methanamine 3900-89-8, 2-Chlorophenylboronic acid 4138-26-5,  
 3-Piperidinecarboxamide 4244-59-1, 3-(Methyloxy)propanoyl chloride  
 4403-70-7, 3-(Aminomethyl)aniline 4403-71-8, 4-(Aminomethyl)aniline  
 4441-30-9, 3-(4-Morpholinyl)-1-propanol 4572-03-6, 3-(4-Methyl-1-  
 piperazinyl)-1-propanamine 5122-94-1, Biphenyl-4-ylboronic acid  
 5382-23-0, 4-Chloro-1-methylpiperidine hydrochloride 5416-93-3,  
 4-(Methoxy)phenyl isocyanate 5467-74-3, 4-Bromophenylboronic acid  
 5570-18-3, 2-Aminophenylboronic acid 5720-05-8, 4-Methylphenylboronic  
 acid 5720-06-9, 2-Methoxyphenylboronic acid 5720-07-0,  
 4-Methoxyphenylboronic acid 5739-10-6, 2-(1H-Imidazol-1-yl)ethanamine  
 6165-68-0, (2-Thienyl)boronic acid 6165-69-1, (3-Thienyl)boronic acid  
 6746-94-7, Ethynylcyclopropane 7328-91-8, 2,2-Dimethyl-1,3-  
 propanediamine 7568-93-6, 2-Amino-1-phenylethanol 10314-99-5,  
 Phenylmethyl 4-(chlorocarbonyl)-1-piperidinecarboxylate 10365-98-7,  
 3-Methoxyphenylboronic acid 13258-63-4, 2-(4-Pyridinyl)ethanamine  
 13331-23-2, (2-Furanyl)boronic acid 13472-00-9, 4-(2-Aminoethyl)aniline  
 13889-98-0, 1-Acetylpiperazine 13922-41-3, (1-Naphthyl)boronic acid  
 14273-46-2, 1-(2-Methyl-5-pyrimidinyl)methanamine 15673-00-4,  
 (3,3-Dimethylbutyl)amine 16413-26-6, 3-Cyanophenyl isocyanate  
 16419-60-6, 2-Methylphenylboronic acid 18469-52-8, Methyl  
 4-(aminomethyl)benzoate 18908-07-1, 3-(Methoxy)phenyl isocyanate  
 19225-96-8, 2-(1H-Imidazol-2-yl)ethanamine 19293-58-4,  
 4-(Aminomethyl)-N,N-dimethylaniline 20662-53-7, 1-(4-Piperidinyl)-1,3-  
 dihydro-2H-benzimidazol-2-one 20781-20-8 25560-00-3,  
 3-(2-Methyl-1-piperidinyl)-1-propanamine 26690-80-2 30418-59-8,  
 3-Aminophenylboronic acid 30806-83-8, Ethyl 4-isocyanatobenzoate  
 31602-63-8, 1-(1H-Tetrazol-5-yl)methanamine 31872-62-5,  
 4-Methoxy-3-nitropyridine 35303-76-5, 4-(2-Aminoethyl)benzenesulfonamide  
 35373-63-8, 2-Amino-3-(4-chlorophenyl)-1-propanol 39111-57-4  
 40465-45-0, 4-Cyanophenyl isocyanate 50541-93-0, 4-Amino-1-  
 benzylpiperidine 51387-90-7, 2-(1-Methyl-2-pyrrolidinyl)ethanamine  
 53448-09-2, (2R)-2-Amino-4-methyl-1-pentanol 55552-70-0,  
 (3-Furanyl)boronic acid 57260-71-6, N-(tert-Butoxycarbonyl)piperazine  
 57260-73-8, 1,1-Dimethylethyl (2-aminoethyl)carbamate 57561-39-4,  
 1,1-Dimethylethyl (2-hydroxyethyl)methylcarbamate 58885-58-8  
 61278-21-5, (2S)-3-Amino-1,2-propanediol 63503-60-6,  
 3-Chlorophenylboronic acid 64021-83-6, N-Methyl-1-methyl-3-  
 pyrrolidinamine 66605-57-0, 1,1-Dimethylethyl [(1S)-2-hydroxy-1-  
 (phenylmethyl)ethyl]carbamate 67492-50-6, (3,5-Dichlorophenyl)boronic  
 acid 68076-36-8, 1,1-Dimethylethyl (4-aminobutyl)carbamate 68716-47-2,  
 (2,4-Dichlorophenyl)boronic acid 69478-75-7, N,N-Dimethyl-3-  
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 pyrrolidinecarboxylate 71026-66-9, 1,1-Dimethylethyl  
 (4-aminophenyl)carbamate 73579-08-5, N-Methyl-1-methyl-4-piperidinamine  
 75178-87-9, 1,1-Dimethylethyl (4-hydroxybutyl)carbamate 75178-90-4,  
 1,1-Dimethylethyl (5-hydroxypentyl)carbamate 79286-87-6,  
 N-Methyl-N-(3-pyrrolidinyl)acetamide 83435-58-9, 1,1-Dimethylethyl  
 (2R)-2-(hydroxymethyl)-1-pyrrolidinecarboxylate 83732-75-6,  
 2-(1-Methyl-1H-pyrrol-2-yl)ethanamine 83948-53-2, 1,1-Dimethylethyl  
 (3-bromopropyl)carbamate 85817-29-4 87199-14-2, 2-  
 (Hydroxymethyl)phenylboronic acid 87199-18-6, 3-Hydroxyphenylboronic  
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 piperidinecarboxylate 89466-08-0, 2-Hydroxyphenylboronic acid  
 89598-96-9, 3-Bromophenylboronic acid 89694-48-4, 5-Chloro-2-



methoxyphenylboronic acid 92136-39-5, 1,1-Dimethylethyl  
 (2-propyn-1-yl)carbamate 94839-07-3, 1,3-Benzodioxol-5-ylboronic acid  
 98437-23-1, (Benzo[b]thien-2-yl)boronic acid 98642-44-5,  
 1,1-Dimethylethyl (3-hydroxypropyl)methylcarbamate 99724-19-3,  
 Pyrrolidin-3-ylcarbamic acid tert-butyl ester 106454-69-7,  
 1,1-Dimethylethyl [(1R)-2-hydroxy-1-(phenylmethyl)ethyl]carbamate  
 109384-19-2, 4-Hydroxypiperidine-1-carboxylic acid tert-butyl ester  
 114214-69-6, 1,1-Dimethylethyl 3-(hydroxymethyl)-1-pyrrolidinecarboxylate  
 114897-60-8, 1,1-Dimethylethyl 1-(2-hydroxyethyl)hydrazinecarboxylate  
 116574-71-1, 1,1-Dimethylethyl 3-(hydroxymethyl)-1-piperidinecarboxylate  
 118811-03-3, 1,1-Dimethylethyl 2-(2-hydroxyethyl)-1-piperidinecarboxylate  
 120912-37-0, 5-Isocyanato-2,3-dihydro-1H-indene 121496-39-7,  
 1,1-Dimethylethyl N-(2-hydroxyethyl)-N-(phenylmethyl)carbamate  
 123855-51-6, 1,1-Dimethylethyl 4-(hydroxymethyl)-1-piperidinecarboxylate  
 126747-14-6, 4-Cyanophenylboronic acid 128345-57-3 132664-85-8,  
 1-(5-Methyl-2-pyrazinyl)methanamine 135145-90-3, (2,5-  
 Dichlorophenyl)boronic acid 137583-05-2, N-(2-  
 Pyrimidinyl)ethylenediamine 145508-94-7, 1,1-Dimethylethyl  
 4-(iodomethyl)-1-piperidinecarboxylate 151169-74-3, (2,3-  
 Dichlorophenyl)boronic acid 152193-00-5, 1,1-Dimethylethyl  
 N-(2-aminoethyl)-N-(phenylmethyl)carbamate 153435-63-3 154012-15-4,  
 4,6-Dichloro-5-nitronicotinic acid ethyl ester 162607-18-3,  
 5-Chloro-2-thienylboronic acid 167479-01-8, 1,1-Dimethylethyl  
 (3-iodopropyl)carbamate 172478-00-1, (Methyl)(pyrrolidin-3-yl)carbamic  
 acid 1,1-dimethylethyl ester 184357-44-6, 1,1-Dimethylethyl  
 (3-hydroxy-2,2-dimethylpropyl)carbamate 186550-13-0, 1,1-Dimethylethyl  
 3-amino-1-pyrrolidinecarboxylate 197958-29-5, Pyridin-2-ylboronic acid  
 262278-40-0, 1,1-Dimethylethyl (4-iodobutyl)carbamate 385369-91-5,  
 N-(4-Hydroxybutyl)methanesulfonamide 397843-82-2, [3-  
 [[(Phenylamino)carbonyl]amino]phenyl]boronic acid 763120-43-0  
 842144-57-4, 3-Bromo-N-(cyclopropylmethyl)-5-nitro-4-pyridinamine  
 842144-86-9, 1,1-Dimethylethyl 4-[(3-bromo-5-nitro-4-pyridinyl)amino]-1-  
 piperidinecarboxylate 842144-99-4, 4-[7-[(3-Amino-1-pyrrolidinyl  
 )carbonyl]-4-[5-chloro-2-(methyloxy)phenyl]-1-ethyl-1H-  
 imidazo[4,5-c]pyridin-2-yl]-1,2,5-oxadiazol-3-amine 842145-58-8,  
 1,1-Dimethylethyl 5-(aminomethyl)-2,2-dimethyl-1,3-oxazolidine-3-  
 carboxylate 842145-60-2, 1,1-Dimethylethyl 4-(aminomethyl)-2,2-dimethyl-  
 1,3-oxazolidine-3-carboxylate 842146-63-8, 1,1-Dimethylethyl  
 N-(3-hydroxypropyl)-N-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]carbamate  
 842146-66-1, 1,1-Dimethylethyl hydroxy(3-hydroxypropyl)carbamate  
 842146-73-0, 1,1-Dimethylethyl (4-hydroxy-3-methylbutyl)carbamate  
 842146-77-4, N-(4-Hydroxybutyl)benzenesulfonamide 842147-30-2,  
 1,1-Dimethylethyl [4-[4-(3-chlorophenyl)-7-hydroxy-1H-imidazo[4,5-  
 c]pyridin-2-yl]-1,2,5-oxadiazol-3-yl]carbamate 842147-45-9,  
 1,1-Dimethylethyl [4-[1-ethyl-7-hydroxy-4-(1H-pyrrol-2-yl)-1H-imidazo[4,5-  
 c]pyridin-2-yl]-1,2,5-oxadiazol-3-yl]carbamate 842147-52-8,  
 1-Amino-1-deoxy-D-iditol 842148-09-8, 1,1-Dimethylethyl  
 [3-[[4-chloro-2-[4-[[[(1,1-dimethylethyl)oxy]carbonyl]amino]-1,2,5-  
 oxadiazol-3-yl]-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]oxy]propyl]carbamate  
 842149-07-9, 1,1-Dimethylethyl 4-[[[2-(4-amino-1,2,5-oxadiazol-3-yl)-4-  
 chloro-1-ethyl-1H-imidazo[4,5-c]pyridin-7-yl]oxy]methyl]-1-  
 piperidinecarboxylate  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 1H-imidazo[4,5-a]pyridin-2-yl derivs. as inhibitors of Akt  
 activity for treat

SYSTEM LIMITS EXCEEDED

L2 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:99357 CAPLUS

DOCUMENT NUMBER: 142:198088

TITLE: Preparation of pyrimidinecarboxamides,  
pyrimidinylcarbamates and related compounds as

inhibitors of T cell activation for the treatment of inflammatory diseases

INVENTOR(S): Nunes, Joseph J.; Zhu, Xiaotian; Amouzegh, Patricia; Ghiron, Chiara; Johnston, David N.; Power, Eoin Christopher

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 462 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

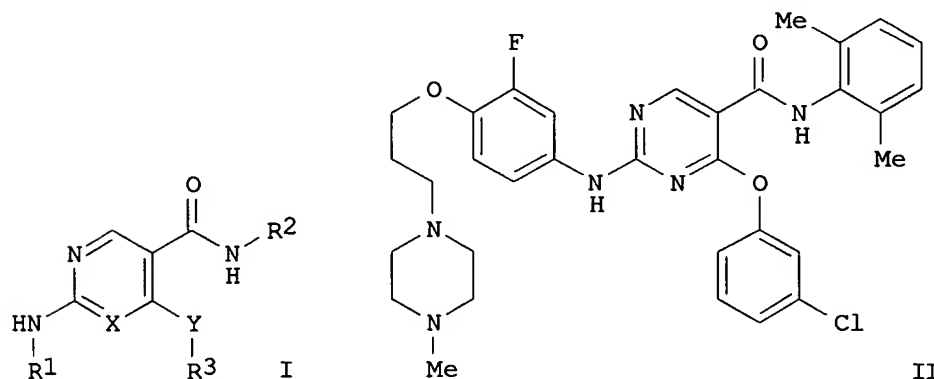
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009443	A1	20050203	WO 2004-US20243	20040624
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-482375P P 20030624  
US 2004-875896 A 20040623

OTHER SOURCE(S): MARPAT 142:198088

GI



AB Pyrimidine and pyridine **carboxamides** I [wherein X = N or CH; Y = NH, O or S; R<sup>1</sup> - R<sup>3</sup> = certain (un)substituted monocyclic or bicyclic ring; or pharmaceutically acceptable salts thereof] as well as pyrimidinylcarbamates were prepared as inhibitors of T cell activation. For example, 2,4-dichloropyrimidine-5-carbonyl chloride, obtained by globally chlorination of uracil-5-carboxylic acid monohydrate with POCl<sub>3</sub> in POC13, underwent amidation with 2,6-dimethylaniline, followed by etherification with 3-chlorophenol and subsequent amination with 3-fluoro-4-(3-(4-methyl-1-piperazinyl)propoxy)aniline to give pyrimidinecarboxamide II. Representative compds. I exhibited inhibition with IC<sub>50</sub> values of <10 μM in the LCK-homogeneous time resolved fluorescent kinase assay. Therefore, I and pharmaceutical compns. thereof are useful in the treatment of many diseases such as inflammation.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

- AB Pyrimidine and pyridine **carboxamides** I [wherein X = N or CH; Y = NH, O or S; R1 - R3 = certain (un)substituted monocyclic or bicyclic ring; or pharmaceutically acceptable salts thereof] as well as pyrimidinylcarbamates were prepared as inhibitors of T cell activation. For example, 2,4-dichloropyrimidine-5-carbonyl chloride, obtained by globally chlorination of uracil-5-carboxylic acid monohydrate with PCl5 in POCl3, underwent amidation with 2,6-dimethylaniline, followed by etherification with 3-chlorophenol and subsequent amination with 3-fluoro-4-(3-(4-methyl-1-piperazinyl)propoxy)aniline to give pyrimidinecarboxamide II. Representative compds. I exhibited inhibition with IC50 values of <10 µM in the LCK-homogeneous time resolved fluorescent kinase assay. Therefore, I and pharmaceutical compns. thereof are useful in the treatment of many diseases such as inflammation.
- ST pyrimidinecarboxamide pyrimidinylcarbamate prepn T cell activation inhibitor antiinflammatory; pyrimidine **carboxamide** prepn T cell activation inhibitor
- 835644-81-OP, 2,6-Bis(methyloxy)phenyl N-[2,4-bis(methyloxy)phenyl][2-[[3-fluoro-4-[[2-[4-(1-methylethyl)-1-piperazinyl]ethyl]oxy]phenyl]amino]-4-pyrimidinyl]carbamate 835644-82-1P, 2,6-Bis(methyloxy)phenyl N-[2,4-bis(methyloxy)phenyl][2-[[4-(3,4-dimethyl-1-piperazinyl)-3-fluorophenyl]amino]-4-pyrimidinyl]carbamate 835644-83-2P, 2,6-Difluorophenyl N-[2-[[3,4-bis(methyloxy)-5-[[3-(4-methyl-1-piperazinyl)propyl]oxy]phenyl]amino]-4-pyrimidinyl][2,4-bis(methyloxy)phenyl]carbamate 835644-84-3P, 2,6-Difluorophenyl N-[2,4-bis(methyloxy)phenyl][2-[[3-fluoro-4-[[3-(4-methyl-1-piperazinyl)propyl]oxy]phenyl]amino]-4-pyrimidinyl]carbamate 835644-85-4P, 2,6-Difluorophenyl N-[2,4-bis(methyloxy)phenyl][2-[[3-fluoro-4-[[3-(1-piperidinyl)propyl]oxy]phenyl]amino]-4-pyrimidinyl]carbamate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (inhibitor; preparation of pyrimidinecarboxamides and pyrimidinylcarbamates as inhibitors of T cell activation for treatment of inflammatory diseases)
- IT 790-27-2P 847-41-6P, 4-[4-(3-Dimethylaminopropyl)piperazino]nitrobenzene 2972-52-3P, 2,4-Dichloropyrimidine-5-carbonyl chloride 16153-81-4P 16154-71-5P 16154-72-6P 24085-55-0P 52481-41-1P 60814-19-9P 62345-76-0P 62424-88-8P 91430-80-7P, N,N-Dimethyl-3-(4-nitrophenoxy)propylamine 156428-85-2P 158985-25-2P, 1-(4-Hydroxyphenyl)-4-(tert-butyloxycarbonyl)piperazine 170911-92-9P 221198-29-4P, 1-(2-Fluoro-4-nitrophenyl)-4-methylpiperazine 221198-99-8P 273727-27-8P 329942-18-9P 394249-00-4P 429624-41-9P, N-(2-Dimethylaminoethyl)-3-nitrobenzamide 443914-86-1P 443915-51-3P 466694-59-7P 627463-04-1P 627463-36-9P, Isopropyl[2-(4-nitrophenoxy)ethyl]carbamic acid tert-butyl ester 627463-37-0P, Isopropyl[2-(4-aminophenoxy)ethyl]carbamic acid tert-butyl ester 643087-82-5P 643087-94-9P 700804-42-8P 700805-14-7P 835633-45-9P, tert-Butyl 4-(2-difluoromethoxy-4-nitrophenyl)piperazine-1-carboxylate 835633-46-0P, 1-(2-Difluoromethoxy-4-nitrophenyl)piperazine 835633-48-2P, tert-Butyl 4-(2-difluoromethoxy-4-aminophenyl)piperazine-1-carboxylate 835633-49-3P 835633-50-6P, N-Formyl-4-piperidinemethanol 835633-51-7P, N-Formyl-4-[(2-methoxy-4-nitrophenoxy)methyl]piperidine 835633-52-8P, N-Methyl-4-[(2-methoxy-4-nitrophenoxy)methyl]piperidine 835633-53-9P 835633-54-0P 835633-55-1P 835633-56-2P 835633-57-3P 835633-58-4P 835633-59-5P 835633-60-8P 835633-61-9P 835633-62-0P 835633-63-1P 835633-64-2P 835633-65-3P 835633-66-4P 835633-67-5P 835633-68-6P 835633-69-7P 835633-70-0P 835633-71-1P 835633-72-2P 835633-73-3P 835633-74-4P 835633-75-5P 835633-76-6P 835633-77-7P 835633-78-8P 835633-79-9P 835633-80-2P 835633-81-3P 835633-82-4P 835633-83-5P, 2,4-Dichloro-N-(2',6'-Dichlorophenyl)-pyrimidine-5-**carboxamide** 835633-84-6P, 2-Chloro-4-(3-chlorophenoxy)-N-(2',6'-Dimethylphenyl)-5-pyrimidinecarboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of pyrimidinecarboxamides and pyrimidinylcarbmates as  
inhibitors of T cell activation for treatment

SYSTEM LIMITS EXCEEDED

L2 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1082026 CAPLUS

DOCUMENT NUMBER: 142:38288

TITLE: Preparation of dibenzo[b,e][1,4]diazepin-11-ones as  
kinase inhibitors for treatment of cancer

INVENTOR(S): Hasvold, Lisa A.; Hexamer, Laura; Li, Gaoquan; Lin,  
Nan-horng; Sham, Hing; Sullivan, Gerard M.; Wang, Le;  
Xia, Ping

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 137 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2004254159	A1	20041216	US 2004-785120	20040225
WO 2004076424	A1	20040910	WO 2004-US5728	20040226
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

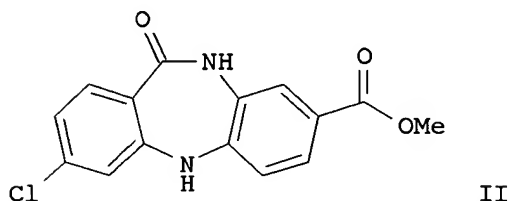
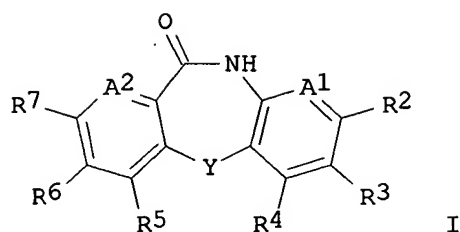
US 2003-450476P P 20030227

US 2003-375412 A 20030227

US 2004-785120 A 20040225

OTHER SOURCE(S): MARPAT 142:38288

GI



AB Title heterocycles and analogs I [wherein A1 = CR1, N; A2 = CR8, N; R1, R8 = independently H, alkoxy, (hydroxy)alkyl, amino(alkyl), CN, halo, OH, NO2; R2-R5 = independently H, alkenyl, (alkoxy)alkoxy(alkoxy), (alkoxy)alkyl, alkoxycarbonyl(alkyl), alkylcarbonyl(alkyl), amino(alkoxy), aminoalkyl, aminocarbonyl(alkyl), aminosulfonyl, aryl(alkoxy), aryl(oxy)alkyl, carboxy(alkyl), cyano(alkyl), cycloalkyl(alkyl), halo(alkoxy), haloalkyl, heterocyclyl(alkoxy), heterocyclyl(carbonyl)alkyl, heterocyclyloxyalkyl, hydroxy(alkoxy), hydroxyalkyl, nitro(alkyl), carbamoyl(alkyl); one of R6 and R7 = H and the other = H, aryl, cycloalkyl, halo, heterocyclyl, XR13; R13 = aryl, cycloalkyl, heterocyclyl; X = O, NR14, CO, S, SO2, (CH2)n, CONR14, NR14CO, SO2NR14, NR14SO2, O(CH2)m, (CH2)mO, CH=CH, C.tplbond.C; R14 = H, alkenyl, (amino)alkyl, hydroxyalkyl; Y = NR15, O; R15 = H, alkoxycarbonyl, (cyclo)alkyl, alkylcarbonyl, arylalkyl, cycloalkylalkyl; m = 0-3; n = 1-3; and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, N-alkylation of Me 3,4-diaminobenzoate with Me 4-chloro-2-iodobenzoate using Cu and K2CO3 in PhCl gave Me 2-[[2-amino-4-(methoxycarbonyl)phenyl]amino]-4-chlorobenzoate (68%), which was cyclized with 37% HCl in MeOH to provide II (87%). In enzymic assays using recombinant Chk1 kinase domain protein and human cdc25c peptide substrate, compds. of the invention inhibited Chk1 at IC50 values between about 0.2 nM and about 280µM. Thus, I and their pharmaceutical compns. are useful for treatment of cancer (no data).

, 4-Chlorobutyryl chloride 4654-39-1, 2-(4-Bromophenyl)ethanol 4795-29-3, (Tetrahydrofuran-2-ylmethyl)amine 5006-62-2, Ethyl 3-piperidinecarboxylate 5036-48-6, 3-(1H-Imidazol-1-yl)propylamine 5131-58-8, 4-Nitrobenzene-1,3-diamine 5197-28-4, 2-Bromo-1-methoxy-4-nitrobenzene 5308-25-8, 1-Ethylpiperazine 5332-73-0, 3-Methoxypropylamine 5337-03-1, Tetrahydro-2H-pyran-4-carboxylic acid 5382-16-1, 4-Piperidinol 5414-99-3, 5-Anilino-5-oxopentanoic acid 5460-29-7, N-(3-Bromopropyl)phthalimide 5638-76-6, N-Methyl-N-[2-(2-pyridinyl)ethyl]amine 5657-19-2, [(Furan-2-yl)carbonyl]amino]acetic acid 5900-58-3, Methyl 2-amino-4-chlorobenzoate 6053-81-2, (Cyclopentylmethyl)amine 6291-23-2, 4-(Morpholino)phenol 6291-85-6, 3-Ethoxypropylamine 6376-14-3, 4-Chloro-2-methoxy-5-methylaniline 6627-53-8, 4-Chloro-2-methoxy-1-nitrobenzene 6636-78-8, 2-Chloro-3-hydroxypyridine 6850-57-3, 2-Methoxybenzylamine 6859-99-0, 3-Piperidinol 6959-47-3, 2-(Chloromethyl)pyridine hydrochloride 6959-48-4, 3-(Chloromethyl)pyridine hydrochloride 6966-45-6, 4-Chlorophenylmethanesulfonyl chloride 7154-73-6, 2-(1-Pyrrolidinyl)ethylamine 7175-81-7 7470-38-4 7533-40-6, (2S)-2-Amino-4-methyl-1-pentanol 7651-81-2, 3(2H)-Isoquinolinone

7651-83-4, 7-Isoquinolinol 7663-77-6, 1-(3-Aminopropyl)-2-pyrrolidinone  
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 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of dibenzo[b,e][1,4]diazepin-11-ones as kinase  
 inhibitors

# SYSTEM LIMITS EXCEEDED

L2 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:740305 CAPLUS

DOCUMENT NUMBER: 141:260782

TITLE: Preparation of dibenzo[b,e][1,4]diazepin-11-ones as  
 kinase inhibitors for treatment of cancer

INVENTOR(S): Hasvold, Lisa A.; Hexamer, Laura; Li, Gaoquan; Lin,  
 Nan-horng; Sham, Hing; Sowin, Tom; Sullivan, Gerard  
 M.; Wang, Le; Xia, Ping Xia

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 382 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

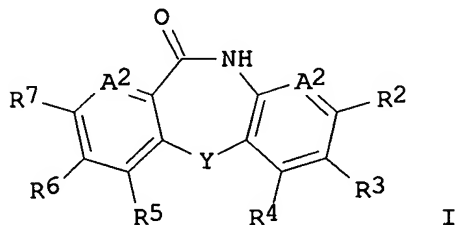
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

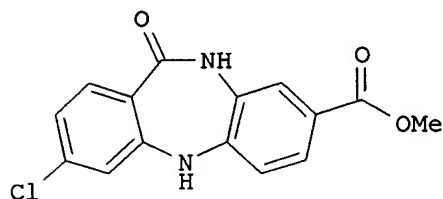
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WO 2004076424	A1	20040910	WO 2004-US5728	20040226
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LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
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 MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,  
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US 2004254159 A1 20041216 US 2004-785120 20040225  
 PRIORITY APPLN. INFO.: US 2003-375412 A 20030227  
 US 2004-785120 A 20040225  
 US 2003-450476P P 20030227  
 OTHER SOURCE(S): MARPAT 141:260782  
 GI



I



II

AB Title heterocycles and analogs I [wherein A1 = CR1, N; A2 = CR8, N; R1, R8 = independently H, alkoxy, (hydroxy)alkyl, amino(alkyl), CN, halo, OH, NO2; R2-R5 = independently H, alkenyl, (alkoxy)alkoxy(alkoxy), (alkoxy)alkyl, alkoxycarbonyl(alkyl), alkylcarbonyl(alkyl), amino(alkoxy), aminoalkyl, aminocarbonyl(alkyl), aminosulfonyl, aryl(alkoxy), aryl(oxy)alkyl, carboxy(alkyl), cyano(alkyl), cycloalkyl(alkyl), halo(alkoxy), haloalkyl, heterocyclyl(alkoxy), heterocyclyl(carbonyl)alkyl, heterocyclyloxyalkyl, hydroxy(alkoxy), hydroxyalkyl, nitro(alkyl), carbamoyl(alkyl); one of R6 and R7 = H and the other = H, aryl, cycloalkyl, halo, heterocyclyl, XR13; R13 = aryl, cycloalkyl, heterocyclyl; X = O, NR14, CO, S, SO2, (CH2)n, CONR14, NR14CO, SO2NR14, NR14SO2, O(CH2)m, (CH2)mO, CH=CH, C.tplbond.C; R14 = H, alkenyl, (amino)alkyl, hydroxyalkyl; Y = NR15, O; R15 = H, alkoxycarbonyl, (cyclo)alkyl, alkylcarbonyl, arylalkyl, cycloalkylalkyl; m = 0-3; n = 1-3; and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, N-alkylation of Me 3,4-diaminobenzoate with Me 4-chloro-2-iodobenzoate using Cu and K2CO3 in PhCl gave Me 2-[[2-amino-4-(methoxycarbonyl)phenyl]amino]-4-chlorobenzoate (68%), which was cyclized with 37% HCl in MeOH to provide II (87%). In enzymic assays using recombinant Chk1 kinase domain protein and human cdc25c peptide substrate, compds. of the invention inhibited Chk1 at IC50 values between about 0.2 nM and about 280µM. Thus, I and their pharmaceutical compns. are useful for treatment of cancer (no data).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT  
 4497-04-5, 3-(Morpholin-4-yl)propionic acid 4635-59-0, 4-Chlorobutyryl  
 chloride 4654-39-1, 2-(4-Bromophenyl)ethanol 4795-29-3,  
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 3-Methoxyphenylboronic acid 13139-15-6, (S)-2-[(tert-  
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 4-Methyl-2-pyridinol 13889-98-0, 1-Acetylpiperazine 14432-12-3,  
 (2-Chloropyridin-4-yl)amine 15862-72-3, Ethyl 2-piperidinecarboxylate  
 16369-21-4, 2-(Propylamino)ethanol 16879-02-0, 6-Chloropyridin-2(1H)-one  
 17626-40-3, 3,4-Diaminobenzonitrile 18437-58-6, 2-Methyl-4-aminopyridine  
 19184-65-7, 2-(Bromomethyl)-2-(hydroxymethyl)-1,3-propanediol  
 19718-49-1, Methyl 4-amino-3-iodobenzoate 20173-24-4,  
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 yl)propyl]amine 23356-96-9, (S)-(2-Pyrrolidinyl)methanol 25025-06-3,  
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 carboxylic acid 26371-07-3, 3-(Piperidin-1-yl)propionic acid  
 26697-35-8, 4-(Benzyloxy)-2-nitroaniline 27578-60-5,  
 2-(1-Piperidinyl)ethylamine 27757-85-3, 2-(Aminomethyl)thiophene  
 27757-86-4, (Thien-3-ylmethyl)amine 29678-81-7, (2R)-2-Hydroxy-4-  
 phenylbutanoic acid 33252-63-0, 5-(Trifluoromethyl)pyridin-2(1H)-one  
 34723-82-5, 2-Bromomethyltetrahydro-2H-pyran 34784-04-8,  
 5-Bromoisoquinoline 34803-66-2, 1-(2-Pyridinyl)piperazine 35303-76-5,  
 4-(2-Aminoethyl)benzenesulfonamide 35418-08-7, Methyl  
 3-(3'-aminophenyl)propionate 36692-49-6, Methyl 3,4-diaminobenzoate  
 37491-68-2, 4-(Aminomethyl)-1,2-benzenediol 37718-11-9,  
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 N-(3-Bromo-4-methylphenyl)acetamide 40499-83-0, 3-Pyrrolidinol  
 41288-96-4, 6-Chloro-3-hydroxypyridine 42185-03-5, 2-Propoxyethylamine  
 50541-93-0, 1-Benzyl-4-piperidinamine 51173-05-8, 5-Fluoropyridin-2-ol  
 52694-50-5, 3-Chloromethyl-1-methylpiperidine 52721-69-4,  
 2-(2-Fluorophenyl)ethylamine 52913-11-8, Methyl 2-(3-aminophenyl)acetate  
 53448-09-2, (2R)-2-Amino-4-methyl-1-pentanol  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of dibenzo[b,e][1,4]diazepin-11-ones as kinase  
 inhibitors

SYSTEM LIMITS EXCEEDED

L2 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:652634 CAPLUS

DOCUMENT NUMBER: 141:174087

TITLE: Preparation of fused azabicyclic compounds that  
inhibit vanilloid receptor subtype 1 (VR1)



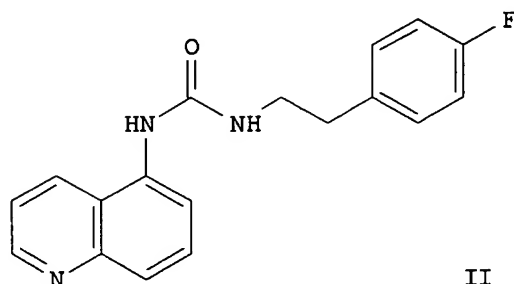
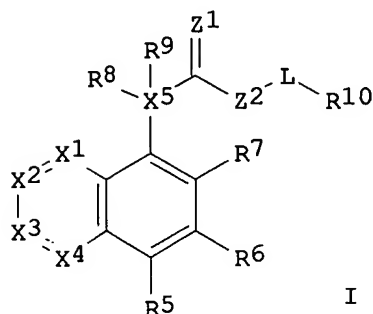
INVENTOR(S): Lee, Chih-Hung; Bayburt, Erol K.; Didomenico, Stanley;  
Drizin, Irene; Gomtsyan, Arthur R.; Koenig, John R.;  
Perner, Richard J.; Schmidt, Robert G.; Turner, Sean  
C.; White, Tammie K.; Zheng, Guo Zhu  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 93 pp., Cont.-in-part of U.S.  
Ser. No. 364,210.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157849	A1	20040812	US 2003-634678	20030805
US 2003158198	A1	20030821	US 2003-364210	20030211
WO 2005016890	A1	20050224	WO 2004-US25109	20040804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
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EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-364210 A2 20030211  
US 2002-358220P P 20020220  
US 2003-634678 A 20030805

OTHER SOURCE(S): MARPAT 141:174087  
GI



AB Compds. of formula I [X1-X5 = (substituted) N, (substituted) CH; Z1 = O, NH, S; Z2 = bond, NH, O; L = alkylene, cycloalkylene, piperazinediyl, etc.; R5-R9 = H, alkyl, alkenyl, alkoxy, carboxy, cycloalkyl, formyl, mercapto, etc.; R10 = H, aryl, cycloalkyl, heterocyclyl] are prepared as vanilloid receptor subtype 1 (VR1) antagonists that are useful in treating pain, inflammatory thermal hyperalgesia, urinary incontinence and bladder overactivity. Thus, II was prepared from 5-aminoisoquinoline and 2-(3-fluorophenyl)ethylamine. The prepared compds. were found to be antagonists of VR1 with IC50 of 0.1 nM to 1000 nM.

IT 735330-54-8P, N-(1H-Indazol-4-yl)-N'-(1-naphthylmethyl)urea  
735330-55-9P, N-(1,1'-Biphenyl-3-ylmethyl)-N'-(1H-indazol-4-yl)urea  
735330-56-0P, N-(2-Chlorobenzyl)-N'-(1H-indazol-4-yl)urea 735330-57-1P,  
N-[2-Fluoro-5-(trifluoromethyl)benzyl]-N'-(1H-indazol-4-yl)urea

735330-58-2P, N-(1H-Indazol-4-yl)-N'-(3-phenylpropyl)urea hydrochloride  
735330-59-3P, N-[2-(2,4-Dimethylphenyl)ethyl]-N'-(1H-indazol-4-yl)urea  
735330-60-6P, N-[2-(3,4-Dichlorophenyl)ethyl]-N'-(1H-indazol-4-yl)urea  
735330-61-7P, N-1H-Indazol-4-yl-N'-[2-(4-methylphenyl)ethyl]urea  
735330-62-8P, N-[4-(Azepan-1-yl)-3-(trifluoromethyl)benzyl]-N'-(1H-indazol-4-yl)urea  
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735330-80-0P, N-[3-Fluoro-4-(trifluoromethyl)benzyl]-N'-(1H-indazol-4-yl)urea  
735330-81-1P, N-1H-Indazol-4-yl-N'-(4-methylbenzyl)urea  
735330-82-2P, N-1H-Indazol-4-yl-N'-[3-(trifluoromethoxy)benzyl]urea  
735330-83-3P, N-(3-Chloro-4-fluorobenzyl)-N'-(1H-indazol-4-yl)urea  
735330-84-4P, N-(3,4-Dimethylbenzyl)-N'-(1H-indazol-4-yl)urea  
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735330-86-6P, N-[2-Chloro-4-(azepan-1-yl)benzyl]-N'-(1H-indazol-4-yl)urea  
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735330-90-2P, N-1H-Indazol-4-yl-N'-[3-(trifluoromethyl)benzyl]urea  
735330-91-3P, N-[3,5-Difluoro-4-(azepan-1-yl)benzyl]-N'-(1H-indazol-4-yl)urea  
735330-94-6P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-3,5-difluorobenzyl]-N'-(1H-indazol-4-yl)urea  
735330-97-9P, N-(4-Chlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea hydrochloride  
735331-01-8P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-2-chlorobenzyl]-N'-(1H-indazol-4-yl)urea hydrochloride  
735331-05-2P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-(trifluoromethyl)benzyl]-N'-(1H-indazol-4-yl)urea hydrochloride  
735331-06-3P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-chlorobenzyl]-N'-(1H-indazol-4-yl)urea  
735331-07-4P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)benzyl]-N'-(1H-indazol-4-yl)urea  
735331-08-5P, N-(4-tert-Butylbenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea hydrochloride  
735331-09-6P, N-[3-Fluoro-4-(trifluoromethyl)benzyl]-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-10-9P, N-[4-Chloro-3-(trifluoromethyl)benzyl]-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-11-0P, N-(1-Methyl-1H-indazol-4-yl)-4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinecarboxamide hydrochloride  
735331-12-1P, N-(3,4-Dichlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea hydrochloride  
735331-13-2P, N-(2,4-Dichlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-14-3P, N-(4-Ethylbenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-15-4P, N-(2-Chlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-16-5P, N-(4-Fluorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-17-6P, N-(2-Fluorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-18-7P, N-[1-(4-Bromophenyl)ethyl]-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-19-8P, N-(1-Methyl-1H-indazol-4-yl)-N'-[4-[(trifluoromethyl)thio]benzyl]urea  
735331-20-1P, N-(4-tert-Butylbenzyl)-N'-(7-methyl-1H-indazol-4-yl)urea hydrochloride  
735331-21-2P, N-(7-Methyl-1H-indazol-4-yl)-N'-[4-(trifluoromethyl)benzyl]urea  
735331-22-3P, N-(7-Methyl-1H-indazol-4-yl)-N'-[4-[(trifluoromethyl)thio]benzyl]urea  
735331-23-4P, N-(4-Chlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-24-5P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-2-chlorobenzyl]-N'-(1H-indazol-4-yl)urea  
735331-25-6P, N-(4-tert-Butylbenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-26-7P, N-(3,4-Dichlorobenzyl)-N'-(1-methyl-1H-indazol-4-yl)urea  
735331-27-8P, N-[4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-(trifluoromethyl)benzyl]-N'-(1H-indazol-4-yl)urea  
735331-28-9P, N-(4-tert-Butylbenzyl)-N'-(7-methyl-1H-indazol-4-yl)urea  
735331-29-0P,

N-1H-Indazol-4-yl-N'-(3-phenylpropyl)urea 735331-30-3P,  
N-(1-Methyl-1H-indazol-4-yl)-4-[4-(trifluoromethyl)-2-pyridinyl]piperazine-  
1-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of fused azabicyclic compds. that inhibit vanilloid receptor  
subtype 1 (VR1))

IT 76-02-8, Trichloroacetyl chloride 89-97-4, 2-Chlorobenzylamine  
529-17-9, 8-Methyl-8-azabicyclo[3.2.1]octane 603-83-8,  
2-Methyl-3-nitroaniline 6760-99-2, 8-Azabicyclo[3.2.1]octane  
hydrochloride 15996-78-8, 2-Chloro-5-(trifluoromethyl)benzylamine  
55204-93-8, 2-Chlorobenzyl isocyanate 60702-69-4, 2-Chloro-4-  
fluorobenzonitrile 64248-62-0, 3,4-Difluorobenzonitrile 67515-59-7,  
4-Fluoro-3-(trifluoromethyl)benzonitrile 74124-79-1, 1-[[[(2,5-Dioxo-1-  
**pyrrolidinyl**)oxy]**carbonyl**]oxy]-2,5-pyrrolidinedione  
117482-84-5, 4-Fluoro-3-chlorobenzonitrile 118708-88-6,  
1-[4-(Trifluoromethyl)-2-pyridinyl]piperazine 132740-44-4,  
2-Fluorobenzyl isocyanate 134227-45-5, 3,4,5-Trifluorobenzonitrile  
221681-73-8, 7-Methyl-1H-indazol-4-amine 387350-39-2,  
[6-(Trifluoromethyl)-3-pyridinyl]methylamine 735330-63-9,  
4-(Azepan-1-yl)-3-(trifluoromethyl)benzylamine 735330-65-1,  
4-(Azepan-1-yl)-2-(trifluoromethyl)benzylamine 735330-67-3,  
4-(2-Azabicyclo[2.2.1]hept-2-yl)-2-(trifluoromethyl)benzylamine  
735330-69-5, 4-(8-Azabicyclo[3.2.1]oct-8-yl)-2-  
(trifluoromethyl)benzylamine 735330-74-2, 3-Chloro-4-(azepan-1-  
yl)benzylamine 735330-87-7, 2-Chloro-4-(azepan-1-yl)benzylamine  
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of fused azabicyclic compds. that inhibit vanilloid receptor  
subtype 1 (VR1))

IT 280-05-7P, 8-Azabicyclo[3.2.1]octane 26120-43-4P, 1-Methyl-4-nitro-1H-  
indazole 735330-50-4P, Methyl 4-[[[(2,5-dioxo-1-**pyrrolidinyl**  
)oxy]**carbonyl**]amino]-1H-indazole-1-carboxylate 735330-71-9P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-fluorobenzonitrile 735330-72-0P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-fluorobenzylamine 735330-92-4P,  
3,5-Difluoro-4-(azepan-1-yl)benzonitrile 735330-93-5P,  
3,5-Difluoro-4-(azepan-1-yl)benzylamine 735330-95-7P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-3,5-difluorobenzonitrile 735330-96-8P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-3,5-difluorobenzylamine 735330-99-1P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-2-chlorobenzonitrile 735331-00-7P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-2-chlorobenzylamine 735331-03-0P,  
4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-(trifluoromethyl)benzonitrile  
735331-04-1P, 4-(8-Azabicyclo[3.2.1]oct-8-yl)-3-  
(trifluoromethyl)benzylamine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of fused azabicyclic compds. that inhibit vanilloid receptor  
subtype 1 (VR1))

L2 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:606471 CAPLUS

DOCUMENT NUMBER: 141:157123

TITLE: Preparation of pyrrolopyridazines as inhibitors of  
phosphodiesterase IV (PDE IV) and production of tumor  
necrosis factor- $\alpha$  (TNF- $\alpha$ )

INVENTOR(S): Abe, Yoshito; Inoue, Makoto; Mizutani, Tsuyoshi;  
Sawada, Kozo; Ohne, Kazuhiko; Okumura, Mitsuaki;  
Sawada, Yuki; Imamura, Kenichiro

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 360 pp.

CODEN: PIXXD2

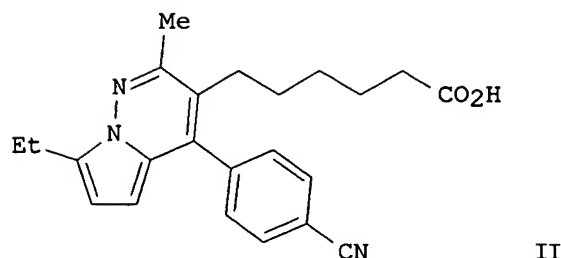
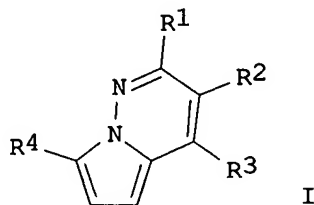
DOCUMENT TYPE: Patent

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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063197	A1	20040729	WO 2003-JP17091	20031226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005075342	A1	20050407	US 2003-747079	20031230
PRIORITY APPLN. INFO.:			AU 2003-900189	A 20030109
			AU 2003-903628	A 20030714
OTHER SOURCE(S):			MARPAT 141:157123	
GI				



AB Title compds. I [wherein R1 = (un)protected CO<sub>2</sub>H, CONH<sub>2</sub> and derivs., OH and lower alkoxy, mono/di/cyclo(lower)alkylamino, trihalo(lower)alkyl, (un)substituted lower alkyl, aryl, heterocyclyl; R2 = R7, or -(A1)p-XA2A7; p = 0-1; A1 = ethylene, HC:CH; A2 = (CH<sub>2</sub>)<sub>n</sub>, (HC:CH)<sub>m</sub>; n = 1-6; m = 1-3; X = a single bond, O, NH and derivs., C(:O), hydroxyalkylne, etc.; R7 = H, (un)substituted aryl, heterocyclyl, CO<sub>2</sub>H and derivs., acyl, CN, NH<sub>2</sub> and derivs., OH, aryloxy, acyloxy; R1R2 = (un)substituted lower alk(en)ylene, optionally interrupted by NH<sub>2</sub>, or sulfonyl, and optionally fused with benzene; R3 = (un)substituted aryl, heterocyclyl; R4 = H, halo, CN, carbamoyl, acyl, thiocyanate, lower alkylthio, lower alk(en)yl, hydroxy(lower)alkyl, trihalo(lower)alkyl; and their pharmaceutically acceptable salts or prodrugs] were prepared as inhibitors of phosphodiesterase IV (PDE IV) and production of tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ). Thus, reacting Et 7-(4-cyanobenzoyl)-8-oxononanoate (preparation given) with 2-ethyl-1H-pyrrol-1-amine in toluene in the presence of p-TSA at reflux, followed ester hydrolysis in the presence of KOH/MeOH

gave pyrrolopyridazine II and its 4-(aminocarbonyl)phenyl derivative. Pyrrolopyridazine II displayed an IC<sub>50</sub> < 1 μM for PDE IV inhibition. II gave an IC<sub>50</sub> < 100 μM for the inhibition of TNF-α production. I are useful for treating asthma, COPD, fibrosis, hepatitis, Alzheimer's diseases, etc.

728017-79-6P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[4-pyridinyl)methoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-80-9P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-pyrazinyl)methoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-81-0P, Ethyl 5-[4-(3-cyanophenyl)-2-(ethoxymethyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-82-1P, Ethyl 5-[2-[(benzyloxy)methyl]-4-(3-cyanophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-83-2P, Methyl 4-[[[4-(3-cyanophenyl)-3-(5-ethoxy-5-oxopentyl)-7-ethylpyrrolo[1,2-b]pyridazin-2-yl]methoxy)methyl]benzoate  
728017-85-4P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(4-morpholinyl)-2-oxoethoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-86-5P, Ethyl 5-[7-ethyl-2-[[2-(methylamino)-2-oxoethoxy)methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-87-6P, Ethyl 5-[2-[(benzylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-90-1P, Ethyl 5-[2-[(acetylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-91-2P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[(methylsulfonyl)amino)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-92-3P, Ethyl 5-[2-[(benzoylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-93-4P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[1-[[2-pyrazinyl)carbonyl]amino)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate  
728017-94-5P, Ethyl 5-[7-ethyl-2-[[2-(methoxycarbonyl)amino)methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-96-7P, Ethyl 5-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-[(4-methyl-1-piperazinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-98-9P, Ethyl 5-[4-(5-bromo-3-pyridinyl)-2-(cyanomethyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-99-0P, [7-Ethyl-2-methyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]acetic acid 728018-00-6P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728018-01-7P, 4-[4-(5-Acetyl-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728018-02-8P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-03-9P, 3-[4-(5-Acetyl-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-04-0P, 3-[7-Ethyl-2-(methoxymethyl)-4-(5-vinyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-05-1P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728018-06-2P, 4-[7-Ethyl-2-(methoxymethyl)-4-(5-vinyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728018-08-4P, 4-[4-(5-Acetyl-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728018-09-5P, 5-[4-(5-Amino-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-10-8P, 5-[4-[5-(Dimethylamino)-3-pyridinyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-11-9P, 3-[4-(5-Acetyl-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-12-0P, 5-[2-[(Benzyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-13-1P, 3-[2-[(Benzyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-14-2P, 5-[2-[(Cyclohexyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-15-3P, 5-[4-(5-Bromo-3-pyridinyl)-2-[(cyclohexyloxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-16-4P, 3-[2-[(Cyclohexyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-17-5P, 3-[4-(5-Bromo-3-pyridinyl)-2-[(cyclohexyloxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728018-18-6P, 5-[7-Ethyl-2-(isopropoxymethyl)-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-

yl]pentanoic acid 728018-19-7P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(isopropoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728018-20-0P, 5-[7-Ethyl-2-(hydroxymethyl)-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-21-1P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(4-morpholinyl)-2-oxoethoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728018-22-2P, 5-[7-Ethyl-2-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728018-23-3P, 5-[2-[(Cyclopropylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-24-4P, 5-[2-[(Cyclohexylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-25-5P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[3-(3-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-26-6P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(2-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-27-7P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-28-8P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(2-pyrazinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-29-9P, 5-[2-[(Benzylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-30-2P, 5-[2-[(Acetylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-31-3P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(methylsulfonyl)amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-32-4P, 5-[2-[(Benzoylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-33-5P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(2-pyrazinyl)carbonyl]amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-34-6P, 5-[7-Ethyl-2-[[2-(methoxycarbonyl)amino]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-36-8P, 5-[4-(3-Cyanophenyl)-2-(ethoxymethyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-37-9P, 5-[2-[(Benzyloxy)methyl]-4-(3-cyanophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-38-0P, 4-[[3-(4-Carboxybutyl)-4-(3-cyanophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-2-yl]methoxy]methyl]benzoic acid 728018-39-1P, 4-[[4-[3-(Carbamoyl)phenyl]-3-(4-carboxybutyl)-7-ethylpyrrolo[1,2-b]pyridazin-2-yl]methoxy]methyl]benzoic acid 728018-40-4P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(4-methyl-1-piperazinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-41-5P, 5-[4-(5-Bromo-3-pyridinyl)-2-(cyanomethyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-42-6P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(hydroxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-43-7P, 7-Ethyl-N-(2-hydroxyethyl)-2-methyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazine-3-carboxamide 728018-44-8P, N-Butyl-7-ethyl-2-methyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazine-3-carboxamide 728018-45-9P, Ethyl 3-[[7-ethyl-2-methyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]propanoate 728018-46-0P, 4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methyl-3-[3-(4-morpholinyl)-3-oxopropyl]pyrrolo[1,2-b]pyridazine 728018-47-1P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-N-methylpropanamide 728018-48-2P, N-[3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]propanoyl]methanesulfonamide 728018-49-3P, 2-[[3-[4-(3-Chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoyl](methyl)amino]ethanesulfonic acid 728019-60-1P, Ethyl 4-[3-bromo-4-(methoxycarbonyl)phenyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine-3-carboxylate 728019-61-2P, 3-[7-Ethyl-2-(methoxymethyl)-3-(methylsulfonyl)pyrrolo[1,2-b]pyridazin-4-yl]benzonitrile 728019-62-3P, 5-[4-[3-(Aminocarbonyl)phenyl]-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728019-63-4P, Ethyl 4-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-64-5P, Ethyl 3-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-65-6P, Ethyl

5-[2-benzyl-4-(2-chloro-4-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-66-7P, Methyl 2-[7-ethyl-2-methyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]ethoxyacetate 728019-68-9P, Ethyl 3-[2-[(acetyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-69-0P, Ethyl 4-[2-[(acetyloxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-70-3P, Ethyl 5-[2-[(acetyloxy)methyl]-4-(3-cyanophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-71-4P, Ethyl 3-[2-[(acetyloxy)methyl]-4-(5-bromo-3-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-72-5P, Ethyl 3-[2-[(cyclohexylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-73-6P, Ethyl 3-[4-(5-bromo-3-pyridinyl)-2-[(cyclohexylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-74-7P, Ethyl 4-[4-(5-bromo-3-pyridinyl)-2-[(cyclohexylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-75-8P, Ethyl 4-[2-[(cyclopropylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-76-9P, Ethyl 3-[2-[(cyclopropylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-77-0P, Ethyl 3-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-[(2-methoxyethoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-78-1P, 4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine 728019-79-2P, Ethyl 4-[2-[(acetyloxy)methyl]-4-(5-chloro-3-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-80-5P, Ethyl 5-[4-(5-chloro-3-pyridinyl)-2-[(cyclopropylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-81-6P, Ethyl 4-[4-(5-chloro-3-pyridinyl)-2-[(cyclopropylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-82-7P, Ethyl 5-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-83-8P, Ethyl 3-[4-(5-chloro-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-84-9P, Ethyl 3-[2-[(acetyloxy)methyl]-4-(3-chlorophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-85-0P, Ethyl 4-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-86-1P, 2,4-Bis(5-bromo-3-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazine 728019-87-2P, Ethyl 4-[2-[(acetyloxy)methyl]-4-(3-chlorophenyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-88-3P, Ethyl 5-[7-ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-89-4P, Ethyl 5-[7-ethyl-4-(5-methoxy-3-pyridinyl)-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-90-7P, Ethyl 3-[7-ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-91-8P, Ethyl 4-[7-ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-92-9P, Ethyl 5-[7-ethyl-2-(methoxymethyl)-4-(5-pyrimidinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-93-0P, Ethyl 4-[4-(5-chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoate 728019-94-1P, Ethyl 3-[4-(5-chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoate 728019-95-2P, Ethyl 5-[4-(5-chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728019-96-3P, Methyl 2-[(acetyloxy)methyl]-4-(5-bromo-3-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazine-3-carboxylate 728019-98-5P, Ethyl (2E)-4-[4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-2-butenate 728020-00-6P, Ethyl 4-(2-chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine-3-carboxylate 728020-01-7P, 4-[4-(2-Chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-03-9P, 3-[4-(2-Chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-04-0P, 4-[4-(2-Chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-05-1P, 3-[4-(2-Chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-06-2P, 5-[2-Benzyl-4-(2-chloro-4-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-07-3P, [2-[7-Ethyl-2-methyl-4-(5-methyl-3-

pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]ethoxy]acetic acid 728020-08-4P,  
[2-[4-(2-Chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]ethoxy]acetic acid 728020-09-5P, [4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]acetic acid 728020-10-8P,  
3-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-11-9P, 3-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-pyrazinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-12-0P, 3-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-13-1P, 4-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-14-2P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-15-3P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[3-(3-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-16-4P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[2-(2-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-17-5P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[2-(2-pyrazinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-18-6P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-19-7P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[3-(3-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-20-0P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[2-(2-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-21-1P, 4-[4-(5-Bromo-3-pyridinyl)-2-[(cyclopropylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-22-2P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[2-(2-pyrazinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-23-3P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[4-(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-24-4P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[2-(2-hydroxyethoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-25-5P, 3-[2-[(Cyclohexylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-26-6P, 3-[4-(5-Bromo-3-pyridinyl)-2-[(cyclohexylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-27-7P, 4-[4-(5-Bromo-3-pyridinyl)-2-[(cyclohexylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-28-8P, 4-[2-[(Cyclopropylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-29-9P, 3-[2-[(Cyclopropylmethoxy)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-30-2P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(2-methoxyethoxy)methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-31-3P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[(4-morpholinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-32-4P, 5-[4-(5-Bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-33-5P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[(1-pyrrolidinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-34-6P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[methyl(phenyl)amino]carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-35-7P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[(4-morpholinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-36-8P, 4-[4-(5-Bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-37-9P, 3-[4-(5-Bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-38-0P, 5-[4-(5-Bromo-3-pyridinyl)-2-[(1,1-dioxido-4-thiomorpholinyl)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-39-1P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4-thiomorpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-40-4P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid



728020-41-5P, 4-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-[(4-thiomorpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-42-6P, 4-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-[(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-43-7P, 4-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-[(4-morpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-44-8P, 5-[4-(5-Chloro-3-pyridinyl)-2-[(cyclopropylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-45-9P,  
 4-[4-(5-Chloro-3-pyridinyl)-2-[(cyclopropylmethoxy)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-46-0P,  
 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-47-1P, 3-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-48-2P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4-morpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid  
 728020-49-3P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4-morpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-50-6P, 4-[4-(5-Chloro-3-pyridinyl)-2-[(cyclopropylamino)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-51-7P,  
 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(2-phenoxyethyl)amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-52-8P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(2-hydroxyethyl)(methyl)amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-53-9P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(1-piperidinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-54-0P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4-thiomorpholinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid  
 728020-55-1P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid  
 728020-56-2P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(isobutoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-57-3P, 5-[2-[[2-(Benzylamino)-2-oxoethoxy]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728020-58-4P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[ (phenylsulfonyl)amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728020-59-5P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(1-pyrrolidinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728020-60-8P, 3-[4-(3-Chlorophenyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-61-9P, 4-[4-(3-Chlorophenyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-62-0P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4-phenyl-1-piperazinyl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728020-63-1P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(2-methoxyethyl)amino]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid  
 728020-64-2P, 5-[7-Ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-65-3P,  
 5-[7-Ethyl-4-(5-methoxy-3-pyridinyl)-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-66-4P, 3-[7-Ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid  
 728020-67-5P, 4-[7-Ethyl-2-(methoxymethyl)-4-(5-methoxy-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-68-6P,  
 5-[7-Ethyl-2-(methoxymethyl)-4-(5-pyrimidinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-69-7P, 4-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-70-0P,  
 3-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-71-1P, 5-[4-(5-Chloro-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-72-2P, 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(4H-1,2,4-triazol-4-yl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid  
 728020-73-3P, 4-[4-(5-Bromo-3-pyridinyl)-2-[(cyclopropylamino)methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-74-4P,  
 4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[(2-oxo-1,3-oxazolidin-3-yl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-76-6P,  
 5-[4-(3-Cyanophenyl)-7-ethyl-2-(phenoxyethyl)pyrrolo[1,2-b]pyridazin-3-

yl]pentanoic acid 728020-77-7P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-(3-methyl-2-thienyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-78-8P, (2E)-4-[4-(3-Cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-2-butenic acid 728020-79-9P, Ethyl 4-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728020-80-2P, Ethyl 3-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728020-81-3P, Methyl [2-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]ethoxy]acetate 728020-82-4P, Ethyl 5-[4-(3-cyanophenyl)-7-ethyl-2-(3-methyl-2-thienyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728020-83-5P, Methyl 5-[4-(3-cyanophenyl)-7-ethyl-2-(2-thienyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728020-84-6P, Ethyl 3-[4-(3-cyanophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728020-85-7P, 4-(5-Bromo-3-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazine-3-carbonitrile 728020-86-8P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-[(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-87-9P, 5-[4-(3-(Aminocarbonyl)phenyl)-7-ethyl-2-[(4-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-88-0P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-[(2-pyrazinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-89-1P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-[(3-pyridinyl)methoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-90-4P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-(5-methyl-3-isoxazolyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-91-5P, 5-[4-(3-Cyanophenyl)-7-ethyl-2-(2-thienyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-92-6P, 3-[4-(3-Cyanophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-93-7P, 5-[4-(3-Chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-94-8P, Ethyl 5-[4-(3-cyanophenyl)-7-ethyl-2-(5-methyl-3-isoxazolyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728020-95-9P, Ethyl 4-[4-(aminocarbonyl)-3-bromophenyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine-3-carboxylate 728020-96-0P, 3-[2-(Cyclopentylamino)-7-ethyl-3-(methylsulfonyl)pyrrolo[1,2-b]pyridazin-4-yl]benzonitrile 728020-97-1P, 3-[7-Ethyl-2-(methylamino)-3-(methylsulfonyl)pyrrolo[1,2-b]pyridazin-4-yl]benzonitrile 728020-98-2P, 728020-99-3P, [4-(3-Chlorophenyl)-7-ethyl-2-(2-furyl)pyrrolo[1,2-b]pyridazin-3-yl]methanol 728021-00-9P, [4-(2-Chloro-4-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]methanol 728021-01-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phosphodiesterase IV inhibitor; preparation of pyrrolopyridazines as inhibitors of phosphodiesterase IV and production of tumor necrosis fact

SYSTEM LIMITS EXCEEDED

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ACCESSION NUMBER: 2004:390239 CAPLUS

DOCUMENT NUMBER: 140:406743

TITLE: Preparation of aryl and heteroaryl amides, in particular benzamides and pyridinyl amides, as

apolipoprotein B (Apo B) secretion inhibitors

INVENTOR(S): Inoue, Yoshikazu; Terasawa, Takeshi; Takasugi, Hisashi; Nagayoshi, Akira; Ueshima, Koji; Sawada, Masae; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Fukumoto, Daisuke

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.; et al.

SOURCE: PCT Int. Appl., 331 pp.

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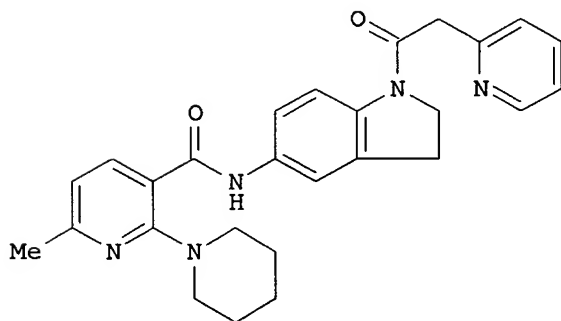
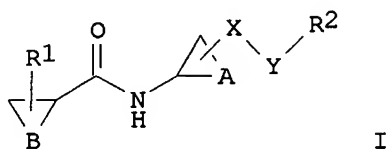
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WO 2004039795	A2	20040513	WO 2003-JP13683	20031027
WO 2004039795	A3	20050324		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004133008	A1	20040708	US 2003-694091	20031028
PRIORITY APPLN. INFO.:			AU 2002-952331	A 20021029
			AU 2003-902622	A 20030527
OTHER SOURCE(S):		MARPAT 140:406743		
GI				



AB Title compds. I [wherein R1 = H, lower alk/en/yl, halo(lower)alkyl, cyclo(lower)alkyl, lower alkoxy, lower alkylthio, acyl, NH2 and derivs., (un)substituted aryl; R2 = H, (un)substituted hetero/aryl; X = a bond or bivalent residue derived from piperazine; Y is -(A1)n-(A2)m-; A1 = O, NH, CO, NHCO, CONH, CH2CONH, etc.; A2 = (un)substituted lower alkylene, n and m = independently 0 or 1; A = bivalent residue derived from hetero/arene; B = bivalent residue derived from (un)substituted hetero/arene; and their salts] were prepared as inhibitors of apolipoprotein B (Apo B) secretion, and as a medicament for prophylactic and treatment of diseases or conditions resulting from elevated circulating levels of Apo B. For example, II was prepared by acylation of N-(2,3-dihydro-1H-indol-5-yl)-6-methyl-2-(1-piperidinyl)nicotinamide (preparation given) with 2-pyridinylethyl acetic acid dihydrochloride. N-[4-[[2-(6-Amino-2-pyridinylethyl)amino]phenyl]-4-chloro-2-(dimethylamino)benzamido]benzamide (III) displayed 85.9% inhibition of Apo B secretion at 10<sup>-8</sup> M. III, at a dose of 0.32 mg/kg lowered lipid levels in ddY-mice by 52% after 2 h. I are

useful as hypolipemic, antidiabetic, and cardiovascular agents.  
 689141-18-2P, 4-Methyl-2-(4-methyl-1-piperazinyl)benzoic acid  
 689141-29-5P, Benzyl 4-methyl-2-(4-thiomorpholinyl)benzoate  
 689141-35-3P, 4-Methyl-2-(4-thiomorpholinyl)benzoic acid 689141-48-8P,  
 2-(1,1-Dioxido-4-thiomorpholinyl)-4-methylbenzoic acid 689141-62-6P,  
 Benzyl 2-(hexahydro-1H-azepin-1-yl)-4-methylbenzoate 689141-67-1P,  
 2-(Hexahydro-1H-azepin-1-yl)-4-methylbenzoic acid 689141-78-4P,  
 2-(1-Piperidinyl)-4-(trifluoromethyl)benzonitrile 689141-85-3P,  
 2-(1-Piperidinyl)-4-(trifluoromethyl)benzoic acid 689141-95-5P,  
 4-Chloro-2-(1-piperidinyl)benzonitrile 689142-13-0P,  
 4-Methoxy-2-(1-piperidinyl)benzonitrile 689142-18-5P,  
 4-Methoxy-2-(1-piperidinyl)benzoic acid 689142-28-7P, Benzyl  
 5-methyl-2-(1-pyrrolidinyl)benzoate 689142-42-5P, 5-Methyl-2-(1-  
 pyrrolidinyl)benzoic acid 689142-54-9P, Benzyl 5-methyl-2-(1-  
 piperidinyl)benzoate 689142-60-7P, 5-Methyl-2-(1-piperidinyl)benzoic  
 acid 689142-72-1P, 2-(1-Piperidinyl)-3-(trifluoromethyl)benzonitrile  
 689142-78-7P, 2-(1-Piperidinyl)-3-(trifluoromethyl)benzoic acid  
 689143-11-1P, 2-Nitro-N-[1-(2-pyridinylacetyl)-2,3-dihydro-1H-indol-5-  
 yl]benzamide 689143-16-6P, 2-Amino-N-[1-(2-pyridinylacetyl)-2,3-dihydro-  
 1H-indol-5-yl]benzamide 689143-37-1P, tert-Butyl 5-[[2-chloro-6-methyl-  
 3-pyridinyl]carbonyl]amino]indoline-1-carboxylate 689143-48-4P,  
 tert-Butyl 5-[[[6-methyl-2-(1-piperidinyl)-3-pyridinyl]carbonyl]amino]-1-  
 indolinecarboxylate 689143-53-1P, N-(2,3-Dihydro-1H-indol-5-yl)-6-methyl-  
 2-(1-piperidinyl)nicotinamide 689143-69-9P, tert-Butyl  
 5-[[[6-methyl-2-(4-methyl-1-piperidinyl)-3-pyridinyl]carbonyl]amino]-1-  
 indolinecarboxylate 689143-75-7P, N-(2,3-Dihydro-1H-indol-5-yl)-6-methyl-  
 2-(4-methyl-1-piperidinyl)nicotinamide 689143-85-9P,  
 2-Chloro-N-[1-(2-pyridinylacetyl)-2,3-dihydro-1H-indol-5-yl]nicotinamide  
 689144-02-3P, 2-Chloro-N-(2,3-dihydro-1H-indol-5-yl)-6-methylnicotinamide  
 689144-07-8P, 2-Chloro-6-methyl-N-[1-(2-pyridinylacetyl)-2,3-dihydro-1H-  
 indol-5-yl]nicotinamide 689144-30-7P, tert-Butyl 5-[[[2-(dimethylamino)-  
 6-methyl-3-pyridinyl]carbonyl]amino]-1-indolinecarboxylate 689144-36-3P,  
 N-(2,3-Dihydro-1H-indol-5-yl)-2-(dimethylamino)-6-methylnicotinamide  
 689145-14-0P, tert-Butyl N-[4-[[2-chloro-6-methyl-3-  
 pyridinyl]carbonyl]amino]phenyl][2-(2-pyridinyl)ethyl]carbamate  
 689145-74-2P, tert-Butyl N-[4-[[2-chloro-3-pyridinyl]carbonyl]amino]pheny  
 l][2-(2-pyridinyl)ethyl]carbamate 689146-24-5P, 2-Chloro-6-methyl-N-[4-  
 [[2-(2-pyridinyl)ethyl]amino]phenyl]nicotinamide 689146-35-8P,  
 2-Chloro-6-methyl-N-[4-[2-(2-pyridinyl)ethoxy]phenyl]nicotinamide  
 689146-56-3P, 2-Chloro-N-[4-[2-(2-pyridinyl)ethoxy]phenyl]nicotinamide  
 689146-79-0P, 2-Chloro-6-methyl-N-[6-[2-(2-pyridinyl)ethoxy]-3-  
 pyridinyl]nicotinamide 689146-99-4P, 2-Chloro-N-[4-[4-(3-cyanobenzyl)-1-  
 piperazinyl]phenyl]-6-methylnicotinamide 689147-20-4P,  
 2-Chloro-N-[6-[4-(3-cyanobenzyl)-1-piperazinyl]-3-pyridinyl]-6-  
 methylnicotinamide 689147-63-5P, Methyl 4-chloro-2-  
 (dimethylamino)benzoate 689147-76-0P, 4-Chloro-2-(dimethylamino)benzoic  
 acid 689148-02-5P, Methyl 2-(dimethylamino)-4-fluorobenzoate  
 689148-06-9P, 2-(Dimethylamino)-4-fluorobenzoic acid 689148-14-9P,  
 2-(Dimethylamino)-4-(trifluoromethyl)benzonitrile 689148-20-7P,  
 2-(Dimethylamino)-4-(trifluoromethyl)benzoic acid 689148-34-3P, Benzyl  
 2-(dimethylamino)-4-methoxybenzoate 689148-41-2P, 2-(Dimethylamino)-4-  
 methoxybenzoic acid 689148-60-5P, 4-Acetyl-2-(dimethylamino)phenyl  
 trifluoromethanesulfonate 689148-65-0P, Methyl 4-acetyl-2-  
 (dimethylamino)benzoate 689148-69-4P, Methyl 2-(dimethylamino)-4-(1-  
 hydroxyethyl)benzoate 689148-76-3P, Methyl 2-(dimethylamino)-4-  
 ethylbenzoate 689148-82-1P, 2-(Dimethylamino)-4-ethylbenzoic acid  
 689148-95-6P, Methyl 2-(dimethylamino)-4-isopropenylbenzoate  
 689149-02-8P, Methyl 2-(dimethylamino)-4-isopropylbenzoate 689149-07-3P,  
 2-(Dimethylamino)-4-isopropylbenzoic acid 689149-32-4P,  
 4-tert-Butyl-2-(dimethylamino)phenyl trifluoromethanesulfonate  
 689149-38-0P, Methyl 4-tert-butyl-2-(dimethylamino)benzoate  
 689149-44-8P, 4-tert-Butyl-2-(dimethylamino)benzoic acid 689149-77-7P,  
 Benzyl 4-methoxy-2-(4-methyl-1-piperidinyl)benzoate 689149-84-6P,

4-Methoxy-2-(4-methyl-1-piperidinyl)benzoic acid 689150-01-4P,  
 2-(Dimethylamino)-3-methylbenzoic acid 689150-67-2P 689150-75-2P,  
 6-Methyl-2-(4-methyl-1-piperidinyl)nicotinic acid 689151-18-6P,  
 2-Chloro-N-[4-[formyl[2-(2-pyridinyl)ethyl]amino]phenyl]-6-methylnicotinamide 689152-01-0P, 2,6-Dichloro-N-[4-[formyl[2-(2-pyridinyl)ethyl]amino]phenyl]nicotinamide 689152-18-9P,  
 2-Chloro-6-methyl-N-[6-[[2-(2-pyridinyl)ethyl]amino]-3-pyridinyl]nicotinamide 689152-40-7P, 2-Chloro-6-methyl-N-[4-[3-(2-pyridinyl)propanoyl]phenyl]nicotinamide 689152-76-9P,  
 1-[2-(4-Nitrophenoxy)ethyl]-1H-pyrazole 689152-83-8P,  
 4-[2-(1H-Pyrazol-1-yl)ethoxy]phenylamine 689152-90-7P,  
 5-Nitro-2-[2-(1H-pyrazol-1-yl)ethoxy]pyridine 689152-97-4P,  
 6-[2-(1H-Pyrazol-1-yl)ethoxy]-3-pyridinamine 689153-26-2P,  
 N-(4-Nitrophenyl)-N-[2-(1H-1,2,4-triazol-1-yl)ethyl]amine 689153-32-0P,  
 N-[2-(1H-1,2,4-Triazol-1-yl)ethyl]-1,4-benzenediamine 689153-54-6P,  
 2-Chloro-6-methyl-N-[4-[2-oxo-2-(2-pyridinylamino)ethyl]phenyl]nicotinamide 689155-28-0P, tert-Butyl N-[2-[6-[(tert-butoxycarbonyl)amino]-2-pyridinyl]ethyl][4-[[2-chloro-6-methyl-3-pyridinyl]carbonyl]amino]phenyl]carbamate 689155-58-6P, tert-Butyl [6-[2-[4-[[2-chloro-6-methyl-3-pyridinyl]carbonyl]amino]phenoxy]ethyl]-2-pyridinyl]carbamate 689155-92-8P, tert-Butyl N-[2-[2-[(tert-butoxycarbonyl)amino]-1,3-thiazol-4-yl]ethyl][4-[[2-chloro-6-methyl-3-pyridinyl]carbonyl]amino]phenyl]carbamate 689156-24-9P, tert-Butyl [4-[2-[4-[[2-chloro-6-methyl-3-pyridinyl]carbonyl]amino]phenoxy]ethyl]-1,3-thiazol-2-yl]carbamate 689157-81-1P, 1-(2-Azidoethyl)-1H-pyrazole 689157-85-5P,  
 N-(4-Nitrophenyl)-N-[2-(1H-pyrazol-1-yl)ethyl]amine 689157-92-4P, tert-Butyl N-(4-nitrophenyl)-N-[2-(1H-pyrazol-1-yl)ethyl]carbamate 689158-00-7P, tert-Butyl N-(4-aminophenyl)-N-[2-(1H-pyrazol-1-yl)ethyl]carbamate 689158-62-1P, 5-Nitro-N-[2-(1H-pyrazol-1-yl)ethyl]-2-pyridinamine 689158-76-7P, tert-Butyl N-(5-nitro-2-pyridinyl)-N-[2-(1H-pyrazol-1-yl)ethyl]carbamate 689158-82-5P, tert-Butyl N-(5-amino-2-pyridinyl)-N-[2-(1H-pyrazol-1-yl)ethyl]carbamate 689159-26-0P, 2-Chloro-6-methyl-N-[2-(phenylacetyl)-2,3-dihydro-1H-isoindol-5-yl]nicotinamide 689159-39-5P, 2-Chloro-N-[4-[[[6-(2,5-dimethyl-1H-pyrrol-1-yl)-2-pyridinyl]acetyl]amino]phenyl]-6-methylnicotinamide 689159-61-3P, 2-[3-(2,5-Dimethyl-1H-pyrrol-1-yl)-1H-pyrazol-1-yl]ethanol 689159-67-9P, 3-(2,5-Dimethyl-1H-pyrrol-1-yl)-1-[2-(4-nitrophenoxy)ethyl]-1H-pyrazole 689159-75-9P, 4-[2-[3-(2,5-Dimethyl-1H-pyrrol-1-yl)-1H-pyrazol-1-yl]ethoxy]aniline 689160-02-9P,  
 N-(4-Aminophenyl)-2-(1H-pyrazol-1-yl)acetamide 689160-25-6P, 5-Nitro-1-(1H-pyrazol-1-ylacetyl)indoline 689161-24-8P, tert-Butyl 5-[(2-isopropoxy-4-methylbenzoyl)amino]-1-indolinecarboxylate 689161-31-7P, N-(2,3-Dihydro-1H-indol-5-yl)-2-isopropoxy-4-methylbenzamide 689162-11-6P, 4-Acetyl-2-(dimethylamino)benzoic acid 689162-61-6P, 2-(Dimethylamino)-4,5-dimethoxybenzoic acid 689162-71-8P, Methyl 1-methyl-1,2,3,4-tetrahydro-8-quinolinecarboxylate 689162-75-2P, 1-Methyl-1,2,3,4-tetrahydro-8-quinolinecarboxylic acid 689162-86-5P, Methyl 1-ethyl-1,2,3,4-tetrahydro-8-quinolinecarboxylate 689162-91-2P, 1-Ethyl-1,2,3,4-tetrahydro-8-quinolinecarboxylic acid 689163-04-0P, Methyl 5-chloro-1-methyl-1,2,3,4-tetrahydro-8-quinolinecarboxylate 689163-06-2P, 5-Chloro-1-methyl-1,2,3,4-tetrahydro-8-quinolinecarboxylic acid 689163-24-4P 689163-34-6P 689163-41-5P, 2-[Ethyl(methyl)amino]-6-methylnicotinic acid 689163-46-0P 689163-51-7P, 2-(Diethylamino)-6-methylnicotinic acid 689163-55-1P 689163-60-8P 689163-65-3P, 2-(Isopropylamino)-6-methylnicotinic acid 689163-71-1P, Benzyl 2-(cyclohexylamino)-6-methylnicotinate 689163-76-6P, 2-(Cyclohexylamino)-6-methylnicotinic acid 689165-95-5P, tert-Butyl 6-[[2-chloro-6-methyl-3-pyridinyl]carbonyl]amino]-3,4-dihydro-2(1H)-isoquinolinecarboxylate 689166-00-5P, tert-Butyl 6-[[[6-methyl-2-(4-methyl-1-piperidinyl)-3-pyridinyl]carbonyl]amino]-3,4-dihydro-2(1H)-isoquinolinecarboxylate 689166-06-1P, 6-Methyl-2-(4-methyl-1-piperidinyl)-N-(1,2,3,4-tetrahydro-6-isoquinolinyl)nicotinamide 689166-28-7P, tert-Butyl 6-[[[2-(dimethylamino)-6-methyl-3-

pyridinyl]carbonyl]amino]-3,4-dihydro-2(1H)-isoquinolinecarboxylate  
 689166-33-4P, 2-(Dimethylamino)-6-methyl-N-(1,2,3,4-tetrahydro-6-  
 isoquinolinyl)nicotinamide 689166-50-5P, tert-Butyl 6-[(2-isopropoxy-4-  
 methylbenzoyl)amino]-3,4-dihydro-2(1H)-isoquinolinecarboxylate  
 689166-59-4P, 2-Isopropoxy-4-methyl-N-(1,2,3,4-tetrahydro-6-  
 isoquinolinyl)benzamide 689166-78-7P, tert-Butyl 6-[[2-  
 (dimethylamino)benzoyl]amino]-3,4-dihydro-2(1H)-isoquinolinecarboxylate  
 689166-85-6P, 2-(Dimethylamino)-N-(1,2,3,4-tetrahydro-6-  
 isoquinolinyl)benzamide 689167-36-0P, N-[2-(1H-Pyrazol-1-yl)ethyl]-1,4-  
 benzenediamine 689169-68-4P, 1-[2-(4-Nitrophenoxy)ethyl]-1H-pyrrole  
 689169-73-1P, 4-[2-(1H-Pyrrol-1-yl)ethoxy]aniline 689169-89-9P,  
 N-[1-(Chloroacetyl)-2,3-dihydro-1H-indol-5-yl]-4'-(trifluoromethyl)-1,1'-  
 biphenyl-2-**carboxamide** 689170-32-9P, Ethyl  
 2-methyl-4-[[[(trifluoromethyl)sulfonyl]oxy]-5-pyrimidinecarboxylate  
 689170-37-4P, Ethyl 2-methyl-4-(4-methyl-1-piperidinyl)-5-  
 pyrimidinecarboxylate 689170-45-4P, 2-Methyl-4-(4-methyl-1-piperidinyl)-  
 5-pyrimidinecarboxylic acid 689170-65-8P, Ethyl 4-(4-methyl-1-  
 piperidinyl)-2-(trifluoromethyl)-5-pyrimidinecarboxylate 689170-69-2P,  
 4-(4-Methyl-1-piperidinyl)-2-(trifluoromethyl)-5-pyrimidinecarboxylic acid  
 689170-84-1P, Ethyl 4-(4-methyl-1-piperidinyl)-2-(methylthio)-5-  
 pyrimidinecarboxylate 689170-89-6P, 4-(4-Methyl-1-piperidinyl)-2-  
 (methylthio)-5-pyrimidinecarboxylic acid 689171-16-2P,  
 2-[5-(2,5-Dimethyl-1H-pyrrol-1-yl)-1H-pyrazol-1-yl]ethanol 689171-23-1P,  
 5-(2,5-Dimethyl-1H-pyrrol-1-yl)-1-[2-(4-nitrophenoxy)ethyl]-1H-pyrazole  
 689171-29-7P, 4-[2-[5-(2,5-Dimethyl-1H-pyrrol-1-yl)-1H-pyrazol-1-  
 yl]ethoxy]aniline 689171-93-5P, 5-Nitro-1-(1H-1,2,4-triazol-1-  
 ylacetyl)indoline 689172-22-3P, 5-Nitro-1-(1H-tetrazol-1-  
 ylacetyl)indoline 689172-28-9P, 1-(1H-Tetrazol-1-ylacetyl)-5-  
 indolinamine 689173-27-1P, N-(1-Chloroacetyl-2,3-dihydro-1H-indol-5-yl)-  
 6-methyl-2-(4-methyl-1-piperidinyl)nicotinamide 689173-48-6P,  
 N-[1-(Chloroacetyl)-2,3-dihydro-1H-indol-5-yl]-2-isopropoxy-4-  
 methylbenzamide 689173-61-3P, tert-Butyl 5-[[[6-methyl-2-(4-  
 thiomorpholinyl)-3-pyridinyl]carbonyl]amino]-1-indolinecarboxylate  
 689173-69-1P, N-(2,3-Dihydro-1H-indol-5-yl)-6-methyl-2-(4-  
 thiomorpholinyl)nicotinamide 689173-82-8P, 2-Chloro-6-methyl-N-[1-[2-(2-  
 pyridinyl)ethyl]-2,3-dihydro-1H-indol-5-yl]nicotinamide 689173-95-3P,  
 tert-Butyl 5-[[2-isopropoxy-6-methyl-3-pyridinyl]carbonyl]amino]-1-  
 indolinecarboxylate 689174-01-4P, N-(2,3-Dihydro-1H-indol-5-yl)-2-  
 isopropoxy-6-methylnicotinamide 689174-12-7P, tert-Butyl  
 4-[4-[[[6-methyl-2-(4-methyl-1-piperidinyl)-3-  
 pyridinyl]carbonyl]amino]phenyl]-1-piperazinecarboxylate 689174-19-4P,  
 6-Methyl-2-(4-methyl-1-piperidinyl)-N-[4-(1-piperazinyl)phenyl]nicotinamid  
 e 689174-45-6P, tert-Butyl 4-[4-[(2-isopropoxy-4-  
 methylbenzoyl)amino]phenyl]-1-piperazinecarboxylate 689174-51-4P,  
 2-Isopropoxy-4-methyl-N-[4-(1-piperazinyl)phenyl]benzamide 689174-69-4P,  
 2-Chloro-6-methyl-N-[3-oxo-2-[2-(2-pyridinyl)ethyl]-2,3-dihydro-1H-  
 isoindol-5-yl]nicotinamide 689174-84-3P, 2-(Dimethylamino)-3-  
 (trifluoromethyl)benzonitrile 689174-92-3P, 2-(Dimethylamino)-3-  
 (trifluoromethyl)benzoic acid 689176-16-7P, 3-(Dimethylamino)-4-methyl-2-  
 thiophenecarboxylic acid 689177-02-4P, Ethyl 4-[[4-methyl-2-(4-methyl-1-  
 piperidinyl)benzoyl]amino]benzoate 689177-10-4P, 4-[[4-Methyl-2-(4-  
 methyl-1-piperidinyl)benzoyl]amino]benzoic acid 689177-53-5P, Ethyl  
 4-[[2-(dimethylamino)-4-methylbenzoyl]amino]benzoate 689177-60-4P,  
 4-[[2-(Dimethylamino)-4-methylbenzoyl]amino]benzoic acid 689177-81-9P,  
 4-Nitro-N-[1-(2-pyridinyl)ethyl]benzamide 689177-89-7P,  
 4-Amino-N-[1-(2-pyridinyl)ethyl]benzamide 689178-24-3P,  
 1-[2-(4-Nitrophenoxy)ethyl]-N-trityl-1H-pyrazol-5-amine 689178-30-1P,  
 1-[2-(4-Aminophenoxy)ethyl]-N-trityl-1H-pyrazol-5-amine 689179-09-7P,  
 1-[2-[(5-Nitro-2-pyridinyl)oxy]ethyl]-N-trityl-1H-pyrazol-5-amine  
 689179-16-6P, 6-[2-[5-(Tritylamino)-1H-pyrazol-1-yl]ethoxy]-3-pyridinamine  
 689180-25-4P, 5-Nitro-N-[2-[3-(tritylamino)-1H-pyrazol-1-yl]ethyl]-2-  
 pyridinamine 689180-33-4P, 5-Amino-2-[[2-[3-(tritylamino)-1H-pyrazol-1-  
 yl]ethyl]amino]pyridine 689180-58-3P, 2-[5-(Tritylamino)-1H-pyrazol-1-

yl]ethyl 4-methylbenzenesulfonate 689180-64-1P, 1-(2-Azidoethyl)-N-trityl-1H-pyrazol-5-amine 689180-70-9P, N-[1-(2-Aminoethyl)-1H-pyrazol-5-yl]-N-tritylamine 689180-76-5P, 1-[2-[(4-Nitrophenyl)amino]ethyl]-N-trityl-1H-pyrazol-5-amine 689180-82-3P, tert-Butyl N-(4-nitrophenyl)[2-[5-(tritylamino)-1H-pyrazol-1-yl]ethyl]carbamate 689180-88-9P, tert-Butyl N-(4-aminophenyl)[2-[5-(tritylamino)-1H-pyrazol-1-yl]ethyl]carbamate 689181-60-0P, 5-Nitro-N-[2-[5-(tritylamino)-1H-pyrazol-1-yl]ethyl]-2-pyridinamine 689181-66-6P, tert-Butyl N-(5-nitro-2-pyridinyl)[2-[5-(tritylamino)-1H-pyrazol-1-yl]ethyl]carbamate 689181-73-5P, tert-Butyl N-(5-amino-2-pyridinyl)[2-[5-(tritylamino)-1H-pyrazol-1-yl]ethyl]carbamate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of amides as apolipoprotein B secretion inhibitors)

IT 75-33-2, 2-Propanethiol 76-83-5, Trityl chloride 94-09-7, Ethyl 4-aminobenzoate 100-01-6, 4-Nitroaniline, reactions 103-74-2, 2-(2-Hydroxyethyl)pyridine 105-07-7, 4-Formylbenzonitrile 109-01-3, 1-Methylpiperazine 110-13-4, 2,5-Hexanedione 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9 122-04-3, 4-Nitrobenzoyl chloride 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 288-13-1, Pyrazole 288-32-4, Imidazole, reactions 350-46-9, 4-Fluoronitrobenzene 504-29-0, 2-Aminopyridine 579-75-9, 2-Methoxybenzoic acid 610-14-0, 2-Nitrobenzoyl chloride 610-16-2, 2-(Dimethylamino)benzoic acid 626-58-4, 4-Methylpiperidine 637-59-2, (3-Bromopropyl)benzene 683-60-3, Sodium isopropoxide 704-45-0, 2-Methoxy-4-methylbenzoic acid 1003-29-8, Pyrrole-2-carboxaldehyde 1089-06-1, 2-(Phenylacetyl)-5-isoindolinamine 1824-81-3, 6-Methyl-2-pyridinamine 2305-36-4, 2-Amino-4-methylbenzoic acid 2706-56-1, 2-(2-Pyridinyl)ethylamine 2942-59-8, 2-Chloronicotinic acid 3383-72-0, 1-(2-Chloroethoxy)-4-nitrobenzene 4045-30-1, 4,4-Dimethylpiperidine 4548-45-2, 2-Chloro-5-nitropyridine 5653-40-7, 2-Amino-4,5-dimethoxybenzoic acid 5900-58-3, Methyl 4-chloro-2-aminobenzoate 6314-23-4, 2-(1H-Pyrazol-1-yl)ethanol 6322-56-1, 4-Acetyl-2-nitrophenol 16034-48-3, 1H-Pyrazol-1-ylacetic acid 16179-97-8, 2-Pyridylacetic acid dihydrochloride 21732-17-2, 1H-Tetrazol-1-ylacetic acid 30529-70-5, 2-Chloro-6-methylnicotinic acid 32692-19-6, 5-Nitroindoline 37460-75-6, 2-Isopropyl-4-methylbenzoic acid 39853-81-1, 2-Chloro-6-methylnicotinoyl chloride 41253-21-8, 1,2,4-Triazole sodium salt 42088-91-5, [1-(2-Pyridinyl)ethyl]amine 42093-97-0, 2-(1-Piperidinyl)benzoic acid 53135-24-3, Ethyl 2-methyl-6-oxo-1,6-dihydro-5-pyrimidinecarboxylate 57381-51-8, 4-Chloro-2-fluorobenzonitrile 58498-12-7, 4-(2-Pyridinylmethyl)aniline 63635-26-7, 2-Isopropoxybenzoic acid 73616-27-0, 2-(5-Amino-1H-pyrazol-1-yl)ethanol 75890-68-5, [2-(Formylamino)-1,3-thiazol-4-yl]acetic acid 78648-27-8, 2-(1-Pyrrolidinyl)benzoic acid 84392-17-6, 4'-(Trifluoromethyl)[1,1'-biphenyl]-2-carboxylic acid 84392-24-5, 4'-Ethyl-1,1'-biphenyl-2-carboxylic acid 85006-31-1, Methyl 3-amino-4-methyl-2-thiophenecarboxylate 88709-18-6, 2-Ethoxy-4-methylbenzoic acid 94610-82-9, 2-Fluoro-4-methoxybenzonitrile 118449-67-5, 2-(4-Methylphenyl)-1-cyclohexene-1-carboxylic acid 129487-92-9, tert-Butyl 5-amino-1-indolinecarboxylate 146070-34-0, 2-Fluoro-4-(trifluoromethyl)benzonitrile 146070-35-1, 2-Fluoro-3-(trifluoromethyl)benzonitrile 157921-38-5, 4'-(Dimethylamino)-1,1'-biphenyl-2-carboxylic acid 163009-16-3, (1-Trityl-1H-1,2,4-triazol-3-yl)methyl methanesulfonate 164148-92-9, tert-Butyl 6-amino-3,4-dihydro-2(1H)-isoquinolinecarboxylate 180340-74-3, 4'-(Trifluoromethyl)-1,1'-biphenyl-2-carbonyl chloride 186390-79-4, tert-Butyl 6-nitro-3,4-dihydro-2(1H)-isoquinolinecarboxylate 191104-16-2, Methyl 4-methyl-2-[(trifluoromethanesulfonyl)oxy]benzoate 212892-02-9, Methyl 4-chloro-2-[(trifluoromethyl)sulfonyl]oxy]benzoate 273727-27-8, 3-[[4-(4-Aminophenyl)-1-piperazinyl]methyl]benzonitrile 343355-98-6, 2-(4-Methyl-1-piperidinyl)benzoic acid 344561-49-5,

4-[2-(2-Pyridinyl)ethoxy]phenylamine 361550-33-6, N-[2-(2-Pyridinyl)ethyl]-2,5-pyridinediamine 381706-53-2, 3-[[4-(5-Amino-2-pyridinyl)-1-piperazinyl]methyl]benzonitrile 400727-71-1, 2-(1H-Pyrazol-1-ylacetyl)-5-isoindolinamine 408365-24-2, 1-(4-Aminophenyl)-3-(2-pyridinyl)propan-1-one 408365-84-4, tert-Butyl N-(4-aminophenyl)[2-[2-[(tert-butoxycarbonyl)amino]-1,3-thiazol-4-yl]ethyl]carbamate 408365-92-4, tert-Butyl N-(4-aminophenyl)[2-[6-[(tert-butoxycarbonyl)amino]-2-pyridinyl]ethyl]carbamate 408367-22-6, [6-[(tert-butoxycarbonyl)amino]-2-pyridinyl]acetic acid 408369-33-5, N-(4-Aminophenyl)-N-[2-(2-pyridinyl)ethyl]formamide 474519-72-7, 1-[2-(2-Pyridinyl)ethyl]-5-indolinamine 474519-88-5, N-(2,3-Dihydro-1H-indol-5-yl)-4'-(trifluoromethyl)-1,1'-biphenyl-2-carboxamide 474520-50-8, 1-Acetyl-2,3-dihydro-1H-indol-5-ylamine 537713-11-4, 2-(4-Aminophenyl)-N-(2-pyridinyl)acetamide 537713-66-9, N-(4-Aminophenyl)-2-(2-pyridinyl)acetamide 537714-00-4, tert-Butyl [6-[2-[(4-aminophenyl)amino]ethyl]-2-pyridinyl]carbamate 537714-05-9, tert-Butyl [6-[2-(4-aminophenoxy)ethyl]-2-pyridinyl]carbamate 537714-52-6, tert-Butyl [4-[2-(4-aminophenoxy)ethyl]-1,3-thiazol-2-yl]carbamate 537715-07-4, N-[2-(6-Amino-3,4-dihydroisoquinolin-2(1H)-yl)ethyl]acetamide 537715-50-7, N-(4-Aminophenyl)-2-[6-(2,5-dimethyl-1H-pyrrol-1-yl)-2-pyridinyl]acetamide 537717-32-1, 6-Amino-2-[2-(2-pyridinyl)ethyl]-1-isoindolinone 689139-77-3, 2-(3,6-Dihydro-1(2H)-pyridinyl)benzoic acid 689140-29-2, Benzyl 4-methyl-2-[(trifluoromethanesulfonyl)oxy]benzoate 689142-35-6, Benzyl 5-methyl-2-[(trifluoromethanesulfonyl)oxy]benzoate 689145-43-5, tert-Butyl N-[4-[[[6-methyl-2-(4-methyl-1-piperidinyl)-3-pyridinyl]carbonyl]amino]phenyl][2-(2-pyridinyl)ethyl]carbamate 689146-66-5, N-[4-[2-(2-Pyridinyl)ethoxy]phenyl]nicotinamide 689149-70-0, Benzyl 4-methoxy-2-[(trifluoromethyl)sulfonyl]oxy]benzoate 689150-60-5, 689153-19-3, N-(2-Chloroethyl)-4-nitroaniline hydrochloride 689159-05-5, 2-(2-Pyridinylacetyl)-5-isoindolinamine 689160-28-9, 1-(1H-Pyrazol-1-ylacetyl)-5-indolinamine 689161-17-9, 2-Isopropoxy-4-methylbenzoic acid 689161-75-9, 4-Chloro-2-isopropoxybenzoic acid 689172-01-8, 1-(1H-1,2,4-Triazol-1-ylacetyl)-5-indolinamine 689179-98-4, N-[2-[3-(Tritylamino)-1H-pyrazol-1-yl]ethyl]-1,4-benzenediamine 689180-18-5, 1-(2-Aminoethyl)-N-trityl-1H-pyrazol-3-amine

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of amides as apolipoprotei

SYSTEM LIMITS EXCEEDED

L2 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252512 CAPLUS

DOCUMENT NUMBER: 140:287376

TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase inhibitors for treatment of COPD, asthma, or allergic rhinitis

INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Knowles, Richard Graham; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Trivedi, Naimisha; Ward, Peter

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

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DOCUMENT TYPE: Patent

LANGUAGE: English

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PATENT INFORMATION:

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WO 2004024728	A2	20040325	WO 2003-EP11814	20030912
WO 2004024728	A3	20041021		

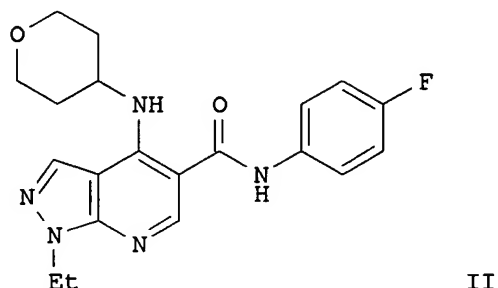
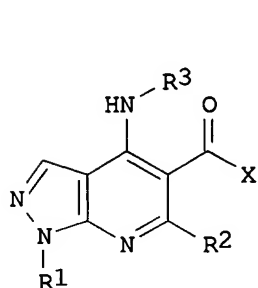
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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GB 2002-30045 A 20021223  
GB 2003-6595 A 20030321  
GB 2003-8017 A 20030407  
GB 2003-19708 A 20030821  
GB 2003-21074 A 20030909

OTHER SOURCE(S): MARPAT 140:287376

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AB Title compds. I [wherein R1 = (fluoro)alkyl, (CH2)2OH, (CH2)2CO2-alkyl; R2 = HMe, fluoroalkyl; R3 = (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; X = NR4R5, OR5a; R4 = H, (fluoro)alkyl, (un)substituted cycloalkyl(alkyl); R5 = substituted alkyl, acyl(alkyl), carboxy(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), alkylsulfonyl(alkyl), or cyano(alkyl); R5a = (fluoro)alkyl, cycloalkyl(alkyl), substituted Ph; and salts thereof] were prepared as phosphodiesterase (PDE) inhibitors, in particular PDE4 inhibitors. The invention also provides for the use of I or pharmaceutically acceptable salts thereof for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. For example, 4-chloro-1-ethyl-N-(4-fluorophenyl)1H-pyrazolo[3,4-b]pyridine-5-carboxamide (preparation given) was coupled with 4-aminotetrahydropyran in EtOH using TEA to give II. The latter inhibited human recombinant PDE 4B with a pIC50 of 7.9 and suppressed LPS-induced pulmonary neutrophilia in rats with an ED50 in the range of about 0.5 mg/kg to about 2 mg/kg. In the rat pica model of emesis, II exhibited pica response values (ED50 ranging from 4.8 mg/kg to 40 mg/kg) higher than the neutrophilia-inhibition doses and displayed a therapeutic index >2. Thus, II showed anti-inflammatory effects with low emetic side effects.

AB Title compds. I [wherein R1 = (fluoro)alkyl, (CH2)2OH, (CH2)2CO2-alkyl; R2 = HMe, fluoroalkyl; R3 = (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; X = NR4R5, OR5a; R4 = H, (fluoro)alkyl, (un)substituted cycloalkyl(alkyl); R5 = substituted alkyl, acyl(alkyl), carboxy(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), alkylsulfonyl(alkyl), or cyano(alkyl); R5a = (fluoro)alkyl, cycloalkyl(alkyl), substituted Ph; and salts thereof] were prepared as phosphodiesterase (PDE) inhibitors, in particular PDE4

inhibitors. The invention also provides for the use of I or pharmaceutically acceptable salts thereof for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. For example, 4-chloro-1-ethyl-N-(4-fluorophenyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide (preparation given) was coupled with 4-aminotetrahydropyran in EtOH using TEA to give II. The latter inhibited human recombinant PDE 4B with a pIC50 of 7.9 and suppressed LPS-induced pulmonary neutrophilia in rats with an ED50 in the range of about 0.5 mg/kg to about 2 mg/kg. In the rat pica model of emesis, II exhibited pica response values (ED50 ranging from 4.8 mg/kg to 40 mg/kg) higher than the neutrophilia-inhibition doses and displayed a therapeutic index >2. Thus, II showed anti-inflammatory effects with low emetic side effects.

P, N-[(2,4-Dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-84-3P,  
 N-[(3,4-Dimethylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-85-4P,  
 N-[(2,3-Dichlorophenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-86-5P,  
 N-[(3-Chloro-4-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-87-6P, N-[(4-Chloro-2-methylphenyl)methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-88-7P, N-[[4-[(Difluoromethyl)oxy]phenyl]methyl]-1-ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-89-8P, 1-Ethyl-4-[[4-(hydroxyimino)cyclohexyl]amino]-N-[[4-(trifluoromethyl)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675119-93-4P,  
 N-[(3,4-Dimethylphenyl)methyl]-1-ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide hydrochloride (1:1) 675119-94-5P, 1-Ethyl-N-(1H-tetrazol-5-ylmethyl)-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675123-04-3P, (R)-N-(2,3-Dihydro-1H-inden-1-yl)-1-ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675123-05-4P, (S)-N-(2,3-Dihydro-1H-inden-1-yl)-1-ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE4 inhibitor; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic disease)  
 IT 19743-76-1P, Ethyl 4-chloro-1-phenyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 30748-25-5P, Ethyl 1-benzyl-4-ethoxy-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 32019-76-4P, N-Cyclohexyl-N-methyl-3-nitrobenzamide 33024-60-1P, Tetrahydro-2H-pyran-4-amine hydrochloride 37801-57-3P, Ethyl 4-chloro-1-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 37801-60-8P, Ethyl 1-methyl-4-ethoxy-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 41095-07-2P, Ethyl 4-chloro-1-ethyl-6-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 52744-82-8P, Ethyl 4-(cyclohexylamino)-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 52833-03-1P 59060-16-1P, 4-Chloro-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 71005-94-2P, 4-Chloro-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-72-5P, N-Benzyl-4-chloro-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-74-7P, 4-Chloro-1-ethyl-N-(2-ethylbutyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-76-9P, 4-Chloro-1-ethyl-N-(4-fluorophenyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-78-1P, 4-Chloro-N-cyclopentyl-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-80-5P, 4-Chloro-1-ethyl-5-(pyrrolidin-1-ylcarbonyl)-1H-pyrazolo[3,4-b]pyridine 675111-82-7P, 4-Chloro-1-ethyl-N-(pyridin-4-ylmethyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-84-9P, 4-Chloro-1-ethyl-N-propyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-88-3P,

4-Chloro-1-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid  
675111-91-8P 675111-93-0P, N-Benzyl-4-chloro-1-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-95-2P, 4-Chloro-1-methyl-N-(4-fluorophenyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide  
675111-97-4P, 4-Chloro-1-methyl-N-(2-ethylbutyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675111-99-6P, 4-Chloro-1-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675112-03-5P,  
1-Ethyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-19-3P, (S)-1-Ethyl-4-[(tetrahydrofuran-3-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-21-7P,  
1-Ethyl-4-[(tetrahydro-2H-thiopyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-23-9P, 1-Ethyl-4-[(tetrahydrothien-3-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-25-1P,  
4-(Cyclopropylamino)-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-27-3P, 4-[(1,1-Dioxidotetrahydrothien-3-yl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-29-5P,  
4-[(1,1-Dioxidotetrahydro-2H-thiopyran-4-yl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-32-0P, 4-(Cyclohexylamino)-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-33-1P,  
1-Propyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-36-4P, 4-(Cyclohexylamino)-1-ethyl-6-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-38-6P,  
1-Ethyl-6-methyl-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-40-0P, 4-Aminocyclohexanone hydrochloride 675112-42-2P 675112-44-4P, N,N-Dibenzyltetrahydro-2H-pyran-4-amine 675112-50-2P, N-Benzyl-4-(cyclohexylamino)-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675112-52-4P, 4-Chloro-1-ethyl-N-[[4-(methyloxy)phenyl]methyl]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide  
675112-54-6P, 1-Ethyl-4-[(4-oxocyclohexyl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-56-8P, Ethyl 1-ethyl-4-[(tetrahydro-2H-pyran-3-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylate  
675112-60-4P, 1-Ethyl-4-[(tetrahydro-2H-pyran-3-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-62-6P, 4-[(1-Acetyl-4-piperidinyl)amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid  
675112-64-8P, 1-Ethyl-4-(4-piperidinylamino)-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-65-9P, 4-(Cyclohexylamino)-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxylic acid 675112-67-1P,  
1,1-Dimethylethyl (4,4-difluorocyclohexyl)carbamate 675112-70-6P, (4,4-Difluorocyclohexyl)amine hydrochloride 675112-73-9P,  
4-Chloro-1-ethyl-N-methyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675112-75-1P, N-Benzyl-4-[[1-[(1,1-dimethylethyl)oxy]carbonyl]-4-piperidinyl]amino]-1-ethyl-1H-pyrazolo[3,4-b]pyridine-5-carboxamide 675112-76-2P, 3-Amino-N-cyclohexyl-N-methylbenzamide  
675112-78-4P, N-Ethyl-4-oxo-1-piperidinecarboxamide 675112-80-8P, 4-Amino-N-ethyl-1-piperidinecarboxamide 675112-82-0P, 1,1-Dimethylethyl [[4-[(cyclopropylamino)carbonyl]phenyl]methyl]carbamate 675112-85-3P,  
4-(Aminomethyl)-N-cyclopropylbenzamide hydrochloride 675112-87-5P 675112-89-7P, 1,1-Dimethylethyl [[3-[(acetylamino)methyl]phenyl]methyl]carbamate 675112-91-1P, N-[[3-(Aminomethyl)phenyl]methyl]acetamide hydrochloride 675119-91-2P, Ethyl 4-(cyclopentylamino)-1H-pyrazolo[3,4-b]pyridine-5-carboxylate 675119-92-3P, Ethyl 4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxylate  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory

SYSTEM LIMITS EXCEEDED

```
=> s "1-pyrrolidin?"(s)"3-pyrrolidin?"
      8291943 "1"
      2230 "PYRROLIDIN"
```



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>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

```

This file contains CAS Registry Numbers for easy and accurate substance identification.

'BI,IT,ST,CC' IS DEFAULT SEARCH FIELD FOR 'USPATFULL' FILE

```

=> s "1-pyrrolidin?"(p)"3-pyrrolidin?"
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'I,IT,ST,CC(P)"3-PYRROLI'
4145235 "1"/BI
7104 "PYRROLIDIN"/BI
1 "PYRROLIDINS"/BI
7105 "PYRROLIDIN"/BI
(("PYRROLIDIN" OR "PYRROLIDINS")/BI)
493 "1-PYRROLIDIN?"/BI
(("1" (W) "PYRROLIDIN")/BI)
141182 "1"/IT
617 "PYRROLIDIN"/IT
43 "1-PYRROLIDIN?"/IT
(("1" (W) "PYRROLIDIN")/IT)
1622 "1"/ST
0 "PYRROLIDIN"/ST
0 "1-PYRROLIDIN?"/ST
(("1" (W) "PYRROLIDIN")/ST)
0 "1-PYRROLIDIN?"/CC
4124216 "3"/BI
7104 "PYRROLIDIN"/BI
1 "PYRROLIDINS"/BI
7105 "PYRROLIDIN"/BI
(("PYRROLIDIN" OR "PYRROLIDINS")/BI)
910 "3-PYRROLIDIN?"/BI
(("3" (W) "PYRROLIDIN")/BI)
111916 "3"/IT
617 "PYRROLIDIN"/IT
127 "3-PYRROLIDIN?"/IT
(("3" (W) "PYRROLIDIN")/IT)
533 "3"/ST
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0 "3-PYRROLIDIN?"/ST
(("3" (W) "PYRROLIDIN")/ST)
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L5 106 "1-PYRROLIDIN?"/BI,IT,ST,CC(P)"3-PYRROLIDIN?"/BI,IT,ST,CC

=> s "1-pyrrolidin?"(s)"3-pyrrolidin?"
4145235 "1"/BI
7104 "PYRROLIDIN"/BI
1 "PYRROLIDINS"/BI
7105 "PYRROLIDIN"/BI
(("PYRROLIDIN" OR "PYRROLIDINS")/BI)
493 "1-PYRROLIDIN?"/BI
(("1" (W) "PYRROLIDIN")/BI)
141182 "1"/IT
617 "PYRROLIDIN"/IT
43 "1-PYRROLIDIN?"/IT
(("1" (W) "PYRROLIDIN")/IT)
1622 "1"/ST

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0 "PYRROLIDIN"/ST  
 0 "1-PYRROLIDIN?"/ST  
 (( "1" (W) "PYRROLIDIN") /ST)  
 0 "1-PYRROLIDIN?"/CC  
 4124216 "3"/BI  
 7104 "PYRROLIDIN"/BI  
 1 "PYRROLIDINS"/BI  
 7105 "PYRROLIDIN"/BI  
 (("PYRROLIDIN" OR "PYRROLIDINS")/BI)  
 910 "3-PYRROLIDIN?"/BI  
 (("3" (W) "PYRROLIDIN")/BI)  
 111916 "3"/IT  
 617 "PYRROLIDIN"/IT  
 127 "3-PYRROLIDIN?"/IT  
 (("3" (W) "PYRROLIDIN")/IT)  
 533 "3"/ST  
 0 "PYRROLIDIN"/ST  
 0 "3-PYRROLIDIN?"/ST  
 (("3" (W) "PYRROLIDIN")/ST)  
 0 "3-PYRROLIDIN?"/CC  
 L6 48 "1-PYRROLIDIN?"/BI, IT, ST, CC (S) "3-PYRROLIDIN?"/BI, IT, ST, CC

=> d 1-10 ibib

L6 ANSWER 1 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2005:131880 USPATFULL  
 TITLE: Substituted cyclic hydroxamates as inhibitors of matrix metalloproteinases  
 INVENTOR(S): Li, Yun-Long, Wilmington, DE, UNITED STATES  
 Zhuo, Jincong, Boothwyn, PA, UNITED STATES  
 Burns, David, Philadelphia, PA, UNITED STATES  
 Yao, Wenqing, Kennett Square, PA, UNITED STATES  
 Jalluri, Ravi Kumar, Avondale, PA, UNITED STATES  
 PATENT ASSIGNEE(S): Incyte Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005113344	A1	20050526
APPLICATION INFO.:	US 2004-965215	A1	20041015 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-512016P	20031017 (60)
	US 2003-515352P	20031028 (60)
	US 2004-586646P	20040712 (60)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW,  
 WASHINGTON, DC, 20007, US  
 NUMBER OF CLAIMS: 24  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 11870

L6 ANSWER 2 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2005:124991 USPATFULL  
 TITLE: 6-membered heteroaryl compounds for the treatment of neurodegenerative disorders  
 INVENTOR(S): Chen, Yuhpyng L., Waterford, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005107381	A1	20050519
APPLICATION INFO.:	US 2004-909474	A1	20040802 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-492088P	20030801 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SCULLY SCOTT MURPHY & PRESSER, PC, 400 GARDEN CITY PLAZA, SUITE 300, GARDEN CITY, NY, 11530, US	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4300	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 3 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2005:124971 USPATFULL  
 TITLE: Monocyclic and bicyclic lactams as factor Xa inhibitors  
 INVENTOR(S): Han, Wei, Yardley, PA, UNITED STATES  
 Qiao, Jennifer X., Princeton, NJ, UNITED STATES  
 Hu, Zilun, Jamison, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005107361	A1	20050519
APPLICATION INFO.:	US 2004-952397	A1	20040928 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-507533P	20031001 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000, US	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	8610	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 4 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2005:50734 USPATFULL  
 TITLE: 4-(Piperidyl-and pyrrolidyl-alkyl-ureido)-quinolines as  
 urotensin II receptor antagonists  
 INVENTOR(S): Aissaoui, Hamed, Purlversheim, FRANCE  
 Binkert, Christoph, Basel, SWITZERLAND  
 Clozel, Martine, Binningen, SWITZERLAND  
 Mathys, Boris, Egerkingen, SWITZERLAND  
 Mueller, Claus, Hegenheim, FRANCE  
 Nayler, Oliver, Arlesheim, SWITZERLAND  
 Scherz, Michael, Ettingen, SWITZERLAND  
 Velker, Jorg, Lorrach, GERMANY, FEDERAL REPUBLIC OF  
 Weller, Thomas, Binningen, SWITZERLAND

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005043535	A1	20050224
APPLICATION INFO.:	US 2004-501054	A1	20040915 (10)
	WO 2002-EP13577		20021202

	NUMBER	DATE
PRIORITY INFORMATION:	WO 2001-EP14195	20011204
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	DICKSTEIN SHAPIRO MORIN & OSHINSKY LLP, 1177 AVENUE OF	

THE AMERICAS (6TH AVENUE), 41 ST FL., NEW YORK, NY,  
10036-2714

NUMBER OF CLAIMS: 29  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3193  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 5 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2005:50578 USPATFULL

TITLE: LTA4H Modulators

INVENTOR(S): Axe, Frank U., Escondido, CA, UNITED STATES  
Bembenek, Scott D., San Diego, CA, UNITED STATES  
Butler, Christopher R., Urbana, IL, UNITED STATES  
Edwards, James P., San Diego, CA, UNITED STATES  
Fourie, Anne M., San Diego, CA, UNITED STATES  
Grice, Cheryl A., Carlsbad, CA, UNITED STATES  
Savall, Brad M., San Diego, CA, UNITED STATES  
Tays, Kevin L., Cardiff-By-The-Sea, CA, UNITED STATES  
Wei, Jianmei, San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005043379	A1	20050224
APPLICATION INFO.:	US 2004-900152	A1	20040727 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-490710P	20030728 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003	
NUMBER OF CLAIMS:	118	
EXEMPLARY CLAIM:	1	
LINE COUNT:	11258	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 6 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2005:50577 USPATFULL

TITLE: LTA4H modulators

INVENTOR(S): Axe, Frank U., Escondido, CA, UNITED STATES  
Bembenek, Scott D., San Diego, CA, UNITED STATES  
Butler, Christopher R., Urbana, IL, UNITED STATES  
Edwards, James P., San Diego, CA, UNITED STATES  
Fourie, Anne M., San Diego, CA, UNITED STATES  
Grice, Cheryl A., Carlsbad, CA, UNITED STATES  
Savall, Brad M., San Diego, CA, UNITED STATES  
Tays, Kevin L., Cardiff-By-The-Sea, CA, UNITED STATES  
Wei, Jianmei, San Diego, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005043378	A1	20050224
APPLICATION INFO.:	US 2004-900103	A1	20040727 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-490710P	20030728 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003	
NUMBER OF CLAIMS:	196	



EXEMPLARY CLAIM: 1  
LINE COUNT: 13102  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 7 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2005:44283 USPATFULL  
TITLE: Arylamine-substituted quinazolinone compounds useful as  
alpha 1A/B adrenergic receptor antagonists  
INVENTOR(S): Connolly, Terrence Joseph, Redwood City, CA, UNITED  
STATES  
Keitz, Paul Francis, Redwood City, CA, UNITED STATES  
Lee, Eun Kyung, San Jose, CA, UNITED STATES  
Li, Jim, San Jose, CA, UNITED STATES  
Lopez-Tapia, Francisco Javier, Union City, CA, UNITED  
STATES  
McGarry, Patrick Finbar, Mountain View, CA, UNITED  
STATES  
Melville, Chris Richard, Palo Alto, CA, UNITED STATES  
Nitzan, Dov, San Jose, CA, UNITED STATES  
O'Yang, Counde, Sunnyvale, CA, UNITED STATES  
Padilla, Frenando, Fremont, CA, UNITED STATES  
Weinhardt, Klaus Kurt, San Francisco, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005038016	A1	20050217
APPLICATION INFO.:	US 2004-884768	A1	20040702 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-484570P	20030702 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, PATENT LAW DEPT. M/S A2-250, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304	
NUMBER OF CLAIMS:	44	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5757	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 8 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2005:24047 USPATFULL  
TITLE: Sulfonyldihydroimidazopyridinone compounds as  
5-hydroxytryptamine-6 ligands  
INVENTOR(S): Cole, Derek Cecil, New City, NY, UNITED STATES  
Bernotas, Ronald Charles, Royersford, PA, UNITED STATES  
PATENT ASSIGNEE(S): Wyeth, Madison, NJ, 07940 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005020596	A1	20050127
APPLICATION INFO.:	US 2004-896832	A1	20040722 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-489416P	20030723 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WYETH, PATENT LAW GROUP, 5 GIRALDA FARMS, MADISON, NJ, 07940	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1096	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 9 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2004:274306 USPATFULL

TITLE: Linear chain substituted monocyclic and bicyclic derivatives as factor Xa inhibitors

INVENTOR(S): Pinto, Donald J., Kennett Square, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004214808	A1	20041028
APPLICATION INFO.:	US 2004-801519	A1	20040316 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-455708P	20030318 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000	
NUMBER OF CLAIMS:	19	
EXEMPLARY CLAIM:	1	
LINE COUNT:	8105	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 10 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2004:261935 USPATFULL

TITLE: Substituted arylamine derivatives and methods of use

INVENTOR(S): Elbaum, Daniel, Newton, MA, UNITED STATES  
Askew, Benny, Newbury Park, CA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Habgood, Gregory, Merrimac, MA, UNITED STATES  
Handley, Michael, Ventura, CA, UNITED STATES  
Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
Li, Aiwen, Westlake Village, CA, UNITED STATES  
Nishimura, Nobuko, West Hills, CA, UNITED STATES  
Patel, Vinod F., Acton, MA, UNITED STATES  
Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
Kim, Joseph L., Wayland, MA, UNITED STATES  
Amgen Inc. (U.S. corporation)

PATENT ASSIGNEE(S):

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004204437	A1	20041014
APPLICATION INFO.:	US 2004-823809	A1	20040412 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-197960, filed on 17 Jul 2002, ABANDONED Continuation-in-part of Ser. No. US 2002-46526, filed on 10 Jan 2002, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-323686P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	U. S. Patent Operations/JWB, AMGEN, INC., Dept. 4300, M/S 27-4-A, One Amgen Center Drive, Thousand Oaks, CA, 91320-1799	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	8464	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 11-48 ibib

L6 ANSWER 11 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2004:255451 USPATFULL  
TITLE: Cathepsin cysteine protease inhibitors  
INVENTOR(S): Prasit, Petpihoon, San Diego, CA, UNITED STATES  
Bayly, Christopher Ian, Beaconsfield, CANADA  
Robichaud, Joel Stephane, Dollard des Ormeaux, CANADA  
Black, W. Cameron, Baie d'Urfe, CANADA  
Setti, Eduardo L., San Mateo, CA, UNITED STATES  
Rydzewski, Robert M., Newark, CA, UNITED STATES  
Palmer, James T., Corte Madera, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004198982	A1	20041007
APPLICATION INFO.:	US 2003-469430	A1	20030828 (10)
	WO 2002-US6533		20020301

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-272799P	20010302 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907	
NUMBER OF CLAIMS:	29	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5585	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 12 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2004:240345 USPATFULL  
TITLE: Hexahydro-cyclohepta-pyrrole oxindole as potent kinase inhibitors  
INVENTOR(S): Tang, Peng Cho, Moraga, CA, UNITED STATES  
Xia, Yi, Foster City, CA, UNITED STATES  
Hawtin, Rachael, San Carlos, CA, UNITED STATES  
PATENT ASSIGNEE(S): SUGEN, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004186160	A1	20040923
APPLICATION INFO.:	US 2003-733803	A1	20031212 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-433022P	20021213 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW, WASHINGTON, DC, 20007	
NUMBER OF CLAIMS:	24	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4415	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 13 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2004:202980 USPATFULL  
TITLE: 2-substituted quinazolin-4-ylamine analogues  
INVENTOR(S): Bakthavatchalam, Rajagopal, Madison, CT, UNITED STATES  
Blum, Charles A., Westbrook, CT, UNITED STATES

Brielmann, Harry, Guilford, CT, UNITED STATES  
 Caldwell, Timothy M., Guilford, CT, UNITED STATES  
 Lombaert, Stephane De, Madison, CT, UNITED STATES  
 Hodgetts, Kevin J., Killingworth, CT, UNITED STATES  
 Zheng, Xiaozhang, Branford, CT, UNITED STATES  
 PATENT ASSIGNEE(S): Neurogen Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004156869	A1	20040812
APPLICATION INFO.:	US 2003-735607	A1	20031212 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-433139P	20021213 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	EDWARDS & ANGELL, LLP, PO Box 9169, Boston, MA, 02209	
NUMBER OF CLAIMS:	109	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7018	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 14 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2004:172568 USPATFULL  
 TITLE: Quinazolinones and derivatives thereof as factor Xa inhibitors  
 INVENTOR(S): Han, Wei, Yardley, PA, UNITED STATES  
 Hu, Zilun, Thornton, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004132732	A1	20040708
APPLICATION INFO.:	US 2003-687421	A1	20031016 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-420098P	20021021 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000	
NUMBER OF CLAIMS:	12	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3661	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 15 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2004:152296 USPATFULL  
 TITLE: Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
 INVENTOR(S): Gunawardana, Indrani W., Libertyville, IL, UNITED STATES  
 PATENT ASSIGNEE(S): Abbott Laboratories (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116518	A1	20040617
	US 6867203	B2	20050315
APPLICATION INFO.:	US 2003-725212	A1	20031201 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-695040, filed on 24 Oct 2000, PENDING Continuation-in-part of Ser. No. US 2000-541795, filed on 31 Mar 2000, PENDING		

Continuation-in-part of Ser. No. US 1999-474517, filed  
on 29 Dec 1999, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-114097P	19981229 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 1300 I STREET, NW, WASHINGTON, DC, 20005	
NUMBER OF CLAIMS:	33	
EXEMPLARY CLAIM:	1	
LINE COUNT:	10340	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 16 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2004:108237 USPATFULL  
TITLE: N-substituted tricyclic 3-aminopyrazoles as inhibitors  
for the treatment of cell proliferative disorders  
INVENTOR(S): Ho, Chih Yung, Lansdale, PA, UNITED STATES  
Brunmark, Bengt Anders, LaJolla, CA, UNITED STATES  
Emanuel, Stuart, Doylestown, PA, UNITED STATES  
Galemmo, Robert A., JR., Collegeville, PA, UNITED STATES  
Johnson, Dana L., Upper Black Eddy, PA, UNITED STATES  
Ludovici, Donald W., Quakertown, PA, UNITED STATES  
Maharroof, Umar, North Wales, PA, UNITED STATES  
Mei, Jay M., North Wales, PA, UNITED STATES  
Sechler, Jan L., Doylestown, PA, UNITED STATES  
Strobel, Eric D., Hatboro, PA, UNITED STATES  
Tuman, Robert W., Chalfont, PA, UNITED STATES  
Yen, Hwa Kwo, Malvern, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004082639	A1	20040429
APPLICATION INFO.:	US 2003-438152	A1	20030514 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-380735P	20020515 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PHILIP S. JOHNSON, JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003	
NUMBER OF CLAIMS:	80	
EXEMPLARY CLAIM:	1	
LINE COUNT:	13168	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 17 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2004:83249 USPATFULL  
TITLE: Substituted pyrimidinyl derivatives and methods of use  
INVENTOR(S): Harmange, Jean-Christophe, Andover, MA, UNITED STATES  
Booker, Shon, Thousand Oaks, CA, UNITED STATES  
Buchanan, John L., Brookline, MA, UNITED STATES  
Chaffee, Stuart, Cambridge, MA, UNITED STATES  
Novak, Perry M., Milford, MA, UNITED STATES  
Plas, Simon Van Der, Medford, MA, UNITED STATES  
Zhu, Xiaotian, Watertown, MA, UNITED STATES

NUMBER	KIND	DATE
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PATENT INFORMATION: US 2004063705 A1 20040401  
APPLICATION INFO.: US 2002-225783 A1 20020821 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-314339P	20010822 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799	
NUMBER OF CLAIMS:	57	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5863	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 18 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2004:77185 USPATFULL  
TITLE: Substituted pyridinones  
INVENTOR(S): Devadas, Balekudru, Chesterfield, MO, UNITED STATES  
Walker, John, Maryland Heights, MO, UNITED STATES  
Selness, Shaun R., Chesterfield, MO, UNITED STATES  
Boehm, Terri L., Ballwin, MO, UNITED STATES  
Durley, Richard C., Chesterfield, MO, UNITED STATES  
Devraj, Rajesh, Ballwin, MO, UNITED STATES  
Hickory, Brian S., Wildwood, MO, UNITED STATES  
Rucker, Paul V., University City, MO, UNITED STATES  
Jerome, Kevin D., Maryland Heights, MO, UNITED STATES  
Madsen, Heather M., University City, MO, UNITED STATES  
Alvira, Edgardo, Chesterfield, MO, UNITED STATES  
Promo, Michele A., Maryland Heights, MO, UNITED STATES  
Blevis-Bal, Radhika M., St. Louis, MO, UNITED STATES  
Marruto, Laura D., Ellisville, MO, UNITED STATES  
Hitchcock, Jeff, Saint Peters, MO, UNITED STATES  
Owen, Thomas, Chesterfield, MO, UNITED STATES  
Naing, Win, Chesterfield, MO, UNITED STATES  
Xing, Li, Chesterfield, MO, UNITED STATES  
Shieh, Huey S., St. Louis, MO, UNITED STATES  
Sambandam, Aruna, Guilderland, NY, UNITED STATES  
Liu, Shuang, Schenectady, NY, UNITED STATES  
Scott, Ian L., Woodinville, WA, UNITED STATES  
McGee, Kevin F., Guilderland, NY, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004058964	A1	20040325
APPLICATION INFO.:	US 2003-367987	A1	20030214 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-357029P	20020214 (60)
	US 2002-436915P	20021230 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven J. Sarussi, McDonnell Boehnen Hulbert & Berghoff, 32nd Floor, 300 S. Wacker Drive, Chicago, IL, 60606	
NUMBER OF CLAIMS:	76	
EXEMPLARY CLAIM:	1	
LINE COUNT:	26020	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 19 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2004:70703 USPATFULL

TITLE: Azaindoles  
 INVENTOR(S): Cox, Paul J., Millington, NJ, UNITED STATES  
 Majid, Tahir N., Hoboken, NJ, UNITED STATES  
 Lai, Justine Yeun Quai, Epping, UNITED KINGDOM  
 Morley, Andrew D., Macclesfield, UNITED KINGDOM  
 Amendola, Shelley, Bedminster, NJ, UNITED STATES  
 Deprets, Stephanie D., Morristown, NJ, UNITED STATES  
 Edlin, Christopher, Newark, UNITED KINGDOM  
 Gardner, Charles J., Royersford, PA, UNITED STATES  
 Kominos, Dorothea, Millington, NJ, UNITED STATES  
 Pedgrift, Brian L., Morristown, NJ, UNITED STATES  
 Halley, Frank, Cedex, FRANCE  
 Gillespy, Timothy A., Hillsboro, NJ, UNITED STATES  
 Edwards, Michael, Morristown, NJ, UNITED STATES  
 Clerc, Francois F., Antony, FRANCE  
 Nemecek, Conception, Cedex, FRANCE  
 Houille, Olivier, Magstatt-le-bas, FRANCE  
 Damour, Dominique, Orly, FRANCE  
 Bouchard, Herve, Thiais, FRANCE  
 Bezard, Daniel N.A., Bagnolet, FRANCE  
 Carrez, Chantal, Thiais, FRANCE

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004053931	A1	20040318
	US 6897207	B2	20050524
APPLICATION INFO.:	US 2002-177804	A1	20020621 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2001-15109	20010621
	US 2001-300257P	20010622 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807	
NUMBER OF CLAIMS:	84	
EXEMPLARY CLAIM:	1	
LINE COUNT:	11333	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 20 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2004:57976 USPATFULL  
 TITLE: Naphthalene derivatives as matrix metalloproteinase inhibitors  
 INVENTOR(S): Li, Jie Jack, Ann Arbor, MI, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004043983	A1	20040304
APPLICATION INFO.:	US 2003-634182	A1	20030805 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-403242P	20020813 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR, MI, 48105	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7722	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 21 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2003:319357 USPATFULL  
TITLE: Substituted alkylamine derivatives and methods of use  
INVENTOR(S): Askew, Benny, Newbury Park, CA, UNITED STATES  
Adams, Jeffrey, Thousand Oaks, CA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Chen, Guoqing, Thousand Oaks, CA, UNITED STATES  
DiPietro, Lucian V., Gloucester, MA, UNITED STATES  
Elbaum, Daniel, Newton, MA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Geuns-Meyer, Stephanie D., Medford, MA, UNITED STATES  
Habgood, Gregory J., Merrimac, MA, UNITED STATES  
Handley, Michael, Ventura, CA, UNITED STATES  
Huang, Qi, Moorpark, CA, UNITED STATES  
Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
Li, Aiwen, Westlake Village, CA, UNITED STATES  
Nishimura, Nobuko, West Hills, CA, UNITED STATES  
Nomak, Rana, Westlake Village, CA, UNITED STATES  
Patel, Vinod F., Acton, MA, UNITED STATES  
Riahi, Babak, Woodland Hills, CA, UNITED STATES  
Kim, Joseph L., Wayland, MA, UNITED STATES  
Xi, Ning, Thousand Oaks, CA, UNITED STATES  
Yang, Kevin, San Gabriel, CA, UNITED STATES  
Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
STATES  
PATENT ASSIGNEE(S): Amgen Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003225106	A1	20031204
	US 6878714	B2	20050412
APPLICATION INFO.:	US 2002-197974	A1	20020717 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2002-46681, filed on 10 Jan 2002, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261339P	20010112 (60)
	US 2001-323764P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799	
NUMBER OF CLAIMS:	32	
EXEMPLARY CLAIM:	1	
LINE COUNT:	13358	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 22 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2003:306974 USPATFULL  
TITLE: Combination therapy for the treatment of cancer  
INVENTOR(S): Masferrer, Jaime, Ballwin, MO, UNITED STATES  
Doshi, Parul, Wildwood, MO, UNITED STATES  
Cherrington, Julie, San Francisco, CA, UNITED STATES  
PATENT ASSIGNEE(S): Pharmacia Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003216410	A1	20031120
APPLICATION INFO.:	US 2002-218910	A1	20020815 (10)

NUMBER	DATE
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PRIORITY INFORMATION: US 2001-312413P 20010815 (60)  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW,  
WASHINGTON, DC, 20007  
NUMBER OF CLAIMS: 50  
EXEMPLARY CLAIM: 1  
LINE COUNT: 7822  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 23 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2003:289163 USPATFULL  
TITLE: Substituted amine derivatives and methods of use  
INVENTOR(S): Patel, Vinod F., Acton, MA, UNITED STATES  
Askew, Benny, Newbury Park, CA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Chen, Guoqing, Thousand Oaks, CA, UNITED STATES  
DiPietro, Lucian V., Gloucester, MA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Habgood, Gregory J., Merrimac, MA, UNITED STATES  
Huang, Qi, Moorpark, CA, UNITED STATES  
Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
Li, Aiwen, Westlake Village, CA, UNITED STATES  
Nishimura, Nobuko, West Hills, CA, UNITED STATES  
Nomak, Rana, Westlake Village, CA, UNITED STATES  
Riahi, Babak, Woodland Hills, CA, UNITED STATES  
Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
Elbaum, Daniel, Newton, MA, UNITED STATES  
PATENT ASSIGNEE(S): Amgen Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003203922	A1	20031030
APPLICATION INFO.:	US 2002-197918	A1	20020717 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2002-46622, filed on 10 Jan 2002, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261882P	20010112 (60)
	US 2001-323808P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799	
NUMBER OF CLAIMS:	26	
EXEMPLARY CLAIM:	1	
LINE COUNT:	9474	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 24 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2003:277203 USPATFULL  
TITLE: Substituted amine derivatives and methods of use  
INVENTOR(S): Chen, Guoqing, Thousand Oaks, CA, UNITED STATES  
Adams, Jeffrey, Thousand Oaks, CA, UNITED STATES  
Bemis, Jean, Arlington, MA, UNITED STATES  
Pietro, Lucian Di, Gloucester, MA, UNITED STATES  
Dominguez, Celia, Thousand Oaks, CA, UNITED STATES  
Elbaum, Daniel, Newton, MA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Huang, Qi, Moorpark, CA, UNITED STATES

Kim, Joseph L., Wayland, MA, UNITED STATES  
 Ouyang, Xiaohu, Flushing, NY, UNITED STATES  
 Patel, Vinod F., Acton, MA, UNITED STATES  
 Smith, Leon M., Somerset, NJ, UNITED STATES  
 Tasker, Andrew, Simi Valley, CA, UNITED STATES  
 Xi, Ning, Thousand Oaks, CA, UNITED STATES  
 Xu, Shimin, Newbury Park, CA, UNITED STATES  
 Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
 Croghan, Michael, Ventura, CA, UNITED STATES  
 Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003195230	A1	20031016
APPLICATION INFO.:	US 2002-46622	A1	20020110 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261882P	20010112 (60)
	US 2001-323808P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799	
NUMBER OF CLAIMS:	43	
EXEMPLARY CLAIM:	1	
LINE COUNT:	9313	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 25 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2003:265978 USPATFULL  
 TITLE: Substituted bicyclic derivatives useful as anticancer agents  
 INVENTOR(S): Kath, John Charles, Waterford, CT, UNITED STATES  
 Jacqueline, Tom Norma, Waterford, CT, UNITED STATES  
 Zhengyu, Liu, Waterford, CT, UNITED STATES  
 Cox, Eric David, Mystic, CT, UNITED STATES  
 Morris, Joel, East Lyme, CT, UNITED STATES  
 Bhattacharya, Samit Kumar, Groton, CT, UNITED STATES  
 PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003186995	A1	20031002
APPLICATION INFO.:	US 2003-349475	A1	20030121 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-834259, filed on 12 Apr 2001, GRANTED, Pat. No. US 6541481 Division of Ser. No. US 2000-488350, filed on 20 Jan 2000, GRANTED, Pat. No. US 6284764		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117346P	19990127 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	35	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3796	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 26 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2003:228326 USPATFULL

TITLE: Non-peptidyl vasopressin V1A antagonists

INVENTOR(S): Bruns, Jr., Robert F, Carmel, IN, United States  
Cooper, Robin D G, Indianapolis, IN, United States  
Dressman, Bruce A, Indianapolis, IN, United States  
Hunden, David C, Carmel, IN, United States  
Kaldor, Stephen W, Indianapolis, IN, United States  
Koppel, Gary A, Indianapolis, IN, United States  
Rizzo, John R, Indianapolis, IN, United States  
Skelton, Jeffrey J, Indianapolis, IN, United States  
Steinberg, Mitchell I, Indianapolis, IN, United States  
PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6610680	B1	20030826
APPLICATION INFO.:	US 2002-327240		20021220 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-733430, filed on 8 Dec 2000, now patented, Pat. No. US 6521611 Division of Ser. No. US 125737, now patented, Pat. No. US 6204260, issued on 30 Mar 2001		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-5044	19960309
	GB 1996-5045	19960309
	GB 1996-5046	19960309
	US 1996-12149P	19960223 (60)
	US 1996-12188P	19960223 (60)
	US 1996-12215P	19960223 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: McKane, Joseph K.  
ASSISTANT EXAMINER: Small, Andrea D.  
LEGAL REPRESENTATIVE: Titus, Robert D., Tucker, Tina M.  
NUMBER OF CLAIMS: 7  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 3364  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 27 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2003:195025 USPATFULL

TITLE: Substituted arylamine derivatives and methods of use

INVENTOR(S): Elbaum, Daniel, Newton, MA, UNITED STATES  
Askew, Benny, Newbury Park, CA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Habgood, Gregory, Merrimac, MA, UNITED STATES  
Handley, Michael, Ventura, CA, UNITED STATES  
Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
Li, Aiwen, Westlake Village, CA, UNITED STATES  
Nishimura, Nobuko, West Hills, CA, UNITED STATES  
Patel, Vinod F., Acton, MA, UNITED STATES  
Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
Kim, Joseph L., Wayland, MA, UNITED STATES  
PATENT ASSIGNEE(S): Amgen Inc. (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 2003134836 A1 20030717  
APPLICATION INFO.: US 2002-197960 A1 20020717 (10)  
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2002-46526, filed  
on 10 Jan 2002, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261360P	20010112 (60)
	US 2001-323686P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	AMGEN INCORPORATED, MAIL STOP 27-4-A, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799	
NUMBER OF CLAIMS:	20	
EXEMPLARY CLAIM:	1	
LINE COUNT:	7762	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 28 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2003:181537 USPATFULL  
TITLE: 5-ARALKYSUFONYL-3-(PYRROL-2-YLMETHYLIDENE)-2-INDOLINONE  
DERIVATIVES AS KINASE INHIBITORS  
INVENTOR(S): Cui, Jingrong, Foster City, CA, UNITED STATES  
Ramphal, John, Union City, CA, UNITED STATES  
Liang, Congxin, Sunnyvale, CA, UNITED STATES  
Sun, Connie Li, Foster City, CA, UNITED STATES  
Wei, Chung Chen, Foster City, CA, UNITED STATES  
Tang, Peng Cho, Morago, CA, UNITED STATES  
PATENT ASSIGNEE(S): SUGEN, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003125370	A1	20030703
	US 6599902	B2	20030729
APPLICATION INFO.:	US 2002-157007	A1	20020530 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-294544P	20010530 (60)
	US 2001-328408P	20011010 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW, WASHINGTON, DC, 20007	
NUMBER OF CLAIMS:	23	
EXEMPLARY CLAIM:	1	
LINE COUNT:	12321	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 29 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2003:181506 USPATFULL  
TITLE: Substituted alkylamine derivatives and methods of use  
INVENTOR(S): Chen, Guoqing, Thousand Oaks, CA, UNITED STATES  
Adams, Jeffrey, Thousand Oaks, CA, UNITED STATES  
Bemis, Jean, Arlington, VA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Cai, Guolin, Thousand Oaks, CA, UNITED STATES  
Pietro, Lucian Di, Gloucester, MA, UNITED STATES  
Dominguez, Celia, Thousand Oaks, CA, UNITED STATES  
Elbaum, Daniel, Newton, MA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Geuns-Meyer, Stephanie, Medford, MA, UNITED STATES  
Handley, Michael, Ventura, CA, UNITED STATES

Huang, Qi, Moorpark, CA, UNITED STATES  
 Kim, Joseph L., Wayland, MA, UNITED STATES  
 Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
 Kiselyov, Alexander, Jersey City, NJ, UNITED STATES  
 Ouyang, Xiaohu, Flushing, NY, UNITED STATES  
 Patel, Vinod F., Acton, MA, UNITED STATES  
 Smith, Leon M., Somerset, NJ, UNITED STATES  
 Stec, Markian, Filmore, CA, UNITED STATES  
 Tasker, Andrew, Simi Valley, CA, UNITED STATES  
 Xi, Ning, Thousand Oaks, CA, UNITED STATES  
 Xu, Shimin, Newbury Park, CA, UNITED STATES  
 Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
 Croghan, Michael, Ventura, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003125339	A1	20030703
APPLICATION INFO.:	US 2002-46681	A1	20020110 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261339P	20010112 (60)
	US 2001-323764P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	U.S. Patent Operations/JWB, Dept. 4300, M/S 27-4-A, AMGEN INC., One Amgen Center Drive, Thousand Oaks, CA, 91320-1799	
NUMBER OF CLAIMS:	62	
EXEMPLARY CLAIM:	1	
LINE COUNT:	11080	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 30 OF 48 USPATFULL on STN  
 ACCESSION NUMBER: 2003:102383 USPATFULL  
 TITLE: Amide derivatives  
 INVENTOR(S): Brown, Dearg S., Macclesfield, UNITED KINGDOM  
 PATENT ASSIGNEE(S): Astrazeneca AB, Sodertalje, SWEDEN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6548514	B1	20030415
	WO 2000055120		20000921
APPLICATION INFO.:	US 2001-936698		20010917 (9)
	WO 2000-GB914		20000313

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1999-6277	19990317
	GB 2000-2472	20000203
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Raymond, Richard L.	
ASSISTANT EXAMINER:	Patel, Sudhaker B.	
LEGAL REPRESENTATIVE:	Morgan, Lewis & Bockius LLP	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	3167	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

no

L6 ANSWER 31 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2003:79144 USPATFULL

TITLE: Aza- and polyaza-naphthalenyl carboxamides useful as HIV integrase inhibitors

INVENTOR(S): Anthony, Neville J., Hatfield, PA, UNITED STATES  
Gomez, Robert P., Perkasié, PA, UNITED STATES  
Young, Steven D., Lansdale, PA, UNITED STATES  
Egbertson, Melissa, Ambler, PA, UNITED STATES  
Wai, John S., Harleysville, PA, UNITED STATES  
Zhuang, Linghang, Chalfont, PA, UNITED STATES  
Embrey, Mark, North Wales, PA, UNITED STATES  
Tran, LeKhanh, Norristown, PA, UNITED STATES  
Melamed, Jeffrey Y., Doylestown, PA, UNITED STATES  
Langford, H. Marie, Lansdale, NJ, UNITED STATES  
Guare, James P., Quakertown, PA, UNITED STATES  
Fisher, Thorsten E., Hatfield, PA, UNITED STATES  
Jolly, Samson M., Quakertown, PA, UNITED STATES  
Kuo, Michelle S., Gwynedd Valley, PA, UNITED STATES  
Perlow, Debra S., East Greenville, PA, UNITED STATES  
Bennett, Jennifer J., East Norriton, PA, UNITED STATES  
Funk, Timothy W., Ephrata, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003055071	A1	20030320
APPLICATION INFO.:	US 2001-973853	A1	20011010 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-239707P	20001012 (60)
	US 2001-281656P	20010405 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
LINE COUNT:	11919	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 32 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2002:268785 USPATFULL

TITLE: Indole derivatives as 5-HT1 agonists

INVENTOR(S): Macor, John Eugene, Salem, CT, United States

Wythes, Martin James, Sutton, UNITED KINGDOM

PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6465500	B1	20021015
APPLICATION INFO.:	US 2000-694588		20001023 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-59799, filed on 14 Apr 1998, now patented, Pat. No. US 6150388 Division of Ser. No. US 295798, now patented, Pat. No. US 5747501 Continuation-in-part of Ser. No. US 1992-864737, filed on 7 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Gerstl, Robert		
LEGAL REPRESENTATIVE:	Richardson, P. C., Ginsburg, P. H., Joran, A. D.		
NUMBER OF CLAIMS:	15		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		

LINE COUNT: 1115  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 33 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2002:266319 USPATFULL  
TITLE: Substituted arylamine derivatives and methods of use  
INVENTOR(S): Chen, Guoqing, Thousand Oaks, CA, UNITED STATES  
Cai, Guolin, Thousand Oaks, CA, UNITED STATES  
Dominguez, Celia, Thousand Oaks, CA, UNITED STATES  
Germain, Julie, Somerville, MA, UNITED STATES  
Kim, Joseph L., Wayland, MA, UNITED STATES  
Kim, Tae-Seong, Thousand Oaks, CA, UNITED STATES  
Smith, Leon M., Somerset, NJ, UNITED STATES  
Tasker, Andrew, Simi Valley, CA, UNITED STATES  
Yuan, Chester Chenguang, Newbury Park, CA, UNITED STATES  
Booker, Shon, Newbury Park, CA, UNITED STATES  
Croghan, Michael, Ventura, CA, UNITED STATES  
DiPietro, Lucian, Gloucester, MA, UNITED STATES  
Elbaum, Daniel, Newton, MA, UNITED STATES  
Huang, Qi, Moorpark, CA, UNITED STATES  
Xi, Ning, Thousand Oaks, CA, UNITED STATES  
Xu, Shimin, Newbury Park, CA, UNITED STATES  
Patel, Vinod F., Acton, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002147198	A1	20021010
APPLICATION INFO.:	US 2002-46526	A1	20020110 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-261360P	20010112 (60)
	US 2001-323686P	20010919 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	U.S. Patent Operations/JWB, Dept. 4300, M/S 27-4-A, AMGEN INC., One Amgen Center Drive, Thousand Oaks, CA, 91320-1799	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5854	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 34 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2002:209541 USPATFULL  
TITLE: Indole derivatives as 5-HT1 agonists  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, UNITED KINGDOM  
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6436957	B1	20020820
APPLICATION INFO.:	US 2000-694387		20001023 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-59799, filed on 14 Apr 1998, now patented, Pat. No. US 6150388 Division of Ser. No. US 295798, now patented, Pat. No. US 5747501 Continuation-in-part of Ser. No. US 1992-864737, filed on 7 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		

PRIMARY EXAMINER: Gerstl, Robert  
LEGAL REPRESENTATIVE: Richardson, P. C., Ginsburg, P. H., Joran, A. D.  
NUMBER OF CLAIMS: 16  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 1115  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 35 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2002:152799 USPATFULL  
TITLE: Indole derivatives as 5-HT1 agonists  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, UNITED KINGDOM  
PATENT ASSIGNEE(S): Pfizer INC, New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6410739	B1	20020625
APPLICATION INFO.:	US 2000-694808		20001023 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-59799, filed on 14 Apr 1998, now patented, Pat. No. US 6150388 Division of Ser. No. US 295798, now patented, Pat. No. US 5747501 Continuation-in-part of Ser. No. US 1992-864737, filed on 7 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	McKane, Joseph K.		
ASSISTANT EXAMINER:	Wright, Sonya		
LEGAL REPRESENTATIVE:	Richardson, P. C., Ginsburg, P. H., Joran, A. D.		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	1087		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			

L6 ANSWER 36 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 2002:109065 USPATFULL  
TITLE: Indole derivatives  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, UNITED KINGDOM  
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6387937	B1	20020514
APPLICATION INFO.:	US 2000-694394		20001023 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-59799, filed on 14 Apr 1998, now patented, Pat. No. US 6150388 Division of Ser. No. US 295798, now patented, Pat. No. US 5747501 Continuation-in-part of Ser. No. US 1992-864737, filed on 7 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Gerstl, Robert		
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Joran, A. David		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	1115		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			



L6 ANSWER 37 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2002:95824 USPATFULL  
TITLE: Indole derivatives as 5-HT1 agonists  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, UNITED KINGDOM  
PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6380233	B1	20020430
APPLICATION INFO.:	US 2000-694838		20001023 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-59799, filed on 14 Apr 1998, now patented, Pat. No. US 6150388 Division of Ser. No. US 295798, now patented, Pat. No. US 5747501 Continuation-in-part of Ser. No. US 1992-786737, filed on 7 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Gerstl, Robert		
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Joran, A. David		
NUMBER OF CLAIMS:	15		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)		
LINE COUNT:	1115		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			

L6 ANSWER 38 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2002:92663 USPATFULL  
TITLE: Non-peptidyl vasopressin V1a antagonists  
INVENTOR(S): Bruns, Robert F., JR., Carmel, IN, UNITED STATES  
Cooper, Robin DG, Indianapolis, IN, UNITED STATES  
Dressman, Bruce A., Indianapolis, IN, UNITED STATES  
Hunden, David C., Carmel, IN, UNITED STATES  
Kaldor, Stephen W., Indianapolis, IN, UNITED STATES  
Koppel, Gary A., Indianapolis, IN, UNITED STATES  
Rizzo, John R., Indianapolis, IN, UNITED STATES  
Skelton, Jeffrey J., Indianapolis, IN, UNITED STATES  
Steinberg, Mitchell I., Indianapolis, IN, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002049187	A1	20020425
	US 6521611	B2	20030218
APPLICATION INFO.:	US 2000-733430	A1	20001208 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-125737, filed on 19 Aug 1999, GRANTED, Pat. No. US 6204260 A 371 of International Ser. No. WO 1997-US3039, filed on 20 Feb 1997, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-5044	19960309
	GB 1996-5045	19960309
	GB 1996-5046	19960309
	US 1996-12149P	19960223 (60)
	US 1996-12188P	19960223 (60)
	US 1996-12215P	19960223 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROBERT D. TITUS, Eli Lilly and Company, Lilly Corporate	

Center, Patent Division DC: 1104, Indianapolis, IN,  
46285

NUMBER OF CLAIMS: 10  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3603  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 39 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2001:188717 USPATFULL

TITLE: Substituted bicyclic derivatives useful as anticancer agents

INVENTOR(S): Kath, John Charles, Waterford, CT, United States  
Tom, Norma Jacqueline, Waterford, CT, United States  
Liu, Zhengyu, Waterford, CT, United States  
Cox, Eric David, Mystic, CT, United States  
Morris, Joel, East Lyme, CT, United States  
Bhattacharya, Samit Kumar, Groton, CT, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001034351	A1	20011025
	US 6541481	B2	20030401
APPLICATION INFO.:	US 2001-834259	A1	20010412 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-488350, filed on 20 Jan 2000, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117346P	19990127 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 235 East 42nd Street, 20th Floor, New York, NY, 10017-5755	
NUMBER OF CLAIMS:	35	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3214	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

L6 ANSWER 40 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2001:147971 USPATFULL

TITLE: Substituted bicyclic derivatives useful as anticancer agents

INVENTOR(S): Kath, John Charles, Waterford, CT, United States  
Tom, Norma Jacqueline, Waterford, CT, United States  
Liu, Zhengyu, Waterford, CT, United States  
Cox, Eric David, Mystic, CT, United States  
Morris, Joel, East Lyme, CT, United States  
Bhattacharya, Samit Kumar, Groton, CT, United States

PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6284764	B1	20010904
APPLICATION INFO.:	US 2000-488350		20000120 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-488350, filed on 20 Jan 2000		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-117346P	19990127 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	

PRIMARY EXAMINER: Raymond, Richard L.  
ASSISTANT EXAMINER: Patel, Sudhaker B.  
LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H., Looney, Adrian G.  
NUMBER OF CLAIMS: 21  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3493  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 41 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2001:40474 USPATFULL  
TITLE: Non-peptidyl vasopressin V1a antagonists  
INVENTOR(S): Bruns, Jr., Robert F, Carmel, IN, United States  
Cooper, Robin DG, Indianapolis, IN, United States  
Dressman, Bruce A, Indianapolis, IN, United States  
Hunden, David C, Carmel, IN, United States  
Kaldor, Stephen W, Indianapolis, IN, United States  
Koppel, Gary A, Indianapolis, IN, United States  
Rizzo, John R, Indianapolis, IN, United States  
Skelton, Jeffrey J, Indianapolis, IN, United States  
Steinberg, Mitchell I, Indianapolis, IN, United States  
PATENT ASSIGNEE(S): Eli Lilly and Company, Indianapolis, IN, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6204260	B1	20010320
	WO 9730707		19970828
APPLICATION INFO.:	US 1999-125737		19990819 (9)
	WO 1997-US3039		19970220
			19990819 PCT 371 date
			19990819 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-5044	19960309
	GB 1996-5045	19960309
	GB 1996-5046	19960309
	US 1996-12149P	19960223 (60)
	US 1996-12188P	19960223 (60)
	US 1996-12215P	19960223 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Lambkin, Deborah C.  
LEGAL REPRESENTATIVE: Titus, Robert D.  
NUMBER OF CLAIMS: 12  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3548  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 42 OF 48 USPATFULL on STN

ACCESSION NUMBER: 2000:157437 USPATFULL  
TITLE: Indole derivatives as 5-HT1 agonists  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, United Kingdom  
PATENT ASSIGNEE(S): Pfizer, Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6150388		20001121
APPLICATION INFO.:	US 1998-59799		19980414 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-295798, filed on 16 Sep		

1994 which is a division of Ser. No. WO 1993-US1967,  
filed on 10 Mar 1993, now patented, Pat. No. WO 5747501  
which is a continuation-in-part of Ser. No. US  
1992-864737, filed on 7 Apr 1992, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Gerstl, Robert  
LEGAL REPRESENTATIVE: Richardson, Peter C., Ginsburg, Paul H., Fuller, Jr.,  
Grover F.  
NUMBER OF CLAIMS: 22  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1138  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 43 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 1998:75598 USPATFULL  
TITLE: Heterocyclic compounds and their use  
INVENTOR(S): Sauerberg, Per, Farum, Denmark  
Olesen, Preben H., Kbh. NV, Denmark  
Mitch, Charles H., Colombus, IN, United States  
PATENT ASSIGNEE(S): Novo Nordisk Als, Bagsvaerd, Denmark (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5773452		19980630
	WO 9420495		19940915
APPLICATION INFO.:	US 1995-513851		19951031 (8)
	WO 1994-DK95		19940404
			19951031 PCT 371 date
			19951031 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-26708, filed on 5 Mar 1993, now patented, Pat. No. US 5376668		

no

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Fan, Jane  
LEGAL REPRESENTATIVE: Zelson, Steve T., Lambiris, Elias J.  
NUMBER OF CLAIMS: 34  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3169  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 44 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 1998:54926 USPATFULL  
TITLE: Antipsychotic method  
INVENTOR(S): Bymaster, Franklin Porter, Brownsburg, IN, United  
States  
Shannon, Harlan E., Carmel, IN, United States  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5753683		19980519
APPLICATION INFO.:	US 1996-649960		19960129 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1994-292117, filed on 17 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-109300, filed on 19 Aug 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lambkin, Deborah		
LEGAL REPRESENTATIVE:	Zelson, Steve T., Lambiris, Elias J.		

NUMBER OF CLAIMS: 17  
EXEMPLARY CLAIM: 1  
LINE COUNT: 3522  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 45 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 1998:51617 USPATFULL  
TITLE: Method of treating schizophrenia  
INVENTOR(S): Bymaster, Franklin Porter, Brownsburg, IN, United States  
Shannon, Harlan E., Carmel, IN, United States  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5750541		19980512
APPLICATION INFO.:	US 1996-691438		19960802 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-468273, filed on 6 Jun 1995, now abandoned which is a division of Ser. No. US 1994-292117, filed on 17 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-109300 filed on 19 Aug 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jarvis, William R. A.		
LEGAL REPRESENTATIVE:	Zelson, Steve T., Lambiris, Elias J.		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3243		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 46 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 1998:48419 USPATFULL  
TITLE: Indole derivatives  
INVENTOR(S): Macor, John Eugene, Salem, CT, United States  
Wythes, Martin James, Sutton, United Kingdom  
PATENT ASSIGNEE(S): Pfizer, Inc., New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747501		19980505
	WO 9320073		19931014
APPLICATION INFO.:	US 1994-295798		19940916 (8)
	WO 1993-US1967		19930310
			19940916 PCT 371 date
			19940916 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-864737, filed on 7 Apr 1992		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Daus, Donald G.		
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Fuller, Jr., Grover F.		
NUMBER OF CLAIMS:	22		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1138		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 47 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 96:94604 USPATFULL  
TITLE: Method of treating urinary bladder dysfunctions with

INVENTOR(S): 3-tetrahydropyridine derivatives  
Muhlhauser, Mark A., 4811 Basil Ct., Indianapolis, IN,  
United States 46237  
Shannon, Harlan E., 4229 Rolling Springs Dr., Carmel,  
IN, United States 46234  
Thor, Karl B., 4959 Jennings Dr., Carmel, IN, United  
States 46033

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5565475		19961015
APPLICATION INFO.:	US 1994-335608		19941108 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Criares, Theodore J.		
LEGAL REPRESENTATIVE:	Zelson, Esq., Steve T., Lambiris, Esq., Elias J.		
NUMBER OF CLAIMS:	29		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3617		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 48 OF 48 USPATFULL on STN  
ACCESSION NUMBER: 96:72886 USPATFULL  
TITLE: Method of treating gastrointestinal motility disorders  
INVENTOR(S): Greenwood, Beverley, Fishers, IN, United States  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5545638		19960813
APPLICATION INFO.:	US 1995-468272		19950606 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-350871, filed on 7 Dec 1994 which is a continuation-in-part of Ser. No. US 1993-171060, filed on 21 Dec 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jordan, Kimberly		
LEGAL REPRESENTATIVE:	Zelson, Steve T., Lambiris, Elias J.		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3155		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> s "1-pyrrolidin?"(s)"3-pyrrolidin?" and carboxamid?  
4145235 "1"/BI  
7104 "PYRROLIDIN"/BI  
1 "PYRROLIDINS"/BI  
7105 "PYRROLIDIN"/BI  
(("PYRROLIDIN" OR "PYRROLIDINS")/BI)  
493 "1-PYRROLIDIN?"/BI  
(("1"(W)"PYRROLIDIN")/BI)  
141182 "1"/IT  
617 "PYRROLIDIN"/IT  
43 "1-PYRROLIDIN?"/IT  
(("1"(W)"PYRROLIDIN")/IT)  
1622 "1"/ST  
0 "PYRROLIDIN"/ST  
0 "1-PYRROLIDIN?"/ST  
(("1"(W)"PYRROLIDIN")/ST)  
0 "1-PYRROLIDIN?"/CC  
4124216 "3"/BI

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7104 "PYRROLIDIN"/BI
  1 "PYRROLIDINS"/BI
7105 "PYRROLIDIN"/BI
      ( ("PYRROLIDIN" OR "PYRROLIDINS")/BI)
910  "3-PYRROLIDIN?"/BI
      ( ("3" (W) "PYRROLIDIN")/BI)
111916 "3"/IT
  617 "PYRROLIDIN"/IT
  127 "3-PYRROLIDIN?"/IT
      ( ("3" (W) "PYRROLIDIN")/IT)
  533 "3"/ST
    0 "PYRROLIDIN"/ST
    0 "3-PYRROLIDIN?"/ST
      ( ("3" (W) "PYRROLIDIN")/ST)
    0 "3-PYRROLIDIN?"/CC
  48  "1-PYRROLIDIN?"/BI,IT,ST,CC(S) "3-PYRROLIDIN?"/BI,IT,ST,CC
25587 CARBOXAMID?/BI
1810 CARBOXAMID?/IT
  547 CARBOXAMID?/ST
    0 CARBOXAMID?/CC
L7    31 "1-PYRROLIDIN?"/BI,IT,ST,CC(S) "3-PYRROLIDIN?"/BI,IT,ST,CC AND
      CARBOXAMID?/BI,IT,ST,CC

=> s "1-pyrrolidin?"(s) "3-pyrrolidin?"(s) carboxamid?
4145235 "1"/BI
  7104 "PYRROLIDIN"/BI
    1 "PYRROLIDINS"/BI
  7105 "PYRROLIDIN"/BI
      ( ("PYRROLIDIN" OR "PYRROLIDINS")/BI)
  493 "1-PYRROLIDIN?"/BI
      ( ("1" (W) "PYRROLIDIN")/BI)
141182 "1"/IT
  617 "PYRROLIDIN"/IT
  43  "1-PYRROLIDIN?"/IT
      ( ("1" (W) "PYRROLIDIN")/IT)
  1622 "1"/ST
    0 "PYRROLIDIN"/ST
    0 "1-PYRROLIDIN?"/ST
      ( ("1" (W) "PYRROLIDIN")/ST)
    0 "1-PYRROLIDIN?"/CC
4124216 "3"/BI
  7104 "PYRROLIDIN"/BI
    1 "PYRROLIDINS"/BI
  7105 "PYRROLIDIN"/BI
      ( ("PYRROLIDIN" OR "PYRROLIDINS")/BI)
  910  "3-PYRROLIDIN?"/BI
      ( ("3" (W) "PYRROLIDIN")/BI)
111916 "3"/IT
  617 "PYRROLIDIN"/IT
  127 "3-PYRROLIDIN?"/IT
      ( ("3" (W) "PYRROLIDIN")/IT)
  533 "3"/ST
    0 "PYRROLIDIN"/ST
    0 "3-PYRROLIDIN?"/ST
      ( ("3" (W) "PYRROLIDIN")/ST)
    0 "3-PYRROLIDIN?"/CC
25587 CARBOXAMID?/BI
1810 CARBOXAMID?/IT
  547 CARBOXAMID?/ST
    0 CARBOXAMID?/CC
L8    5 "1-PYRROLIDIN?"/BI,IT,ST,CC(S) "3-PYRROLIDIN?"/BI,IT,ST,CC(S) CARB
      OXAMID?/BI,IT,ST,CC

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=> d L8 1-5 ibib abs

L8 ANSWER 1 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2005:131880 USPATFULL  
TITLE: Substituted cyclic hydroxamates as inhibitors of matrix metalloproteinases  
INVENTOR(S): Li, Yun-Long, Wilmington, DE, UNITED STATES  
Zhuo, Jincong, Boothwyn, PA, UNITED STATES  
Burns, David, Philadelphia, PA, UNITED STATES  
Yao, Wenqing, Kennett Square, PA, UNITED STATES  
Jalluri, Ravi Kumar, Avondale, PA, UNITED STATES  
PATENT ASSIGNEE(S): Incyte Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005113344	A1	20050526
APPLICATION INFO.:	US 2004-965215	A1	20041015 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-512016P	20031017 (60)
	US 2003-515352P	20031028 (60)
	US 2004-586646P	20040712 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW,  
WASHINGTON, DC, 20007, US  
NUMBER OF CLAIMS: 24  
EXEMPLARY CLAIM: 1  
LINE COUNT: 11870

AB The present invention provides compounds of the formula I: ##STR1##  
its enantiomers, diastereomers, racemic mixtures thereof, prodrugs,  
crystalline forms, non-crystalline forms, amorphous forms thereof,  
solvates thereof, metabolites thereof, and pharmaceutically acceptable  
salts, wherein the ring A substituent groups are fully defined in the  
following disclosure. The compounds of formula I are inhibitors of  
metalloproteases such as matrix metalloproteases and sheddases, and are  
useful in treating diseases such as rheumatoid arthritis, psoriasis,  
neoplastic diseases, allergies and all those diseases wherein inhibition  
of MMPs is desirable.

L8 ANSWER 2 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:255451 USPATFULL  
TITLE: Cathepsin cysteine protease inhibitors  
INVENTOR(S): Prasit, Petpihoon, San Diego, CA, UNITED STATES  
Bayly, Christopher Ian, Beaconsfield, CANADA  
Robichaud, Joel Stephane, Dollard des Ormeaux, CANADA  
Black, W. Cameron, Baie d'Urfe, CANADA  
Setti, Eduardo L., San Mateo, CA, UNITED STATES  
Rydzewski, Robert M., Newark, CA, UNITED STATES  
Palmer, James T., Corte Madera, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004198982	A1	20041007
APPLICATION INFO.:	US 2003-469430	A1	20030828 (10)
	WO 2002-US6533		20020301

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-272799P	20010302 (60)
DOCUMENT TYPE:	Utility	



FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907  
NUMBER OF CLAIMS: 29  
EXEMPLARY CLAIM: 1  
LINE COUNT: 5585

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a novel class of compounds which are cysteine protease inhibitors, including but not limited to, inhibitors of cathepsins K, L, S and B. These compounds are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 3 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:152296 USPATFULL  
TITLE: Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
INVENTOR(S): Gunawardana, Indrani W., Libertyville, IL, UNITED STATES  
PATENT ASSIGNEE(S): Abbott Laboratories (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004116518	A1	20040617
	US 6867203	B2	20050315
APPLICATION INFO.:	US 2003-725212	A1	20031201 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-695040, filed on 24 Oct 2000, PENDING Continuation-in-part of Ser. No. US 2000-541795, filed on 31 Mar 2000, PENDING Continuation-in-part of Ser. No. US 1999-474517, filed on 29 Dec 1999, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-114097P	19981229 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP, 1300 I STREET, NW, WASHINGTON, DC, 20005	
NUMBER OF CLAIMS:	33	
EXEMPLARY CLAIM:	1	
LINE COUNT:	10340	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel cinnamide compounds that are useful for treating inflammatory and immune diseases and cerebral vasospasm, to pharmaceutical compositions containing these compounds, and to methods of inhibiting inflammation or suppressing immune response in a mammal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 4 OF 5 USPATFULL on STN

ACCESSION NUMBER: 2004:77185 USPATFULL  
TITLE: Substituted pyridinones  
INVENTOR(S): Devadas, Balekudru, Chesterfield, MO, UNITED STATES  
Walker, John, Maryland Heights, MO, UNITED STATES  
Selness, Shaun R., Chesterfield, MO, UNITED STATES  
Boehm, Terri L., Ballwin, MO, UNITED STATES  
Durley, Richard C., Chesterfield, MO, UNITED STATES  
Devraj, Rajesh, Ballwin, MO, UNITED STATES  
Hickory, Brian S., Wildwood, MO, UNITED STATES  
Rucker, Paul V., University City, MO, UNITED STATES

Jerome, Kevin D., Maryland Heights, MO, UNITED STATES  
Madsen, Heather M., University City, MO, UNITED STATES  
Alvira, Edgardo, Chesterfield, MO, UNITED STATES  
Promo, Michele A., Maryland Heights, MO, UNITED STATES  
Blevis-Bal, Radhika M., St. Louis, MO, UNITED STATES  
Marruto, Laura D., Ellisville, MO, UNITED STATES  
Hitchcock, Jeff, Saint Peters, MO, UNITED STATES  
Owen, Thomas, Chesterfield, MO, UNITED STATES  
Naing, Win, Chesterfield, MO, UNITED STATES  
Xing, Li, Chesterfield, MO, UNITED STATES  
Shieh, Huey S., St. Louis, MO, UNITED STATES  
Sambandam, Aruna, Guilderland, NY, UNITED STATES  
Liu, Shuang, Schenectady, NY, UNITED STATES  
Scott, Ian L., Woodinville, WA, UNITED STATES  
McGee, Kevin F., Guilderland, NY, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004058964	A1	20040325
APPLICATION INFO.:	US 2003-367987	A1	20030214 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-357029P	20020214 (60)
	US 2002-436915P	20021230 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven J. Sarussi, McDonnell Boehnen Hulbert & Berghoff, 32nd Floor, 300 S. Wacker Drive, Chicago, IL, 60606	
NUMBER OF CLAIMS:	76	
EXEMPLARY CLAIM:	1	
LINE COUNT:	26020	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Disclosed are compounds Formula I ##STR1##	

and pharmaceutically acceptable salts thereof, wherein R.sub.1, R.sub.2, R.sub.3, R.sub.4, and R.sub.5 are defined herein. These compounds are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compositions containing the compounds, methods of preparing the compounds and methods of treatment using the compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 5 OF 5 USPATFULL on STN  
ACCESSION NUMBER: 2003:79144 USPATFULL  
TITLE: Aza- and polyaza-naphthalenyl carboxamides useful as HIV integrase inhibitors  
INVENTOR(S): Anthony, Neville J., Hatfield, PA, UNITED STATES  
Gomez, Robert P., Perkasio, PA, UNITED STATES  
Young, Steven D., Lansdale, PA, UNITED STATES  
Egbertson, Melissa, Ambler, PA, UNITED STATES  
Wai, John S., Harleysville, PA, UNITED STATES  
Zhuang, Linghang, Chalfont, PA, UNITED STATES  
Embrey, Mark, North Wales, PA, UNITED STATES  
Tran, LeKhanh, Norristown, PA, UNITED STATES  
Melamed, Jeffrey Y., Doylestown, PA, UNITED STATES  
Langford, H. Marie, Lansdale, NJ, UNITED STATES  
Guare, James P., Quakertown, PA, UNITED STATES  
Fisher, Thorsten E., Hatfield, PA, UNITED STATES  
Jolly, Samson M., Quakertown, PA, UNITED STATES

Kuo, Michelle S., Gwynedd Valley, PA, UNITED STATES  
 Perlow, Debra S., East Greenville, PA, UNITED STATES  
 Bennett, Jennifer J., East Norriton, PA, UNITED STATES  
 Funk, Timothy W., Ephrata, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003055071	A1	20030320
APPLICATION INFO.:	US 2001-973853	A1	20011010 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-239707P	20001012 (60)
	US 2001-281656P	20010405 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
LINE COUNT:	11919	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Aza- and polyaza-naphthalenyl carboxamide derivatives including certain quinoline carboxamide and naphthyridine carboxamide derivatives are described. These compounds are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compounds or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compositions, optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	65.48	148.17
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-14.60

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